

## Supporting Information

### Azofuran activation for annulative rearrangement enabled by gold(I)/Brønsted acid relay catalysis

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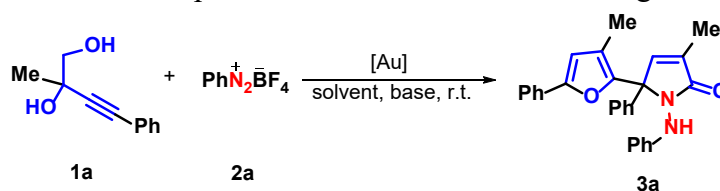
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## General Information

$^1\text{H}$  NMR ( $^{13}\text{C}$  NMR) spectra were measured on a Bruker DPX 400 MHz spectrometer in  $\text{CDCl}_3$  ( $\text{DMSO}-d_6$ ) with chemical shift ( $\delta$ ) given in ppm relative to TMS as internal standard [(s = singlet, d = doublet, t = triplet, brs = broad singlet, m = multiple), coupling constant ( $\text{Hz}$ )]. HRMS (ESI) was determined by using microTOF-QII HRMS/MS instrument (BRUKER). X-Ray crystallographic analysis was performed with a Siemens SMART CCD and a Siemens P4 diffractometer.

**Table S1.** Optimization Conditions for Forming **3a**<sup>a</sup>



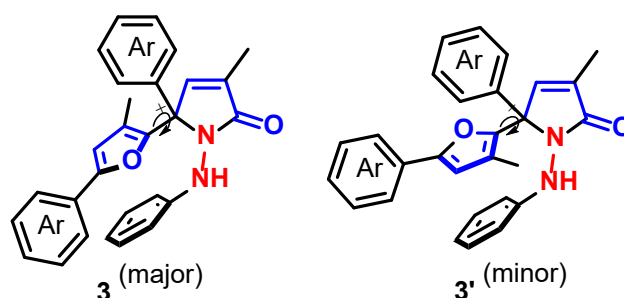
entry	$[\text{Au}]$ (5.0 mol %)	solvent	base	yield <sup>b</sup> (%)
1	JohnPhosAu(MeCN)SbF <sub>6</sub>	DCE	-	50
2	XphosAu(TA-H)OTf	DCE	-	45
3	PPh <sub>3</sub> AuNTf <sub>2</sub>	DCE	-	43
4	IPrAuNTf <sub>2</sub>	DCE	-	trace
5	AuCl	DCE	-	trace
6	JohnPhosAu(MeCN)SbF <sub>6</sub>	1,4-dioxane	-	trace
7	JohnPhosAu(MeCN)SbF <sub>6</sub>	DMF	-	trace
8	JohnPhosAu(MeCN)SbF <sub>6</sub>	THF	-	trace
9	JohnPhosAu(MeCN)SbF <sub>6</sub>	toluene	-	trace
10	JohnPhosAu(MeCN)SbF <sub>6</sub>	acetone	-	trace
11	JohnPhosAu(MeCN)SbF <sub>6</sub>	DCM	-	45
12	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	-	55
13	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	-	32 <sup>c</sup>
14	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	NaHCO <sub>3</sub>	69
15	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	Na <sub>2</sub> CO <sub>3</sub>	59
16	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	K <sub>2</sub> CO <sub>3</sub>	55
17	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	NaOAc	58
18	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	K <sub>3</sub> PO <sub>4</sub>	56
19	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	Et <sub>3</sub> N	N. R.

20	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	pyridine	trace
21	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	DBU	trace
22	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	NaHCO <sub>3</sub>	67 <sup>d</sup>
23	JohnPhosAu(MeCN)SbF <sub>6</sub>	dry DCE	NaHCO <sub>3</sub>	60 <sup>e</sup>

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), **2a** (0.1 mmol), base (0.05 mmol, 0.5 equiv), solvent (2.0 mL), room temperature for 12 h; <sup>b</sup>Isolated yield. <sup>c</sup>4 Å molecular sieve (50 mg). <sup>d</sup>use of NaHCO<sub>3</sub> (0.6 equiv). <sup>e</sup>use of NaHCO<sub>3</sub> (0.9 equiv).

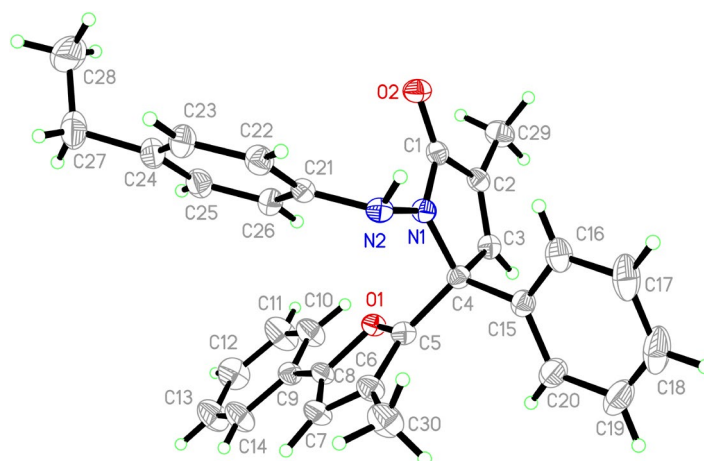
At the outset of the investigations, 3-yne-1,2-diol **1a** and phenyldiazonium tetrafluoroborate **2a** were selected as model substrates for the parameter optimization, as depicted in Table S1. The reaction of **1a** with **2a** in a 2:1 mol ratio worked readily in 1,2-dichloroethane (DCE) at room temperature by using JohnPhosAu(MeCN)SbF<sub>6</sub> (5.0 mol %) as a catalyst, and an unexpected furan-2-yl-substituted pyrrol-2-one product **3a** was obtained in 50% yield (Table S1, entry S1). Several other gold catalysts often used in catalytic transformations, such as XphosAu(TA-H)OTf, PPh<sub>3</sub>AuNTf<sub>2</sub>, AuCl, and IPrAuNTf<sub>2</sub>, were then investigated. The results revealed that the former two could drive the conversion of **1a** with **2a** into **3a**, but both demonstrated lower catalytic capabilities and thus provided lower yields compared with JohnPhosAu(MeCN)SbF<sub>6</sub> (entries S2-S3 vs entry S1); in contrast, the latter two completely suppressed the generation of **3a** (entries S4-S5). Taking JohnPhosAu(MeCN)SbF<sub>6</sub> as the catalyst, the effect of solvents was then examined and several other aprotic solvents such as 1,4-dioxane, *N,N*-dimethylformamide (DMF), tetrahydrofuran (THF), toluene, acetone, and dichloromethane (DCM) were screened (entries S6-S11). However, the desired product **3a** was hardly detected when the former five solvents were independently employed in this reaction. In another case of DCM, the reaction gave product **3a** in 45%, which is less than DCE (entry S12). Notably, dry DCE as the solvent ameliorated the reaction, leading to a 55% yield of **3a**. The use of a 4 Å molecular sieve (MS) as a water absorbent was unfavorable for this transformation, probably because the presence of some amount of water could accelerate the dissolution of diazonium salts. Considering the release of stoichiometric amounts of HBF<sub>4</sub> as a strong Brønsted acid that could decompose the furan ring in the reaction system, the removal of excess HBF<sub>4</sub> to a catalytic dosage may improve the efficiency of the reaction. Along this line, a number of bases, such as NaHCO<sub>3</sub>, Na<sub>2</sub>CO<sub>3</sub>, K<sub>2</sub>CO<sub>3</sub>, NaOAc, K<sub>3</sub>PO<sub>4</sub>, Et<sub>3</sub>N, pyridine, and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), were next screened for this transformation (entries S14–S21): inorganic bases proved to be beneficial

to this reaction (entries S14–S18); in contrast, organic bases were found to be ineffective, and their use in fact completely inhibited the target (entries S19–S21); and of these inorganic bases, the use of 0.5 equiv of NaHCO<sub>3</sub> made this reaction work more efficiently, furnishing product **3a** in a higher yield of 69% (entry S14). Further increasing the amount of NaHCO<sub>3</sub> resulted in reduced yields (entries S22–S23). From these results, a catalytic amount of HBF<sub>4</sub> is believed to favor azofuran activation for 1,6-addition and subsequent rearrangement.



**Figure S1.** Atropisomerism of Product **3a**

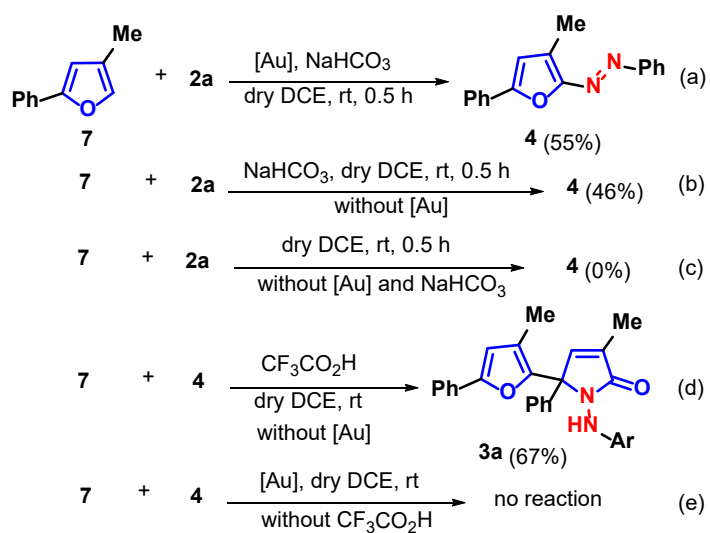
Obvious atropisomerism is observed in this protocol, which is caused by steric repulsion of the non-symmetric furan ring, and its rotation around a single C(sp<sup>3</sup>)-C(sp<sup>2</sup>) bond is restricted by the arylamino group and the phenyl ring (Figure S1). This could be supported by the crystal structure of **3e** determined by X-ray diffraction analysis (Figure S2)



**Figure S2.** The ORTEP Drawing of **3e** (The ellipsoid contour 30% probability levels)

A single crystal **3e** was obtained by slowly evaporating dichloromethane solvent at room temperature under the air conditions.



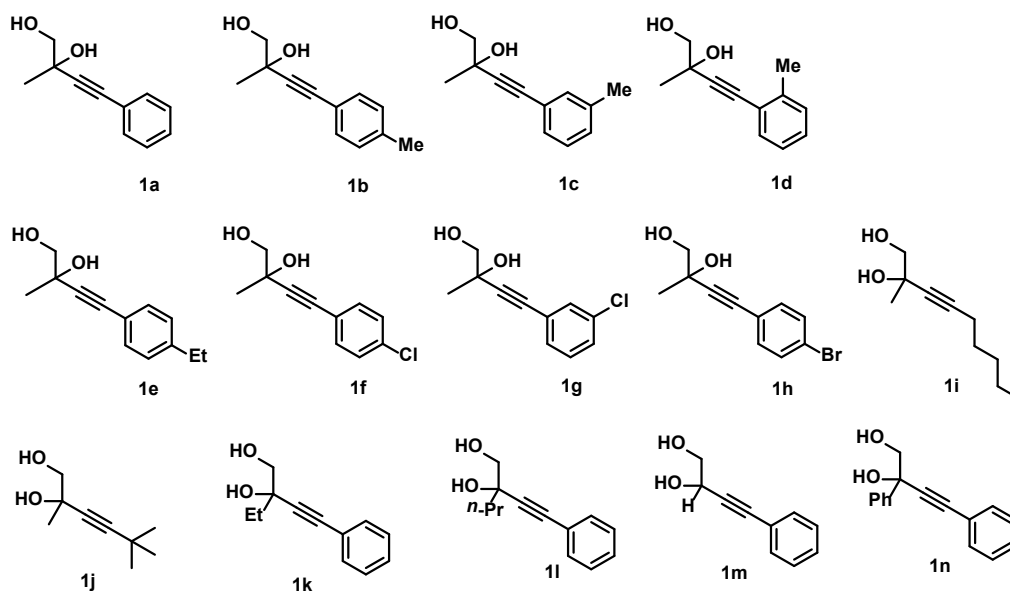


**Scheme S1.** Control Experiments

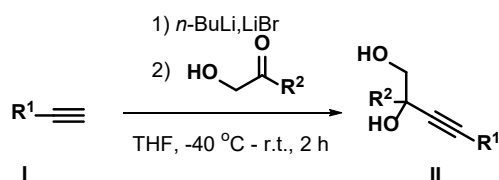
## Synthesis of Substrates 1 and 2

### Preparation of Alkynyl-1,2-diols 1

Alkynyl-1,2-diols **1a-1k** were prepared according to the reported procedures.<sup>1-3</sup> and their characterization data are in agreement with the literature.



**Figure S3.** Substrate Scope of Alkynyl-1,2-diols **1**



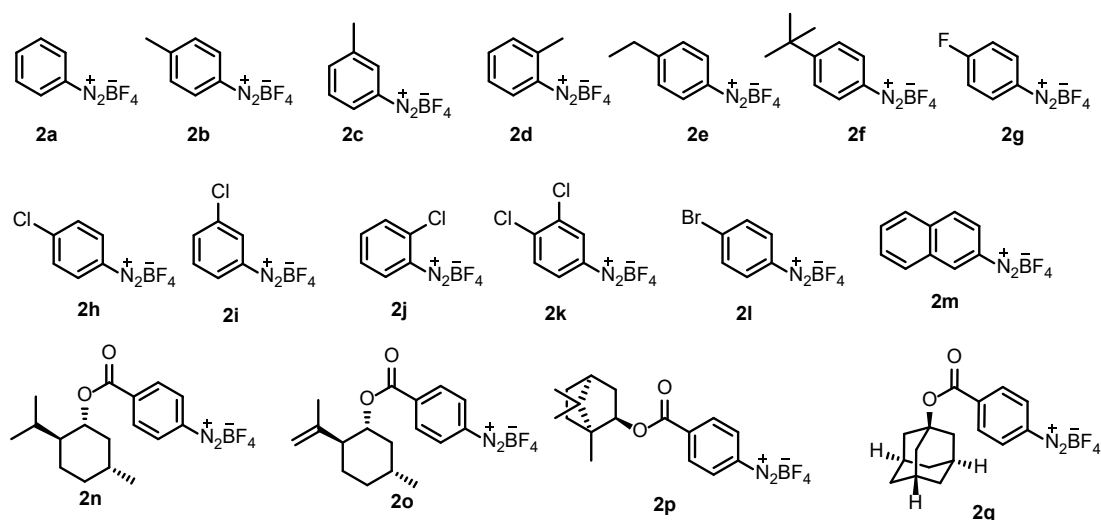
**Figure S4.** Synthesis of Compounds **1a-1n**

Alkynyl-1,2-diols **II** were prepared based on the reported procedures.<sup>4</sup>

**Step:** A solution of alkyne (19.23 mmol of 1-hexyne, phenylacetylene, cyclopropylacetylene, ethynyltrimethylsilane) in anhydrous THF (3 mL) was added dropwise under nitrogen to a stirred, cooled (-40 °C) mixture of BuLi (12.1 mL of a 1.6 M solution in hexanes, 19.35 mmol) in anhydrous THF (9 mL) and anhydrous hexane (14 mL). To the resulting mixture, maintained at -40 °C, was added, with stirring, a solution of LiBr (0.68 g, 7.8 mmol) in THF (3 mL). After 0.5 h, the corresponding **I** (7.34 mmol of  $\alpha$ -hydroxyacetophenone or  $\alpha$ -hydroxyacetone), diluted in anhydrous THF (3 mL), was slowly added under nitrogen at the same temperature. The resulting mixture was stirred for additional 2 h and then allowed to warm up to room temperature. After quenching with a saturated solution of NH<sub>4</sub>Cl, the mixture was extracted with Et<sub>2</sub>O (x3). The combined organic layers were washed with water and then dried over MgSO<sub>4</sub>. After filtration, the solvent was evaporated to obtain crude that was purified by column chromatography on silica gel. Analytical samples of compounds **II**, **1a-1k** were obtained after column chromatography.

### Preparation of Aryldiazonium Salts **2**

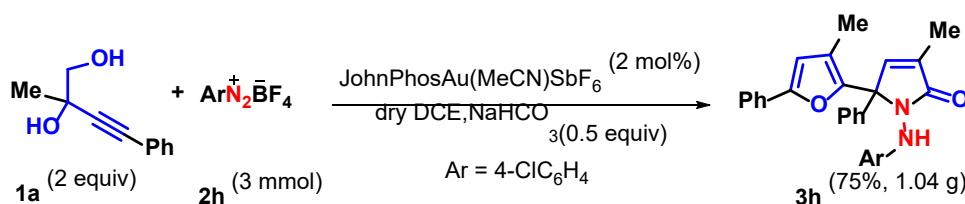
Aryldiazonium salts **2a-2q** were prepared based on the reported procedures.<sup>5</sup>



**Figure S5.** Substrate Scope of Aryldiazonium salts **2**

## Application of 2*H*-Pyrrolone-Based Cyclic Products

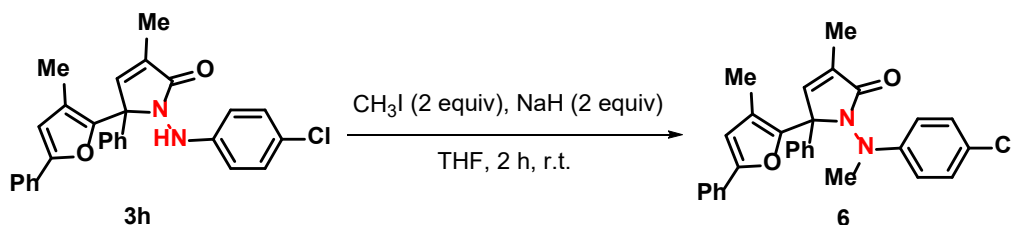
### Gram-Scale Experiment for the Synthesis of Product 3h



**Figure S6.** Gram-Scale Synthesis of Compounds **3h**

To a 100-mL pressure tube under air conditions, 2-methyl-4-phenylbut-3-yn-1,2-diol (**1a**, 6 mmol, 2 equiv, 0.94 g), benzenediazonium tetrafluoroborate (**2h**, 3 mmol, 1 equiv, 0.57 g), NaHCO<sub>3</sub> (0.05 mmol, 0.5 equiv, 0.13 g), JohnPhosAu(MeCN)SbF<sub>6</sub> (2.0 mol%, 46.32 mg), dry 1,2-dichloroethane (40 mL) were successively added. The mixture was stirred at room temperature for about 6 hours. After the reaction was completed (indicated by TLC, petroleum ether : ethyl acetate = 5:1), the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography to afford the desired pure product (**3h**, 1.04 g, 75% yield) as white solid.

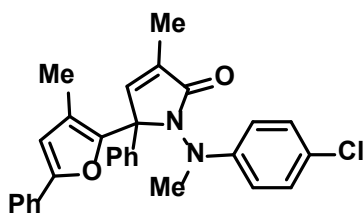
### General Procedure for the Synthesis of Product 6



**Figure S7.** Synthesis of Compound **6**

To a solution of 1-((4-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (**3h**, 0.2 mmol, 1 equiv, 91.2 mg) in THF (2 mL) at 0 °C, NaH (0.4 mmol, 2 equiv, 9.6 mg) was added. The reaction mixture was stirred for 0.5 hours at room temperature. The solution was added with CH<sub>3</sub>I (0.4 mmol, 2.0 equiv, 56.78 mg) and stirred for 12 hours. The reaction mixture was then quenched with saturated aq. NH<sub>4</sub>Cl and extracted with ethyl acetate (3 x 20 mL). The combined organic layers were washed with brine, dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and concentrated. The residue was purified by column chromatography (eluent, petroleum ether/ethyl acetate = 8:1) on silica gel to afford **6** (78.4 mg, 85% yield).

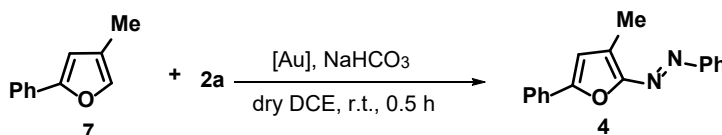
**1-((4-chlorophenyl)(methyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (6)**



white solid (39.9 mg, 85% yield); mp:160-162 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.63 (d, J = 7.2 Hz, 1H), 7.51 (d, J = 6.4 Hz, 1H), 7.41 (d, J = 9.2 Hz, 5H), 7.42-730 (m, 2H), 7.25 (s, 1H), 7.05 (s, 1H), 6.98-6.93 (m, 2H), 6.54 (s, 1H), 6.44 (d, J = 6.4 Hz, 2H), 6.33 (s, 1H), 3.27 (s, 1H), 3.16 (s, 2H), 2.10 (s, 3H), 1.74 (s, 2H), 1.55 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.2, 152.3, 151.9, 148.2, 144.0, 143.8, 137.2, 131.0, 130.4, 128.9, 128.7, 128.6, 128.4, 127.7, 127.6, 124.3, 123.9, 122.5, 114.9, 110.0, 70.9, 41.6, 11.8, 11.5; IR (KBr, ν, cm<sup>-1</sup>): 2958, 1698, 1597, 1490, 1447, 760, 692; HRMS (ESI -TOF) *m/z*: [M+H]<sup>+</sup> Calcd for C<sub>29</sub>H<sub>26</sub>ClN<sub>2</sub>O<sub>2</sub> 469.1683; Found 469.1698.

## Mechanism Details

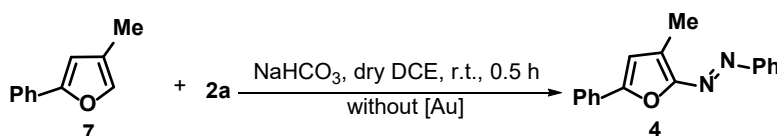
### Control Experiment A



**Figure S8.** Control Experiment A

To a 10-mL pressure tube under air conditions, 4-methyl-2-phenylfuran<sup>6</sup> (**7**, 0.1 mmol, 1 equiv, 15.8 mg), benzenediazonium tetrafluoroborate (**2a**, 0.1 mmol, 1 equiv, 19.2 mg), NaHCO<sub>3</sub> (0.05 mmol, 0.5 equiv, 4.2 mg), JohnPhosAu(MeCN)SbF<sub>6</sub> (2 mol%, 1.54 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The mixture was stirred at room temperature for about 0.5 hours. After the reaction was completed (indicated by TLC, petroleum ether : ethyl acetate = 50:1), the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography to afford the desired pure product (**4**, 15.5 mg, 55% yield) as orange solid.

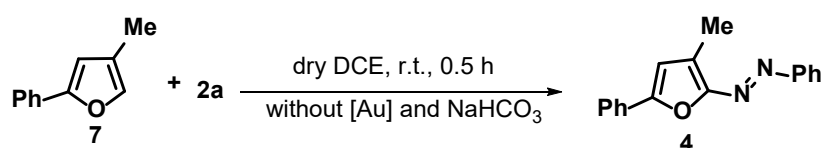
### Control Experiment B



### Figure S9. Control Experiment B

To a 10-mL pressure tube under air conditions, 4-methyl-2-phenylfuran (**7**, 0.1 mmol, 1 equiv, 15.8 mg), benzenediazonium tetrafluoroborate (**2a**, 0.1 mmol, 1 equiv, 19.2 mg), (0.05 mmol, NaHCO<sub>3</sub> (0.05 mmol, 0.5 equiv, 4.2 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The mixture was stirred at room temperature for about 0.5 hours. After the reaction was completed (indicated by TLC, petroleum ether : ethyl acetate = 50:1), the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography to afford the desired pure product (**4**, 12.9 mg, 46% yield) as orange solid.

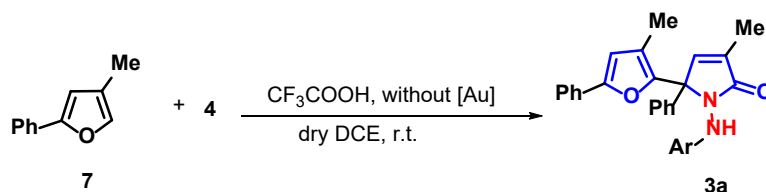
### Control Experiment C



### Figure S10. Control Experiment C

To a 10-mL pressure tube under air conditions, 4-methyl-2-phenylfuran (**7**, 0.1 mmol, 1 equiv, 15.8 mg), benzenediazonium tetrafluoroborate (**2a**, 0.1 mmol, 1 equiv, 19.2 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The mixture was stirred at room temperature for about 0.5 hours. The desired product **4** was not detected by TLC.

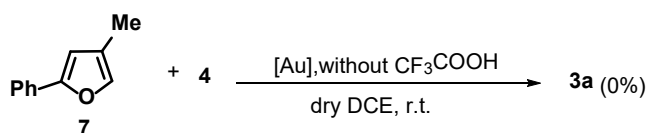
### Control Experiment D



### Figure S11. Control Experiment D

To a 10-mL pressure tube under air conditions, 4-methyl-2-phenylfuran (**7**, 0.1 mmol, 1 equiv, 15.8 mg), (*E*)-1-(3-methyl-5-phenylfuran-2-yl)-2-phenyldiazene (**4**, 0.1 mmol, 1 equiv, 26.2 mg), CF<sub>3</sub>COOH (0.1 mmol, 1 equiv, 11.4 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The mixture was stirred at room temperature for about 2 hours. After the reaction was completed (indicated by TLC, petroleum ether : ethyl acetate = 5:1), the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography to afford the desired pure product (**3a**, 28.1 g, 67% yield) as white solid.

### Control Experiment E

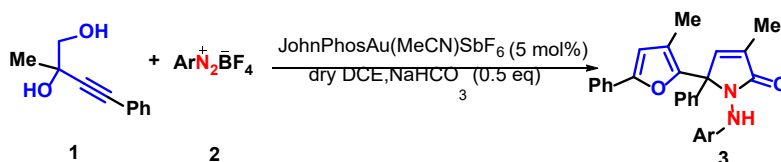


**Figure S12.** Control Experiment E

To a 10-mL pressure tube under air conditions, 4-methyl-2-phenylfuran (**1a**, 0.1 mmol, 1 equiv, 15.8 mg), (*E*)-1-(3-methyl-5-phenylfuran-2-yl)-2-phenyldiazene (**4**, 0.1 mmol, 1 equiv, 26.2 mg), JohnPhosAu(MeCN)SbF<sub>6</sub> (5.0 mol%, 3.86 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The desired product **3a** was not detected by TLC.

## Preparation of 2*H*-Pyrrolone-Based Cyclic Products

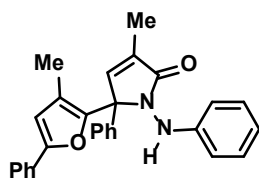
### General Procedure for the Synthesis of Products 3a-3bb



**Figure S13.** Synthesis of Compounds **3**

To a 10-mL pressure tube under air conditions, 2-methyl-4-phenylbut-3-yn-1,2-diol (**1a**, 0.2 mmol, 2.0 equiv, 31.2 mg), benzenediazonium tetrafluoroborate (**2a**, 0.1 mmol, 1 equiv, 19.2 mg), NaHCO<sub>3</sub> (0.05 mmol, 0.5 equiv, 4.2 mg), JohnPhosAu(MeCN)SbF<sub>6</sub> (5.0 mol%, 3.86 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The mixture was stirred at room temperature for about 12 hours. After the reaction was completed (indicated by TLC, petroleum ether : ethyl acetate = 5:1), the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography to afford the desired pure product (**3a**, 29.0 mg, 69% yield) as white solid.

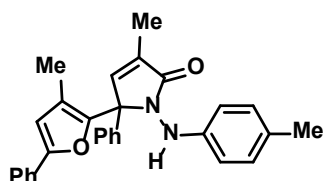
### *3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (3a)*



white solid (29.0mg, 69% yield); mp: 205-206 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.53 (d, J = 6.8 Hz, 2H), 7.36 (s, 5H), 7.29 (s, 2H), 7.28 (s, 1H), 7.08 (s, 1H), 6.96-6.92 (m, 2H), 6.70-6.66 (m,

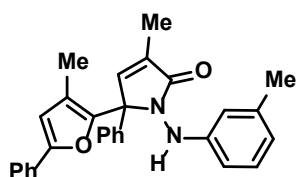
1H), 6.40 (d, J = 7.6 Hz, 2H), 6.36 (s, 1H), 6.22 (s, 1H), 2.07 (s, 3H), 1.59 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.7, 152.0, 146.6, 144.5, 143.7, 137.4, 130.4, 129.1, 128.7, 128.6, 128.4, 127.5, 126.9, 123.8, 120.5, 112.9, 110.0, 71.0, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3268, 3029, 1698, 1602, 1496, 1447, 762, 692; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>28</sub>H<sub>23</sub>N<sub>2</sub>O<sub>2</sub> 419.1760; Found 419.1765.

***3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1-(p-tolylamino)-1,5-dihydro-2H-pyrrol-2-one (3b)***



white solid (21.6 mg, 60% yield); mp: 202-204 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.52 (d, J = 8.0 Hz, 2H), 7.37 (d, J = 7.6 Hz, 5H), 7.34 (s, 2H), 7.28 (s, 1H), 7.06 (s, 1H), 6.75 (d, J = 8.0 Hz, 2H), 6.38 (s, 1H), 6.31 (d, J = 8.0 Hz, 2H), 6.00 (s, 1H), 2.11 (s, 3H), 2.04 (s, 3H), 1.59 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.8, 152.0, 144.8, 144.5, 143.4, 137.6, 130.5, 129.8, 129.3, 129.1, 128.7, 128.4, 127.6, 127.0, 123.8, 113.3, 110.1, 71.1, 20.5, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3266, 2985, 1700, 1598, 1512, 1447, 761, 693; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup>Calcd for C<sub>29</sub>H<sub>25</sub>N<sub>2</sub>O<sub>2</sub> 433.1916; Found 433.1919.

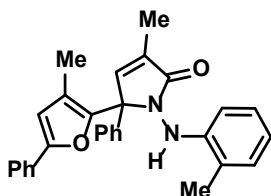
***3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1-(m-tolylamino)-1,5-dihydro-2H-pyrrol-2-one (3c)***



white solid (25.2 mg, 58% yield); mp: 210-211 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.53 (d, J = 8.0 Hz, 2H), 7.37 (d, J = 7.2 Hz, 5H), 7.35 (s, 2H), 7.28 (s, 1H), 7.24 (s, 1H), 7.06 (s, 1H), 6.86–6.82 (m, 1H), 6.49 (d, J = 7.6 Hz, 1H), 6.36 (s, 1H), 6.24 (d, J = 8.4 Hz, 1H), 6.12 (s, 1H), 2.07 (s, 3H), 1.97 (s, 3H), 1.58 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.7, 152.0, 146.7, 144.6, 143.5, 138.5, 137.6, 131.5, 130.5, 129.2, 128.7, 128.5, 128.4, 127.6, 126.9, 123.8, 121.4, 113.6, 110.3,

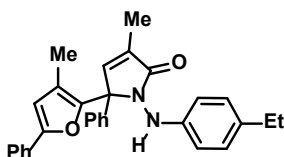
110.0, 71.1, 21.4, 11.6, 11.3; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3263, 2895, 1697, 1654, 1595, 1458, 760, 692; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{29}\text{H}_{25}\text{N}_2\text{O}_2$  433.1916; Found 433.1922.

**3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1-(*o*-tolylamino)-1,5-dihydro-2H-pyrrol-2-one (3d)**



white solid (24.0 mg, 55% yield); mp: 170-171 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.50 (d,  $J = 7.6$  Hz, 2H), 7.37 (s, 5H), 7.34 (s, 2H), 7.28 (s, 1H), 7.08 (s, 1H), 6.89 (d,  $J = 7.2$  Hz, 1H), 6.71-6.68 (m, 1H), 6.62-6.59 (m, 1H), 6.31 (s, 1H), 6.13 (d,  $J = 8.0$  Hz, 1H), 6.03 (s, 1H), 2.10 (s, 3H), 2.08 (s, 3H), 1.54 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.8, 151.9, 148.2, 144.6, 144.2, 137.7, 134.1, 131.5, 130.5, 130.0, 129.1, 128.7, 128.3, 127.5, 127.2, 126.8, 126.5, 123.8, 120.2, 111.9, 110.0, 71.2, 17.0, 11.6, 11.0; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3274, 2924, 1763, 1697, 1484, 1447, 748, 695; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{29}\text{H}_{25}\text{N}_2\text{O}_2$  433.1916; Found 433.1919.

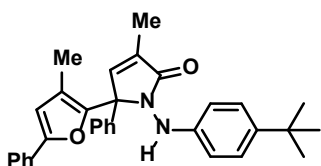
**1-((4-ethylphenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3e)**



white solid (27.7 mg, 62% yield); mp: 215-216 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.57 (d,  $J = 7.6$  Hz, 2H), 7.42 (d,  $J = 7.2$  Hz, 5H), 7.40 (s, 2H), 7.33 (s, 1H), 7.31-7.24 (m, 1H), 7.12 (s, 1H), 6.83 (d,  $J = 7.6$  Hz, 2H), 6.42 (d,  $J = 6.4$  Hz, 2H), 6.39 (s, 1H), 2.50-2.44 (m, 2H), 2.11 (s, 3H), 1.66 (s, 3H), 1.14-1.10 (m, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.8, 152.0, 144.7, 144.6, 143.4, 137.6, 136.3, 131.6, 130.5, 129.1, 128.7, 128.4, 128.1, 127.5, 127.0, 126.7, 123.8, 113.3, 110.1, 71.1, 28.0, 15.8, 11.6, 11.3; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3269, 2962, 1698, 1513, 1446, 1262, 742, 668; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{30}\text{H}_{27}\text{N}_2\text{O}_2$  447.2073; Found 447.2077.

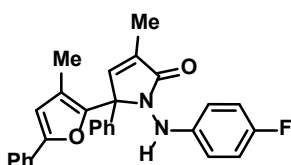
**1-((4-(*tert*-butyl)phenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3f)**





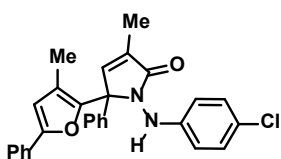
white solid (28.6 mg, 60% yield); mp: 220-221 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.48 (d, J = 7.6 Hz, 2H), 7.35 (d, J = 6.0 Hz, 5H), 7.32 (s, 2H), 7.24 (d, J = 7.2 Hz, 1H), 7.06 (s, 1H), 6.95 (d, J = 8.0 Hz, 2H), 6.37 (s, 1H), 6.35 (d, J = 2.8 Hz, 2H), 2.06 (s, 3H), 1.63 (s, 3H), 1.14 (s, 9H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.9, 152.1, 144.6, 144.2, 143.4, 143.2, 137.6, 131.6, 130.5, 129.1, 128.7, 128.4, 127.5, 127.0, 125.5, 123.8, 122.3, 113.0, 110.0, 71.1, 33.9, 31.5, 11.6, 11.4; IR (KBr, ν, cm<sup>-1</sup>): 3256, 2960, 1696, 1611, 1508, 1484, 761, 693; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>32</sub>H<sub>31</sub>N<sub>2</sub>O<sub>2</sub> 475.2386; Found 475.2391.

***1-((4-fluorophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3g)***



white solid (31.5 mg, 72% yield); mp: 161-163 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.51 (d, J = 8.0 Hz, 2H), 7.39 (d, J = 6.8 Hz, 2H), 7.35 (d, J = 7.2 Hz, 5H), 7.22 (s, 1H), 7.07 (s, 1H), 6.65-6.59 (m, 2H), 6.36 (s, 1H), 6.35-6.32 (m, 2H), 6.20 (d, J = 4.8 Hz, 1H), 2.06 (s, 3H), 1.58 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.8, 157.5 (*J*<sub>CF<sup>1</sup></sub> = 236.2 Hz), 152.1, 151.4, 144.5, 143.6, 142.8 (*J*<sub>CF<sup>4</sup></sub> = 2.5 Hz), 137.4, 131.5, 130.4, 129.2, 128.8, 128.5, 127.7, 126.9, 123.8, 115.2 (*J*<sub>CF<sup>2</sup></sub> = 27.7 Hz), 114.3 (*J*<sub>CF<sup>3</sup></sub> = 7.9 Hz), 110.0, 71.1, 11.6, 11.2; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) (δ, ppm): -124.6; IR (KBr, ν, cm<sup>-1</sup>): 3265, 2960, 1697, 1507, 1490, 1447, 760, 691; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>28</sub>H<sub>22</sub>FN<sub>2</sub>O<sub>2</sub> 437.1665; Found 437.1669.

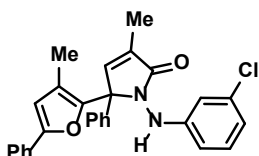
***1-((4-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3h)***



white solid (36.0 mg, 79% yield); mp: 189-190 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.51 (d, J = 7.6 Hz, 2H), 7.38-7.33 (m, 5H), 7.33 (s, 1H), 7.29 (s, 1H), 7.25 (s, 1H), 7.07 (s, 1H), 6.88 (d, J =

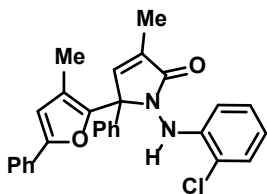
7.6 Hz, 2H), 6.38 (s, 1H), 6.30 (d, J = 8.4 Hz, 2H), 6.21 (s, 1H), 2.06 (s, 3H), 1.58 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.8, 152.1, 145.6, 144.4, 143.9, 137.3, 130.4, 129.2, 128.8, 128.6, , 128.5, 127.7, 126.9, 125.0, 123.8, 122.6, 114.1, 110.1, 71.1, 11.6, 11.2; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3260, 2958, 1698, 1597, 1490, 1447, 760, 692; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{28}\text{H}_{22}\text{ClN}_2\text{O}_2$  453.1370; Found 453.1375.

***1-((3-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3i)***



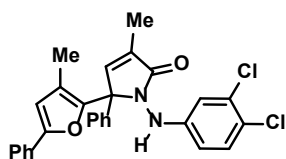
white solid (34.7 mg, 76% yield); mp: 206-207 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.51 (d, J = 7.6 Hz, 2H), 7.36 (d, J = 6.4 Hz, 5H), 7.33 (s, 2H), 7.24 (s, 1H), 7.08 (s, 1H), 6.81 (m, 1H), 6.61 (d, J = 8.0 Hz, 1H), 6.40 (s, 1H), 6.37 (s, 1H), 6.31 (s, 1H), 6.27 (d, J = 8.2 Hz, 1H), 2.08 (s, 3H), 1.60 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.7, 152.3, 148.1, 144.2, 143.8, 137.2, 134.5, 130.4, 129.7, 129.2, 128.7, 128.6, 127.7, 126.9, 123.9, 120.40, 120.37, 113.0, 111.1, 110.0, 71.1, 11.6, 11.3; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3256, 2987, 1698, 1596, 1480, 1446, 759, 690; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{28}\text{H}_{22}\text{ClN}_2\text{O}_2$  453.1370; Found 453.1375.

***1-((2-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3j)***



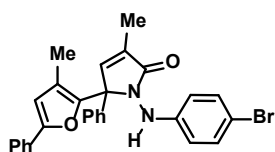
white solid (34.2 mg, 75% yield); mp: 190-191 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.52 (d, J = 6.4 Hz, 2H), 7.37 (s, 5H), 7.35 (s, 2H), 7.28 (s, 1H), 7.10 (d, J = 9.2 Hz, 2H), 6.74-6.70 (m, 1H), 6.59 (d, J = 7.6 Hz, 1H), 6.57-6.52 (m, 1H), 6.34 (s, 1H), 6.16 (s, 1H), 2.08 (s, 3H), 1.60 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.4, 152.2, 144.4, 143.6, 142.3, 137.2, 131.6, 130.4, 129.3, 129.0, 128.8, 128.6, 127.7, 127.3, 126.8, 123.8, 120.6, 118.5, 113.3, 110.0, 71.1, 11.6, 11.2; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3268, 3010, 1701, 1593, 1447, 1265, 759, 745; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{28}\text{H}_{22}\text{ClN}_2\text{O}_2$  453.1370; Found 453.1374.

***1-((3,4-dichlorophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3k)***



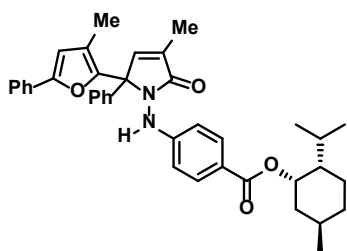
white solid (34.3 mg, 70% yield); mp: 239-240 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.50 (d, J = 8.0 Hz, 2H), 7.36 (d, J = 6.0 Hz, 5H), 7.33 (s, 2H), 7.28 (s, 1H), 7.08 (s, 1H), 6.93 (d, J = 8.8 Hz, 1H), 6.39 (s, 1H), 6.37 (s, 2H), 6.22 (d, J = 8.8 Hz, 1H), 2.06 (s, 3H), 1.59 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.6, 152.4, 146.5, 144.1, 137.0, 132.6, 130.24, 130.16, 129.3, 128.8, 127.8, 126.9, 126.5, 123.9, 123.2, 114.6, 112.5, 110.0, 100.0, 71.0, 11.6, 11.3; IR (KBr, ν, cm<sup>-1</sup>): 3266, 2987, 1700, 1593, 1448, 1264, 866, 745; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>28</sub>H<sub>21</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>2</sub> 487.0987; Found 487.0981.

***1-((4-bromophenyl)amino)-3-methyl-5-(3-methyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3l)***



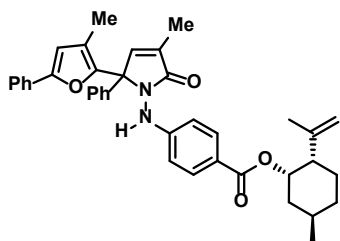
white solid (35.4 mg, 71% yield); mp: 201-202 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.50 (d, J = 7.6 Hz, 2H), 7.38-7.35 (m, 5H), 7.32 (s, 1H), 7.29 (s, 1H), 7.26 (s, 1H), 7.08 (s, 1H), 7.02 (d, J = 8.4 Hz, 2H), 6.38 (s, 1H), 6.26 (d, J = 8.4 Hz, 2H), 6.15 (s, 1H), 2.05 (s, 3H), 1.58 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.7, 152.2, 146.0, 144.4, 137.2, 131.5, 130.3, 129.2, 128.8, 128.6, 127.7, 126.9, 123.8, 114.7, 112.5, 110.1, 71.0, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3284, 3008, 1689, 1586, 1448, 1264, 745, 693; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>28</sub>H<sub>22</sub>BrN<sub>2</sub>O<sub>2</sub> 497.0865; Found 497.0871.

***(1S,2R,5S)-2-isopropyl-5-methylcyclohexyl 4-((4-methyl-2-(3-methyl-5-phenylfuran-2-yl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl)amino)benzoate (3n)***



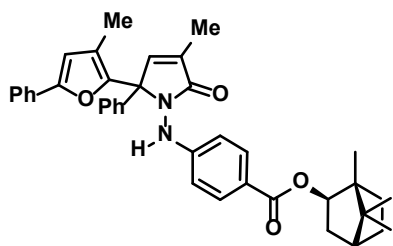
white solid (43.3 mg, 72% yield); mp: 202-203 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.66 (d, J = 8.4 Hz, 2H), 7.50 (d, J = 6.8 Hz, 2H), 7.36 (d, J = 8.0 Hz, 2H), 7.34 (s, 4H), 7.28 (s, 1H), 7.24 (s, 1H), 7.11 (s, 1H), 6.49 (s, 1H), 6.39 (d, J = 7.2 Hz, 3H), 4.84-4.78 (m, 1H), 2.08 (s, 3H), 2.04 (d, J = 12.4 Hz, 1H), 1.87 (d, J = 6.8 Hz, 1H), 1.69 (d, J = 11.2 Hz, 2H), 1.60 (d, J = 7.2 Hz, 3H), 1.49-1.43 (m, 2H), 1.11-1.00 (m, 2H), 0.91-0.86 (m, 6H), 0.74 (d, J = 6.4 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.5, 166.0, 152.3, 150.9, 144.3, 131.0, 130.3, 129.3, 128.8, 128.7, 127.7, 123.9, 123.8, 122.6, 111.9, 110.1, 74.1, 47.3, 41.1, 34.5, 31.5, 26.5, 23.8, 22.2, 20.9, 16.7, 11.6, 11.3; IR (KBr, ν, cm<sup>-1</sup>): 3262, 2969, 1698, 1597, 1490, 1448, 760, 693; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>39</sub>H<sub>41</sub>N<sub>2</sub>O<sub>4</sub> 601.3066; Found 601.3066.

**(1*S*,2*R*)-2-(prop-1-en-2-yl)cyclohexyl 4-((4-methyl-2-(3-methyl-5-phenylfuran-2-yl)-5-oxo-2-phenyl-2,5-dihydro-1*H*-pyrrol-1-yl)amino)benzoate (3o)**



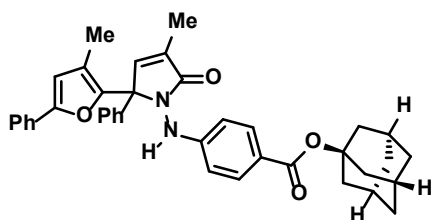
white solid (42.1 mg, 70% yield); mp: 218-219 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.61 (d, J = 8.4 Hz, 2H), 7.49 (s, 2H), 7.37 (s, 1H), 7.34 (d, J = 5.6 Hz, 5H), 7.28 (s, 1H), 7.25 (s, 1H), 7.10 (s, 1H), 6.46 (s, 1H), 6.38-6.35 (m, 3H), 4.89 (s, 1H), 4.70-4.60 (m, 2H), 2.23-2.17 (m, 1H), 2.07 (s, 3H), 1.74-1.68 (m, 2H), 1.63 (s, 3H), 1.57 (s, 3H), 1.47-1.39 (m, 1H), 1.08-0.99 (m, 2H), 0.94 (s, 2H), 0.92 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.5, 166.0, 152.3, 150.8, 146.4, 144.3, 130.9, 130.3, 129.3, 128.8, 127.7, 123.8, 122.7, 111.8, 110.1, 73.8, 50.9, 40.6, 34.3, 31.5, 30.6, 22.1, 19.6, 11.6; IR (KBr, ν, cm<sup>-1</sup>): 3268, 2954, 1702, 1606, 1487, 1448, 761, 693; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>39</sub>H<sub>39</sub>N<sub>2</sub>O<sub>4</sub> 599.2910; Found 599.2913.

**(1*R*,2*R*,4*S*)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 4-((4-methyl-2-(3-methyl-5-phenylfuran-2-yl)-5-oxo-2-phenyl-2,5-dihydro-1*H*-pyrrol-1-yl)amino)benzoate (3p)**



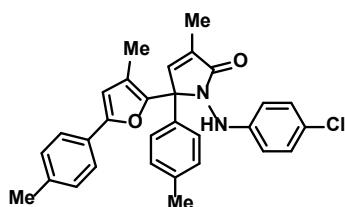
white solid (45.1 mg, 75% yield); mp: 223-225 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.66 (d,  $J$  = 8.4 Hz, 2H), 7.51 (d,  $J$  = 6.8 Hz, 2H), 7.38 (s, 1H), 7.35 (d,  $J$  = 5.6 Hz, 5H), 7.28 (s, 1H), 7.12 (s, 1H), 6.61 (s, 1H), 6.42-6.37 (m, 3H), 5.00 (d,  $J$  = 9.6 Hz, 1H), 2.42-2.38 (m, 1H), 2.09 (s, 3H), 2.01 (s, 1H), 1.76 (s, 1H), 1.70 (s, 1H), 1.60 (s, 3H), 1.33-1.21 (m, 2H), 1.03 (d,  $J$  = 14 Hz, 1H), 0.94 (s, 3H), 0.90 (s, 3H), 0.85 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.5, 166.8, 152.3, 150.9, 144.2, 130.8, 130.3, 129.3, 128.8, 127.7, 123.9, 122.6, 111.9, 110.1, 79.8, 49.1, 47.9, 45.1, 37.0, 28.1, 27.4, 19.8, 19.0, 13.7, 11.6; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3268, 2925, 1703, 1606, 1486, 1448, 761, 693; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{39}\text{H}_{39}\text{N}_2\text{O}_4$  599.2910; Found 599.2909.

**(3s,5s,7s)-adamantan-1-yl 4-((4-methyl-2-(3-methyl-5-phenylfuran-2-yl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl)amino)benzoate (3q)**



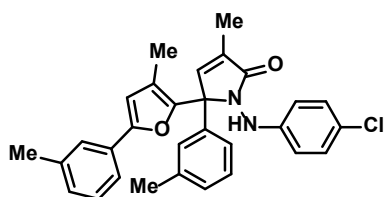
white solid (46.7 mg, 78% yield); mp: 244-246 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.58 (d,  $J$  = 8.0 Hz, 2H), 7.51 (d,  $J$  = 6.8 Hz, 2H), 7.38 (s, 1H), 7.35 (d,  $J$  = 7.6 Hz, 5H), 7.28 (s, 1H), 7.25 (s, 1H), 7.09 (s, 1H), 6.53 (s, 1H), 6.35 (d,  $J$  = 8.4 Hz, 3H), 2.17 (s, 9H), 2.07 (s, 3H), 1.68 (s, 6H), 1.58 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.5, 166.8, 152.3, 150.9, 144.2, 130.8, 130.3, 129.3, 128.8, 127.7, 123.8, 122.62, 122.57, 111.9, 110.1, 79.8, 49.1, 47.9, 45.1, 37.0, 28.1, 27.4, 19.8, 19.0, 13.8, 11.6, 11.2; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3266, 2953, 1702, 1606, 1486, 1448, 761, 692; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{39}\text{H}_{37}\text{N}_2\text{O}_4$  597.2753; Found 597.2751.

**1-((4-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-(p-tolyl)furan-2-yl)-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3r)**



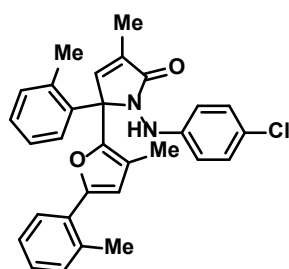
white solid (33.7 mg, 70% yield); mp: 171-172 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.42 (d, J = 7.6 Hz, 2H), 7.21 (s, 2H), 7.18 (d, J = 5.2 Hz, 4H), 7.07 (s, 1H), 6.87 (s, 1H), 6.85 (s, 1H), 6.42 (s, 1H), 6.31 (s, 2H), 6.28 (s, 1H), 2.38 (s, 3H), 2.36 (s, 3H), 2.07 (s, 3H), 1.57 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.8, 152.3, 145.7, 144.1, 138.4, 137.6, 134.2, 129.9, 129.5, 129.3, 128.6, 127.77, 127.75, 126.8, 125.0, 123.8, 114.2, 109.4, 71.0, 21.4, 21.2, 11.6, 11.3; IR (KBr, ν, cm<sup>-1</sup>): 3260, 2920, 1698, 1595, 1446, 1260, 761, 691; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>30</sub>H<sub>26</sub>ClN<sub>2</sub>O<sub>2</sub> 481.1683; Found 481.1680.

***1-((4-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-(m-tolyl)furan-2-yl)-5-(m-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3s)***



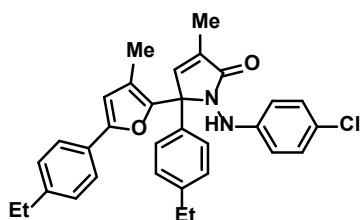
white solid (28.9 mg, 60% yield); mp: 196-198 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.31 (d, J = 7.6 Hz, 2H), 7.28 (s, 1H), 7.24 (d, J = 7.6 Hz, 2H), 7.15 (d, J = 6.8 Hz, 1H), 7.08 (d, J = 8.8 Hz, 4H), 6.88 (d, J = 8.0 Hz, 2H), 6.34 (d, J = 6.8 Hz, 2H), 6.31 (s, 1H), 2.37 (s, 3H), 2.33 (s, 3H), 2.06 (s, 3H), 1.60 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): δ 170.6, 152.4, 145.5, 144.3, 143.9, 139.1, 138.4, 137.2, 130.3, 129.3, 129.1, 128.7, 128.6, 128.5, 127.1, 125.1, 124.4, 124.0, 121.1, 114.2, 110.0, 71.1, 21.63, 21.58, 11.6, 11.3; IR (KBr, ν, cm<sup>-1</sup>): 3263, 2910, 1704, 1557, 1505, 1455, 749, 674; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>30</sub>H<sub>26</sub>ClN<sub>2</sub>O<sub>2</sub> 481.1683; Found 481.1680.

***1-((4-chlorophenyl)amino)-3-methyl-5-(3-methyl-5-(o-tolyl)furan-2-yl)-5-(o-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3t)***



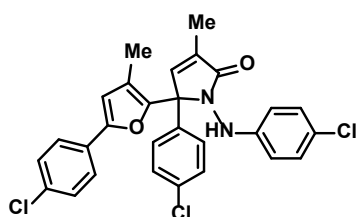
white solid (28.0 mg, 58% yield); mp: 130-132 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.71 (d, J = 7.6 Hz, 1H), 7.31 (s, 1H), 7.29 (s, 2H), 7.24 (d, J = 4.8 Hz, 2H), 7.22 (s, 1H), 7.19-7.15 (m, 1H), 7.03 (d, J = 8.4 Hz, 2H), 6.69 (s, 1H), 6.56 (d, J = 8.4 Hz, 2H), 6.40 (s, 1H), 5.62 (s, 1H), 2.54 (s, 3H), 2.40 (s, 3H), 2.20 (s, 3H), 1.93 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 178.7, 151.6, 145.6, 145.0, 143.9, 137.5, 134.5, 131.3, 130.3, 130.2, 130.0, 129.6, 129.4, 129.0, 127.5, 126.9, 126.1, 125.7, 118.2, 114.7, 113.77, 113.75, 111.8, 71.0, 22.12, 22.08, 20.2, 11.1; IR (KBr, ν, cm<sup>-1</sup>): 3262, 2921, 1698, 1598, 1490, 1455, 784, 695; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>30</sub>H<sub>26</sub>ClN<sub>2</sub>O<sub>2</sub> 481.1683; Found 481.1692.

***1-((4-chlorophenyl)amino)-5-(4-ethylphenyl)-5-(5-(4-ethylphenyl)-3-methylfuran-2-yl)-3-methyl-1,5-dihydro-2H-pyrrol-2-one (3u)***



white solid (33.2 mg, 65% yield); mp: 175-176 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.42 (d, J = 8.0 Hz, 2H), 7.20 (s, 4H), 7.17 (s, 2H), 7.06 (s, 1H), 6.87 (d, J = 8.4 Hz, 2H), 6.31 (s, 2H), 6.29 (s, 1H), 6.23 (s, 1H), 2.70-2.63 (m, 4H), 1.59 (s, 3H), 1.27 (d, J = 7.6 Hz, 3H), 1.23 (d, J = 8.4 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.7, 152.4, 145.6, 144.7, 144.1, 144.0, 134.4, 128.63, 128.57, 128.3, 128.0, 126.8, 125.0, 123.9, 114.2, 109.4, 70.9, 28.8, 28.5, 15.7, 15.5, 11.6, 11.3; IR (KBr, ν, cm<sup>-1</sup>): 3272, 2941, 1715, 1599, 1490, 1456, 818, 761; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>32</sub>H<sub>30</sub>ClN<sub>2</sub>O<sub>2</sub> 509.1996; Found 509.2003.

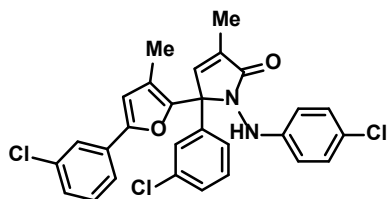
***5-(4-chlorophenyl)-5-(5-(4-chlorophenyl)-3-methylfuran-2-yl)-1-((4-chlorophenyl)amino)-3-methyl-1,5-dihydro-2H-pyrrol-2-one (3v)***



white solid (39.2 mg, 75% yield); mp: 137-138 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.40 (d, J = 8.0 Hz, 2H), 7.34-7.31 (m, 4H), 7.24 (s, 1H), 7.20-7.17 (m, 1H), 7.03 (s, 1H), 6.87 (d, J = 8.0 Hz,

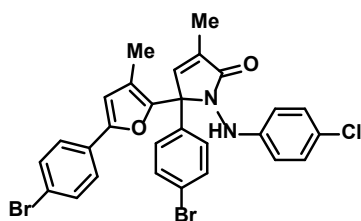
2H), 6.36 (s, 2H), 6.27 (d, J = 8.0 Hz, 2H), 2.06 (s, 3H), 1.59 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.5, 151.3, 145.3, 144.3, 135.8, 134.6, 133.5, 129.4, 129.2, 129.0, 128.9, 128.7, 128.3, 125.4, 125.0, 124.9, 114.9, 110.5, 70.5, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3263, 2965, 1699, 1597, 1491, 1417, 817, 763; HRMS (ESI -TOF) m/z: [M-H]<sup>-</sup> Calcd for C<sub>28</sub>H<sub>20</sub>Cl<sub>3</sub>N<sub>2</sub>O<sub>2</sub> 521.0590; Found 521.0599.

**5-(3-chlorophenyl)-5-(5-(3-chlorophenyl)-3-methylfuran-2-yl)-1-((4-chlorophenyl)amino)-3-methyl-1,5-dihydro-2H-pyrrol-2-one (3w)**



white solid (33.9 mg, 65% yield); mp: 165-167 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.36 (s, 1H), 7.33 (d, J = 6.8 Hz, 2H), 7.29 (d, J = 7.2 Hz, 2H), 7.24 (d, J = 8.0 Hz, 1H), 7.18 (d, J = 6.4 Hz, 1H), 7.04 (s, 1H), 6.88 (d, J = 8.0 Hz, 2H), 6.39 (s, 2H), 6.29 (d, J = 8.4 Hz, 2H), 2.08 (s, 3H), 1.62 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.4, 151.0, 145.1, 144.4, 142.9, 139.4, 135.2, 134.8, 132.1, 131.8, 130.4, 130.0, 128.7, 128.6, 127.7, 126.8, 125.4, 125.0, 123.7, 122.8, 121.9, 114.1, 111.1, 70.5, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3259, 2913, 1700, 1565, 1490, 1404, 816, 743; HRMS (ESI -TOF) m/z: [M-H]<sup>-</sup> Calcd for C<sub>28</sub>H<sub>20</sub>Cl<sub>3</sub>N<sub>2</sub>O<sub>2</sub> 521.0590; Found 521.0590.

**5-(4-bromophenyl)-5-(5-(4-bromophenyl)-3-methylfuran-2-yl)-1-((4-chlorophenyl)amino)-3-methyl-1,5-dihydro-2H-pyrrol-2-one (3x)**

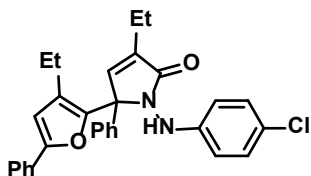


white solid (44.7 mg, 73% yield); mp: 176-178 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.51 (d, J = 7.6 Hz, 2H), 7.38 (s, 1H), 7.36-7.34 (m, 4H), 7.33 (s, 2H), 7.29 (s, 1H), 7.08 (s, 1H), 6.87 (d, J = 8.4 Hz, 2H), 6.37 (s, 1H), 6.30 (d, J = 8.4 Hz, 3H), 2.06 (s, 3H), 1.58 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.7, 152.2, 144.5, 144.4, 143.7, 137.3, 130.4, 129.2, 128.8, 128.63, 128.57, 127.7, 126.9, 125.2, 123.8, 123.8, 114.2, 110.1, 71.1, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3258, 2910, 1703, 1594,



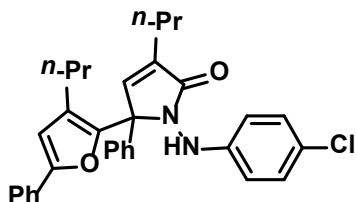
1490, 1404, 783, 769; HRMS (ESI -TOF)  $m/z$ :  $[M-H]^-$  Calcd for  $C_{28}H_{20}Br_2ClN_2O_2$  610.9560; Found 610.9568.

***1-((4-chlorophenyl)amino)-3-ethyl-5-(3-ethyl-5-phenylfuran-2-yl)-5-phenyl-1,5-dihydro-2H-pyrrol-2-one (3aa)***



white solid (29.0 mg, 62% yield); mp: 188-190 °C;  $^1H$  NMR (400 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 7.51 (d,  $J$  = 7.6 Hz, 2H), 7.38-7.34 (m, 5H), 7.29 (s, 2H), 7.29 (s, 1H), 7.02 (s, 1H), 6.85 (d,  $J$  = 8.4 Hz, 2H), 6.49 (s, 1H), 6.37 (s, 1H), 6.27 (d,  $J$  = 8.4 Hz, 2H), 2.51-2.42 (m, 2H), 2.00-1.93 (m, 2H), 1.28-1.24 (m, 3H), 0.88-0.85 (m, 3H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 170.4, 152.4, 145.6, 143.8, 142.2, 137.6, 130.4, 129.1, 128.8, 128.6, 128.5, 127.7, 126.8, 125.1, 123.8, 123.8, 114.2, 107.9, 71.2, 19.3, 18.5, 13.9, 11.7; IR (KBr,  $\nu$ ,  $cm^{-1}$ ): 3259, 2912, 1698, 1597, 1490, 1448, 760, 692; HRMS (ESI -TOF)  $m/z$ :  $[M-H]^-$  Calcd for  $C_{30}H_{26}ClN_2O_2$  481.1683; Found 481.1686.

***1-((4-chlorophenyl)amino)-5-phenyl-5-(5-phenyl-3-propylfuran-2-yl)-3-propyl-1,5-dihydro-2H-pyrrol-2-one (3bb)***



white solid (33.1 mg, 60% yield); mp: 204-205 °C;  $^1H$  NMR (400 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 7.48 (d,  $J$  = 7.6 Hz, 2H), 7.36 (d,  $J$  = 6.4 Hz, 5H), 7.33 (s, 2H), 7.27 (d,  $J$  = 5.4 Hz, 1H), 7.02 (s, 1H), 6.87 (d,  $J$  = 7.6 Hz, 2H), 6.46 (s, 1H), 6.29 (d,  $J$  = 8.0 Hz, 2H), 6.20 (s, 1H), 2.46-2.36 (m, 2H), 1.92 (s, 2H), 1.74-1.65 (m, 2H), 1.37-1.27 (m, 2H), 1.04-1.00 (m, 3H), 0.73-0.69 (m, 3H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 170.4, 152.3, 145.6, 144.1, 142.8, 137.5, 135.7, 130.3, 129.0, 128.7, 128.6, 128.5, 127.6, 127.3, 126.9, 125.1, 123.7, 114.2, 108.2, 71.1, 27.8, 27.2, 22.7, 20.6, 14.0, 13.8; IR (KBr,  $\nu$ ,  $cm^{-1}$ ): 3271, 2965, 1668, 1602, 1495, 1448, 748, 690; HRMS (ESI -TOF)  $m/z$ :  $[M-H]^-$  Calcd for  $C_{32}H_{30}ClN_2O_2$  509.1996; Found 509.1999.

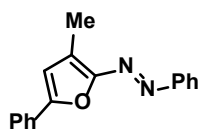
## General Procedure for the Synthesis of Products 5a-5i



Figure S13. Synthesis of Compounds 5

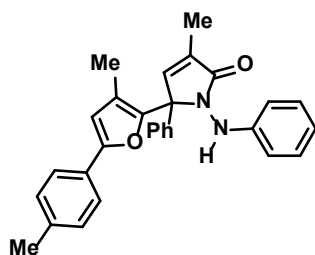
To a 10-mL pressure tube under air conditions, 2-methyl-4-(p-tolyl)but-3-yn-1,2-diol (**1b**, 0.1 mmol, 2 equiv, 38.0 mg), (*E*)-1-(3-methyl-5-phenylfuran-2-yl)-2-phenyldiazene (**4**, 0.1 mmol, 1 equiv, 26.2 mg), CF<sub>3</sub>COOH (0.03 mmol, 0.3 equiv, 3.4 mg), JohnPhosAu(MeCN)SbF<sub>6</sub> (5.0 mol%, 3.86 mg), dry 1,2-dichloroethane (2.0 mL) were successively added. The mixture was stirred at room temperature for about 12 hours. After the reaction was completed (indicated by TLC, petroleum ether : ethyl acetate = 5:1), the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography to afford the desired pure product (**5a**, 28.2 mg, 65% yield) as white solid.

### (*E*)-1-(3-methyl-5-phenylfuran-2-yl)-2-phenyldiazene (**4**)



orange solid (15.7 mg, 60% yield); mp: 98-99 °C; <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) (δ, ppm): 7.87-7.84 (m, 4H), 7.58-7.48 (m, 5H), 7.46-7.42 (m, 1H), 7.32 (s, 1H), 2.47 (s, 3H), <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) (δ, ppm): 155.4, 154.0, 153.6, 130.8, 130.0, 129.9, 129.7, 129.3, 125.3, 122.5, 113.1, 11.0. IR (KBr, ν, cm<sup>-1</sup>): 2913, 1615, 1565, 1490, 1404, 761, 693; HRMS (ESI -TOF) *m/z*: [M+H]<sup>+</sup> Calcd for C<sub>17</sub>H<sub>13</sub>N<sub>2</sub>O 263.1184; Found 263.1191.

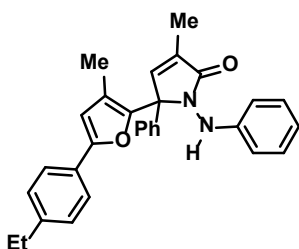
### 3-methyl-5-(3-methyl-5-(p-tolyl)furan-2-yl)-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (**5a**)



white solid (28.2 mg, 65% yield); mp: 189-191 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.43 (d, J = 8.0 Hz, 2H), 7.36 (s, 5H), 7.18 (d, J = 8.0 Hz, 2H), 7.09 (s, 1H), 6.97-6.93 (m, 2H), 6.70-6.67 (m,

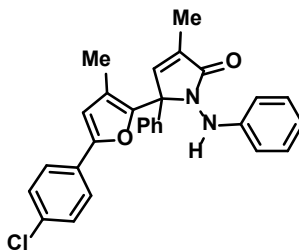
1H), 6.41 (d, J = 8.0 Hz, 2H), 6.31 (s, 2H), 2.39 (s, 3H), 2.09 (s, 3H), 1.59 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.8, 152.3, 146.8, 144.2, 143.7, 137.6, 137.5, 131.5, 129.4, 129.1, 128.7, 128.4, 127.9, 127.0, 123.8, 122.4, 120.4, 113.0, 109.4, 71.1, 21.4, 11.6, 11.3; IR (KBr, ν, cm<sup>-1</sup>): 3266, 2909, 1698, 1605, 1486, 1447, 740, 692; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>29</sub>H<sub>25</sub>N<sub>2</sub>O<sub>2</sub> 433.1916; Found 433.1922.

**5-(5-(4-ethylphenyl)-3-methylfuran-2-yl)-3-methyl-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5b)**



white solid (28.2 mg, 63% yield); mp: 199-201 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.44 (d, J = 8.0 Hz, 2H), 7.35 (s, 5H), 7.20 (d, J = 8.0 Hz, 2H), 7.07 (s, 1H), 6.97-6.93 (m, 2H), 6.70-6.66 (m, 1H), 6.40 (d, J = 8.0 Hz, 2H), 6.30 (s, 1H), 6.13 (s, 1H), 2.67-2.64 (m, 2H), 2.06 (s, 3H), 1.58 (s, 3H), 1.28-1.24 (t, J = 7.6 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.8, 152.3, 146.8, 144.2, 143.9, 137.6, 129.1, 128.7, 128.4, 128.2, 128.1, 127.0, 123.9, 120.5, 113.0, 109.4, 71.1, 28.8, 15.6, 11.6, 11.2; IR (KBr, ν, cm<sup>-1</sup>): 3266, 2945, 1698, 1603, 1497, 1398, 747, 690; HRMS (ESI -TOF) *m/z*: [M-H]<sup>-</sup> Calcd for C<sub>30</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub> 447.2073; Found 447.2081.

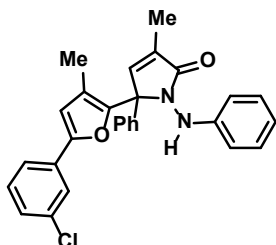
**5-(5-(4-chlorophenyl)-3-methylfuran-2-yl)-3-methyl-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5c)**



white solid (34.1 mg, 75% yield); mp: 180-181 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) (δ, ppm): 7.40 (d, J = 8.0 Hz, 2H), 7.36 (d, J = 6.4 Hz, 2H), 7.31 (d, J = 8.0 Hz, 5H), 7.06 (s, 1H), 6.95-6.92 (m, 2H), 6.69-6.66 (m, 1H), 6.39 (d, J = 8.0 Hz, 2H), 6.34 (s, 1H), 6.19 (s, 1H), 2.07 (s, 3H), 1.61 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) (δ, ppm): 170.7, 151.1, 146.7, 145.0, 143.4, 137.4, 133.2, 129.2, 129.0,

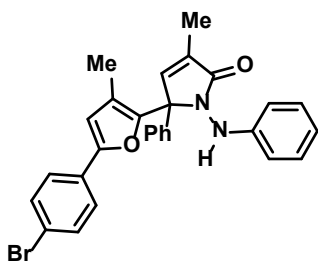
128.9, 128.7, 128.5, 126.9, 125.0, 123.8, 122.5, 120.5, 113.0, 110.5, 71.0, 11.6, 11.3; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3270, 2920, 1699, 1595, 1496, 1456, 746, 693; HRMS (ESI -TOF)  $m/z$ :  $[\text{M-H}]^-$  Calcd for  $\text{C}_{28}\text{H}_{22}\text{N}_2\text{O}_2$  453.1370; Found 453.1375.

**5-(5-(3-chlorophenyl)-3-methylfuran-2-yl)-3-methyl-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5d)**



white solid (30.9 mg, 68% yield); mp: 205-206 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm):  $\delta$  7.43 (s, 1H), 7.38-7.34 (m, 4H), 7.30 (d,  $J = 8.0$  Hz, 3H), 7.25-7.20 (m, 1H), 7.06 (s, 1H), 6.96-6.93 (m, 2H), 6.70-6.66 (m, 1H), 6.41-6.37 (m, 3H), 6.10 (s, 1H), 2.07 (s, 3H), 1.61 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.8, 152.1, 145.6, 144.5, 143.9, 137.3, 131.4, 130.4, 129.2, 128.81, 128.79, 128.6, 128.5, 127.7, 126.9, 125.0, 123.8, 114.1, 110.1, 71.1, 11.6, 11.3; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3271, 2922, 1699, 1601, 1496, 1447, 747, 692; HRMS (ESI -TOF)  $m/z$ :  $[\text{M-H}]^-$  Calcd for  $\text{C}_{28}\text{H}_{22}\text{N}_2\text{O}_2$  453.1370; Found 453.1379.

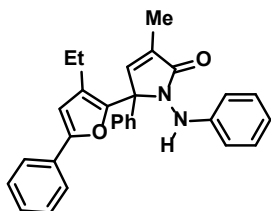
**5-(5-(4-bromophenyl)-3-methylfuran-2-yl)-3-methyl-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5e)**



white solid (35.9 mg, 72% yield); mp: 203-204 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.57 (d,  $J = 7.6$  Hz, 2H), 7.43 (s, 2H), 7.41 (s, 5H), 7.13 (s, 1H), 7.01-6.98 (m, 2H), 6.75-6.71 (m, 1H), 6.46 (d,  $J = 8.0$  Hz, 2H), 6.41 (s, 1H), 6.19 (s, 1H), 2.12 (s, 3H), 1.64 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 169.7, 151.1, 145.7, 143.6, 142.5, 136.5, 130.8, 130.6, 129.5, 128.1, 127.73, 127.68, 127.4, 126.6, 125.9, 122.8, 119.5, 112.0, 109.0, 70.1, 10.6, 10.2; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3269, 2965, 1699, 1602,

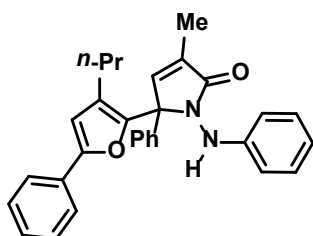
1496, 1448, 748, 692; HRMS (ESI -TOF)  $m/z$ :  $[M-H]^-$  Calcd for  $C_{28}H_{22}BrN_2O_2$  497.0865; Found 497.0873.

**5-(3-ethyl-5-phenylfuran-2-yl)-3-methyl-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5f)**



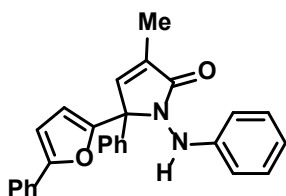
white solid (26.5 mg, 61% yield); mp: 198-200 °C;  $^1H$  NMR (400 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 7.55 (d,  $J = 7.6$  Hz, 2H), 7.38 (d,  $J = 8.4$  Hz, 2H), 7.36 (s, 5H), 7.29 (s, 1H), 7.08 (s, 1H), 6.96-6.92 (m, 2H), 6.69-6.66 (m, 1H), 6.48 (s, 1H), 6.40 (d,  $J = 8.0$  Hz, 2H), 6.29 (s, 1H), 2.08 (s, 3H), 2.01-1.94 (m, 2H), 0.88-0.88 (m, 3H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 169.7, 151.4, 145.8, 142.9, 142.6, 136.8, 129.5, 128.1, 128.0, 127.7, 127.6, 127.4, 126.6, 125.8, 122.8, 119.4, 112.0, 106.9, 70.1, 17.5, 12.6, 10.6; IR (KBr,  $\nu$ ,  $cm^{-1}$ ): 3114, 2925, 1710, 1652, 1595, 1493, 762, 693; HRMS (ESI -TOF)  $m/z$ :  $[M-H]^-$  Calcd for  $C_{28}H_{25}N_2O_2$  433.1916; Found 433.1923.

**3-methyl-5-phenyl-5-(5-phenyl-3-propylfuran-2-yl)-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5g)**



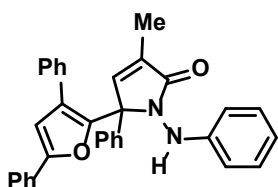
white solid (26.9 mg, 60% yield); mp: 199-201 °C;  $^1H$  NMR (400 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 7.51 (d,  $J = 7.6$  Hz, 2H), 7.36 (s, 5H), 7.34 (s, 2H), 7.28 (s, 1H), 7.08 (s, 1H), 6.96-6.92 (m, 2H), 6.70-6.66 (m, 1H), 6.46 (s, 1H), 6.41 (d,  $J = 8.0$  Hz, 2H), 6.16 (s, 1H), 2.08 (s, 3H), 1.96-1.92 (m, 2H), 1.37-1.29 (m, 6.9 Hz, 2H), 0.76-0.70 (m, 3H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ) ( $\delta$ , ppm): 170.7, 152.3, 146.7, 144.3, 143.4, 137.6, 131.6, 130.4, 129.0, 128.7, 128.6, 128.4, 127.5, 127.2, 127.0, 123.8, 120.4, 113.0, 108.2, 71.0, 27.2, 22.7, 14.0, 11.6; IR (KBr,  $\nu$ ,  $cm^{-1}$ ): 3115, 2925, 1710, 1653, 1595, 1493, 780, 692; HRMS (ESI -TOF)  $m/z$ :  $[M-H]^-$  Calcd for  $C_{30}H_{27}N_2O_2$  447.2073; Found. 447.2083.

**3-methyl-5-phenyl-1-(phenylamino)-5-(5-phenylfuran-2-yl)-1,5-dihydro-2H-pyrrol-2-one (5h)**



white solid (24.4 mg, 60% yield); mp: 202-203 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.42 - 7.34 (m, 5H), 7.316-7.280 (m, 4H), 7.26 - 7.19 (m, 1H), 7.09 (s, 1H), 7.00-6.97 (m, 2H), 7.71-6.67 (m, 1H), 6.56 (d,  $J = 2.8$  Hz, 1H), 6.49 (d,  $J = 8.0$  Hz, 2H), 6.34 (d,  $J = 2.4$  Hz, 1H), 5.95 (s, 1H), 2.09 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 170.9, 154.4, 146.8, 143.1, 137.1, 133.0, 130.3, 129.0, 128.8, 128.7, 128.6, 127.7, 127.4, 124.0, 120.7, 113.3, 112.4, 105.7, 70.4, 11.7; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3266, 2909, 1669, 1603, 1496, 1354, 747, 690; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{27}\text{H}_{21}\text{N}_2\text{O}_2$  405.1603; Found 405.1618.

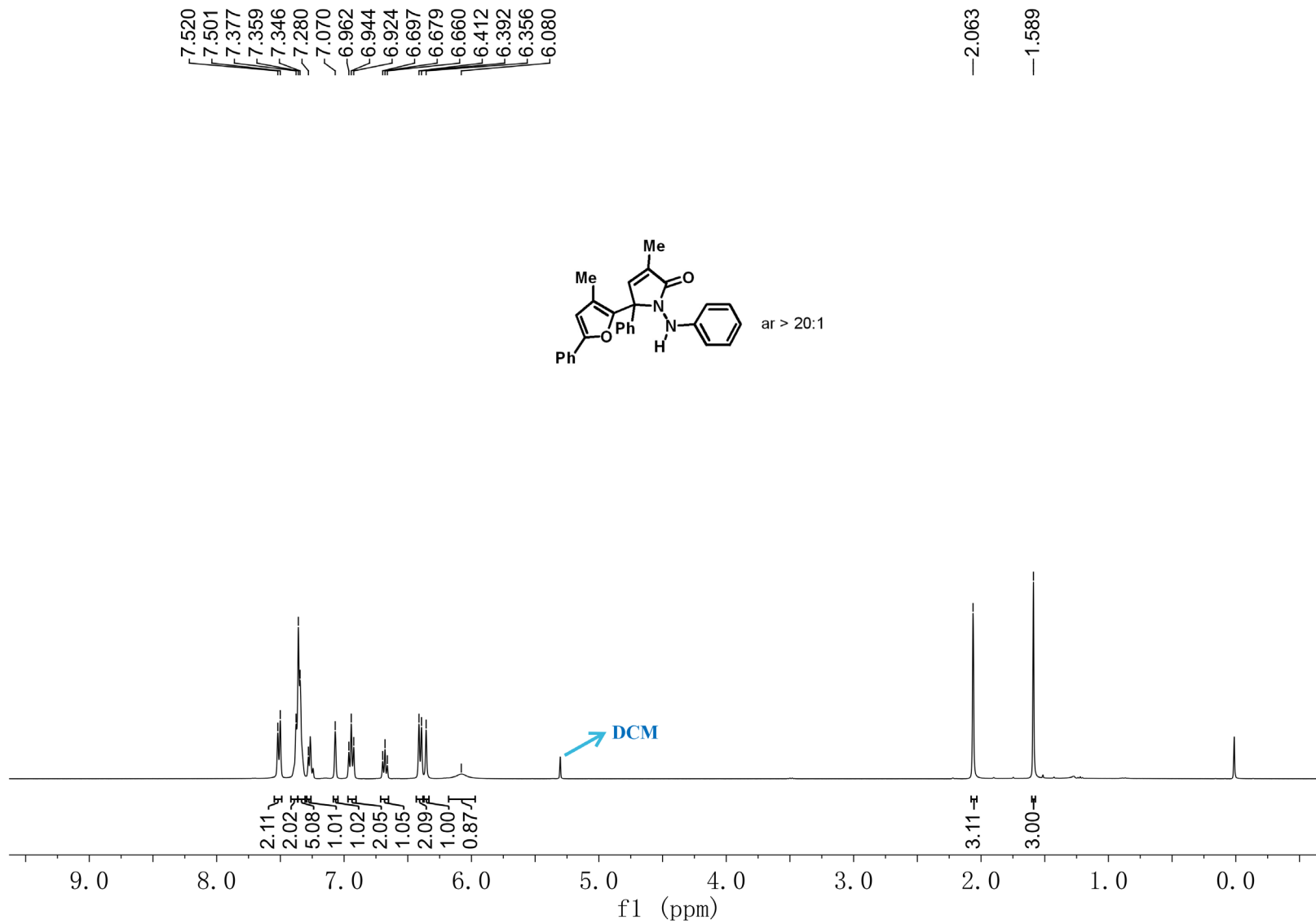
**5-(3,5-diphenylfuran-2-yl)-3-methyl-5-phenyl-1-(phenylamino)-1,5-dihydro-2H-pyrrol-2-one (5i)**



white solid (35.7 mg, 74% yield); mp: 204-205 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 7.42 (d,  $J = 6.4$  Hz, 2H), 7.34 - 7.29 (m, 5H), 7.26 (s, 1H), 7.23 (s, 7H), 6.98-6.94 (m, 2H), 6.88 (s, 1H), 6.68 - 6.65 (m, 2H), 6.42 (s, 2H), 5.81 (s, 1H), 2.08 (s, 1H), 1.99 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ) ( $\delta$ , ppm): 169.6, 152.1, 145.8, 144.1, 142.5, 136.3, 132.6, 129.12, 129.10, 128.7, 127.84, 127.79, 127.5, 127.1, 127.0, 126.6, 126.5, 123.1, 119.6, 112.4, 70.2, 10.6; IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ): 3270, 2965, 1668, 1602, 1496, 1448, 748, 692; HRMS (ESI -TOF)  $m/z$ :  $[\text{M}-\text{H}]^-$  Calcd for  $\text{C}_{33}\text{H}_{25}\text{N}_2\text{O}_2$  481.1916; Found 481.1910.

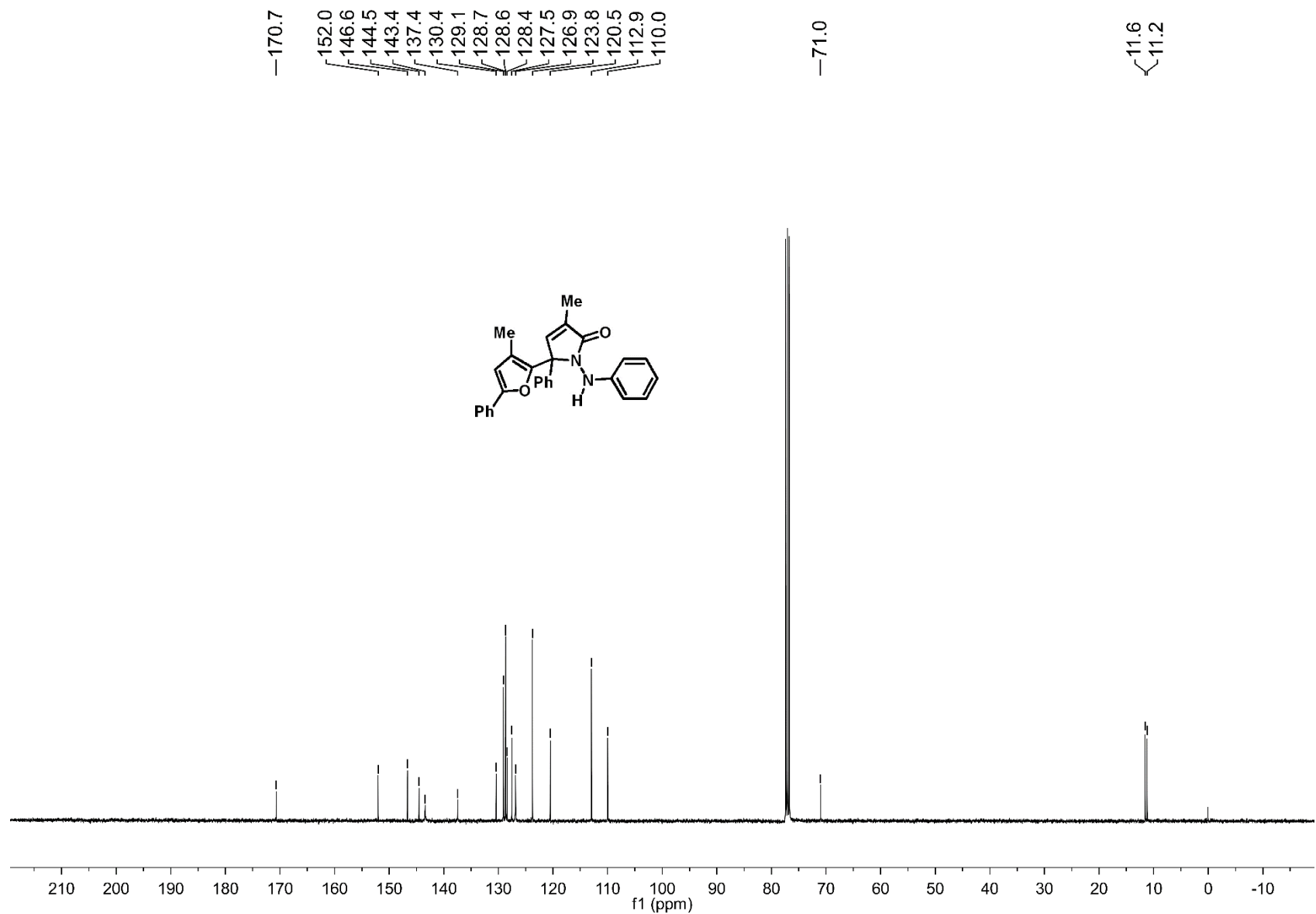
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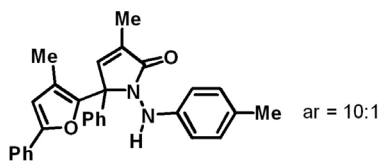
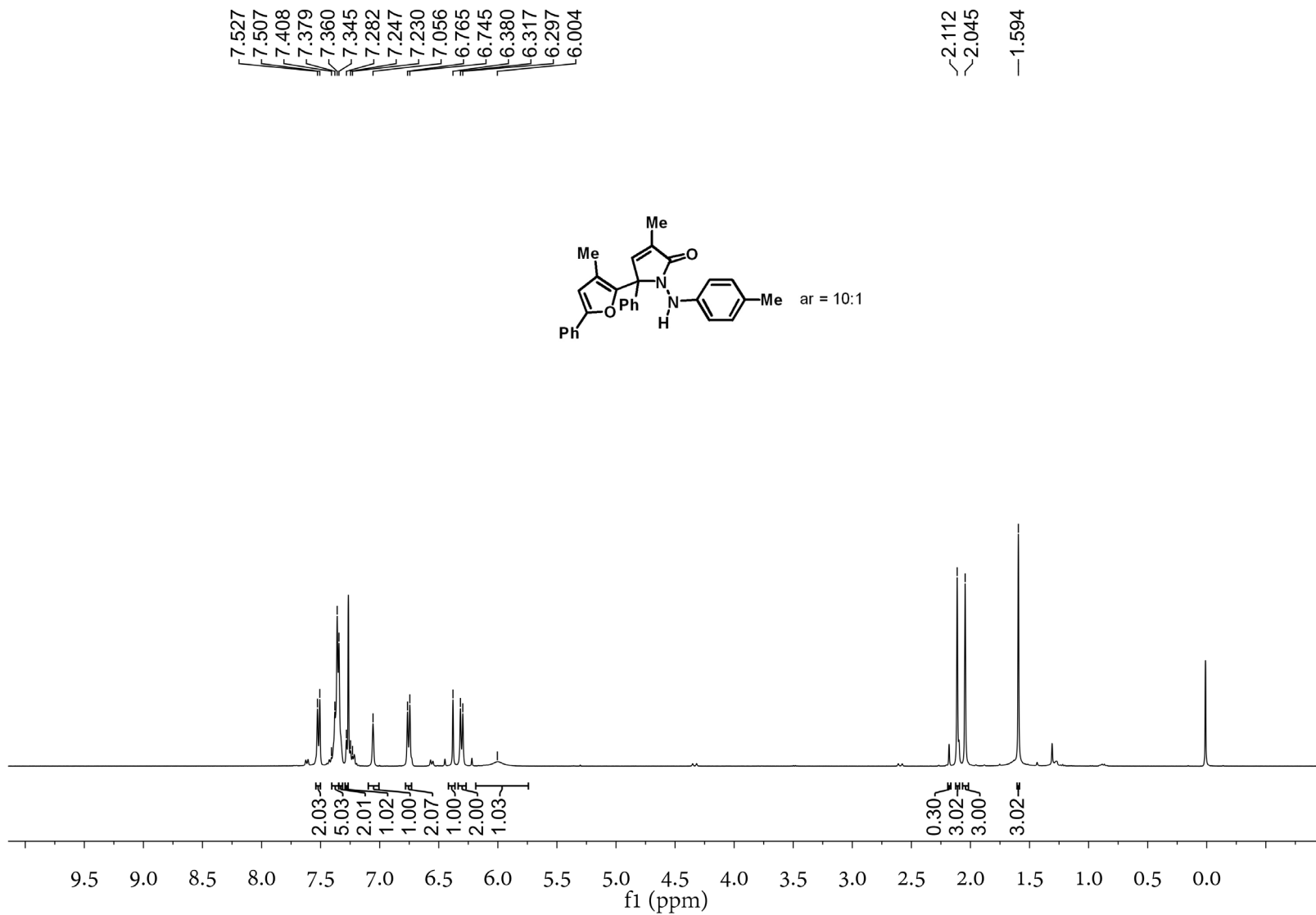


<sup>1</sup>H NMR Spectrum of Compound 3a

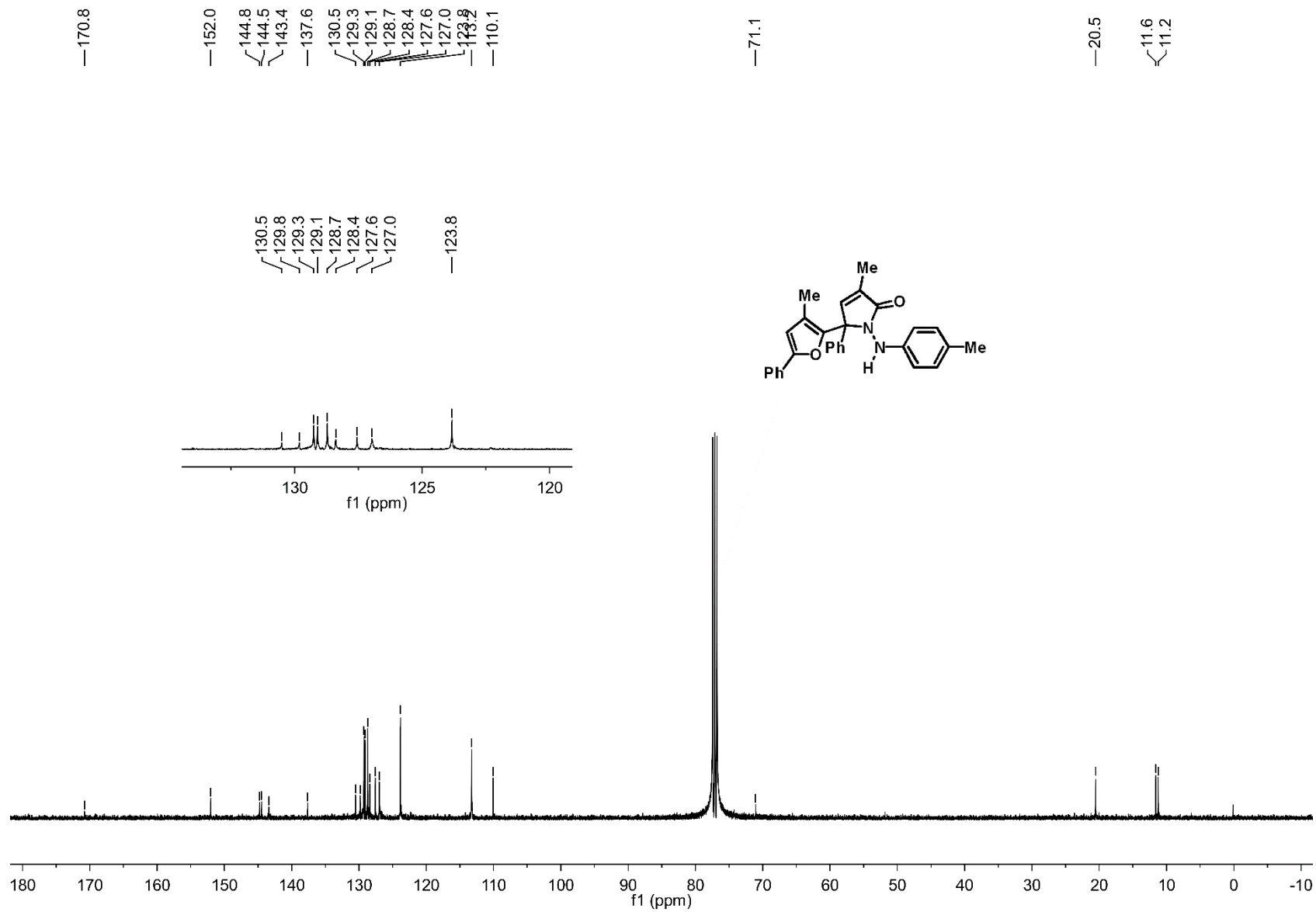




<sup>13</sup>C NMR Spectrum of Compound **3a**



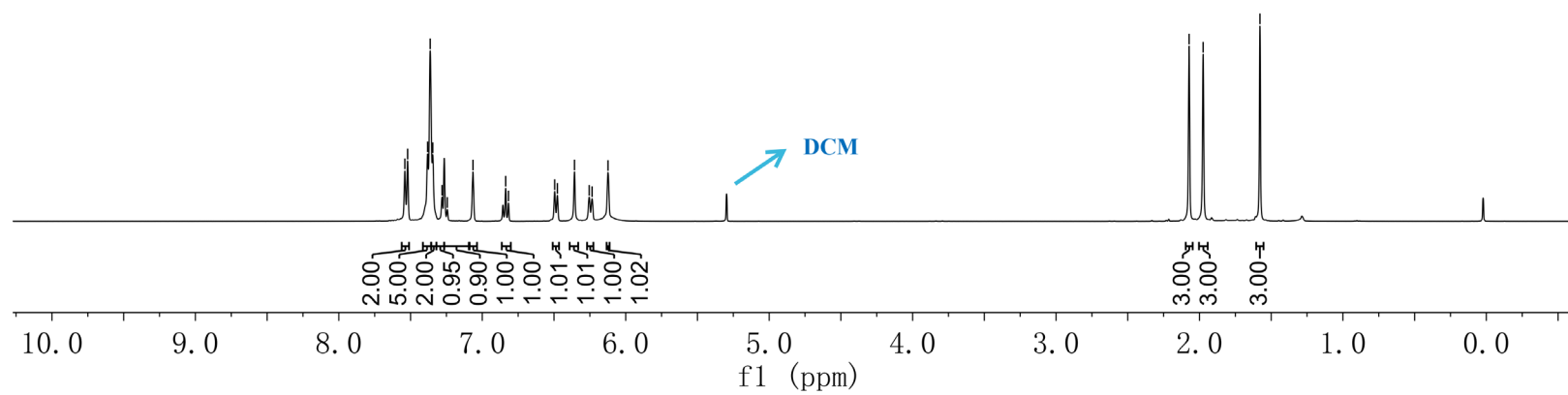
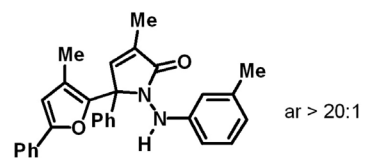
<sup>1</sup>H NMR Spectrum of Compound **3b**



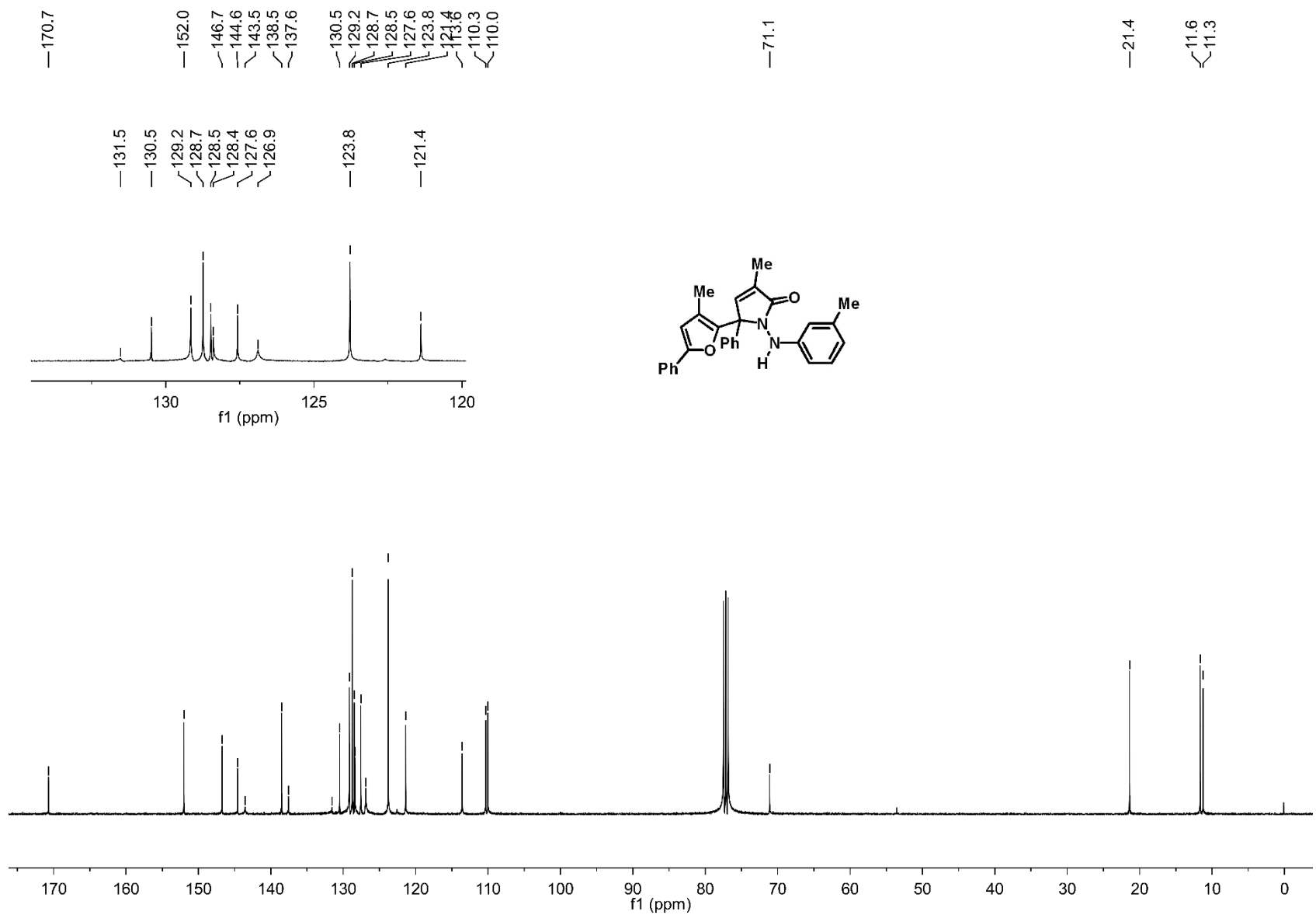
<sup>13</sup>C NMR Spectrum of Compound **3b**

7.540  
7.520  
7.381  
7.363  
7.345  
7.280  
7.244  
7.065  
6.837  
6.818  
6.495  
6.476  
6.357  
6.255  
6.234  
6.123

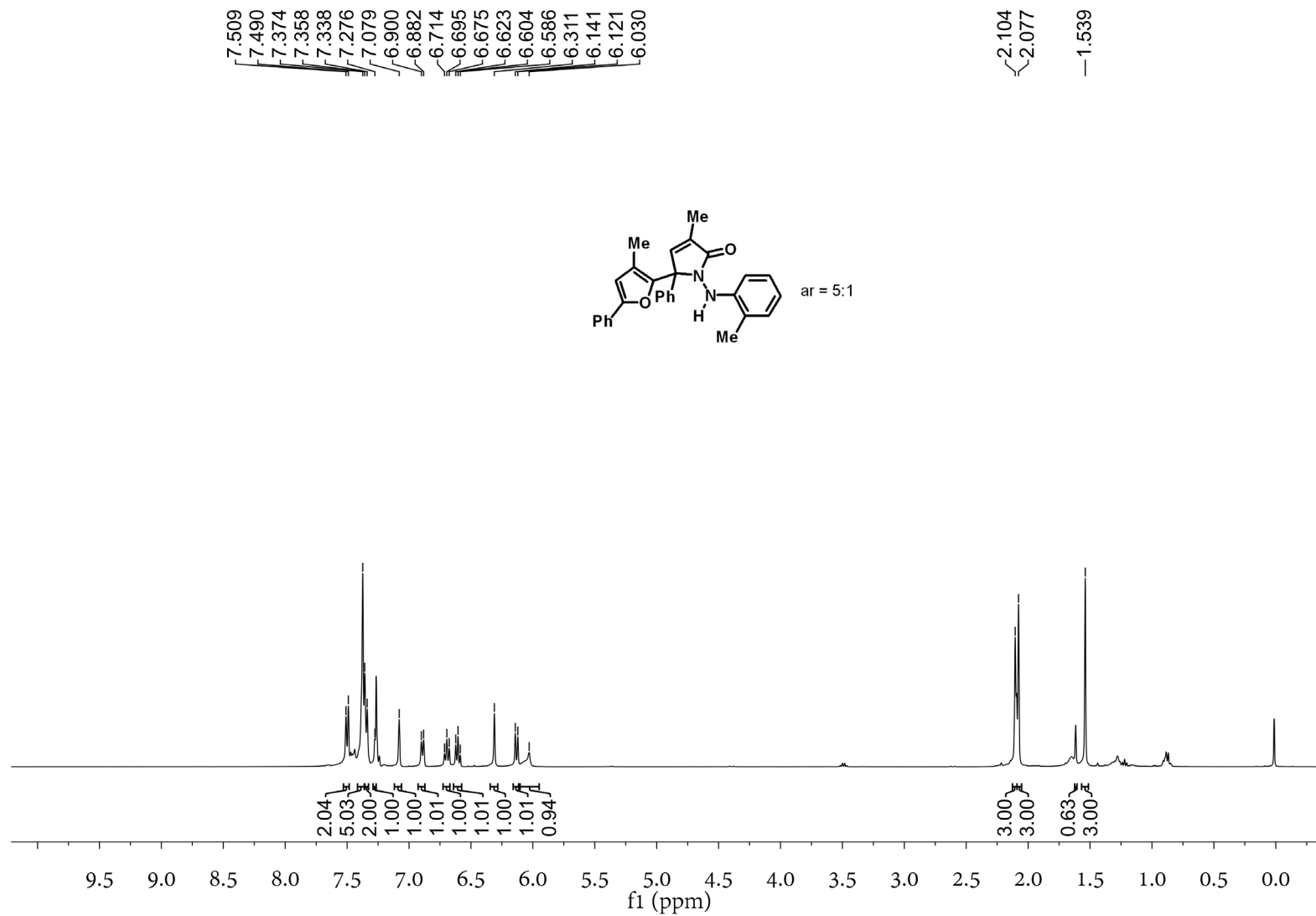
2.072  
1.974  
1.578

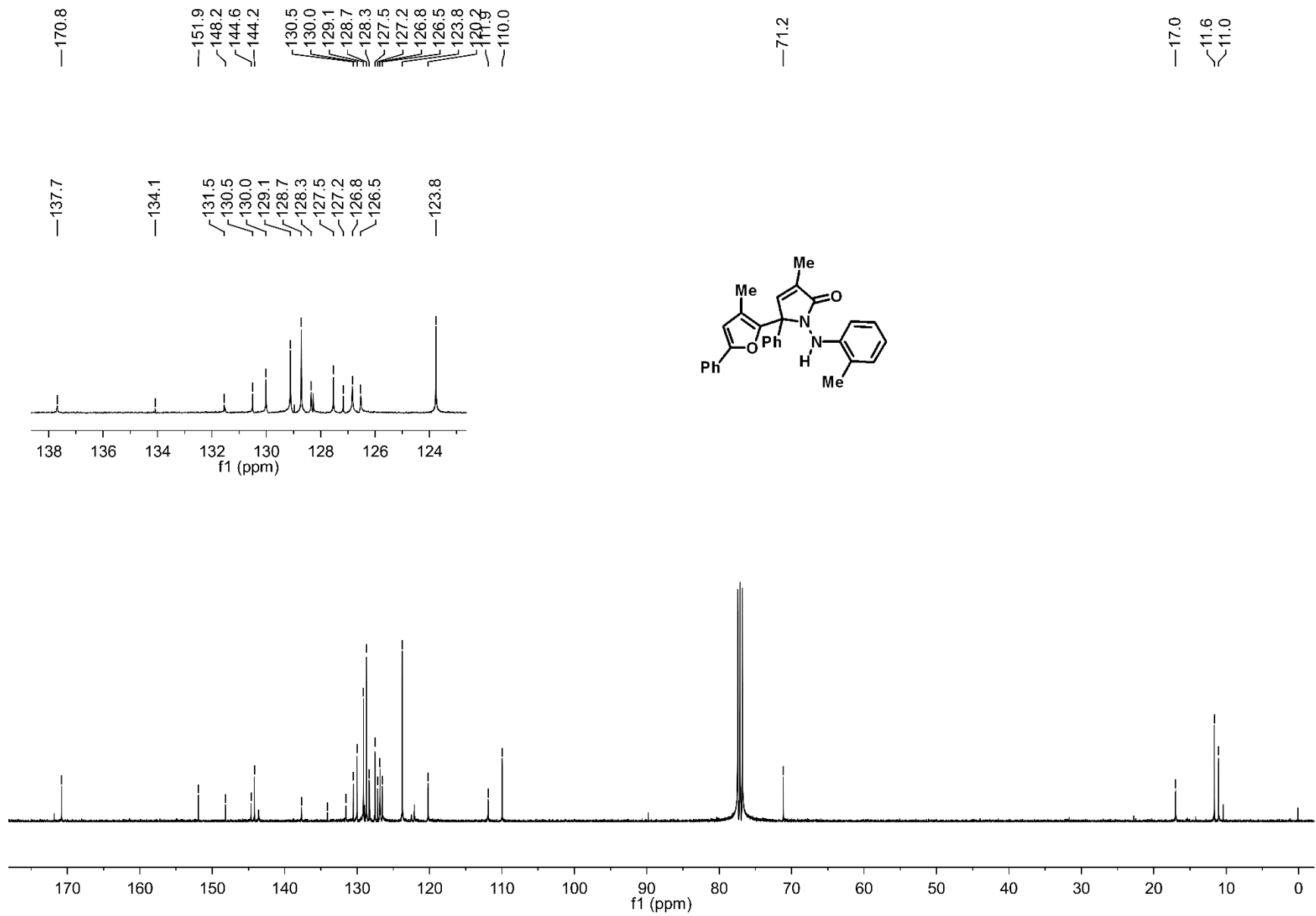


<sup>1</sup>H NMR Spectrum of Compound 3c

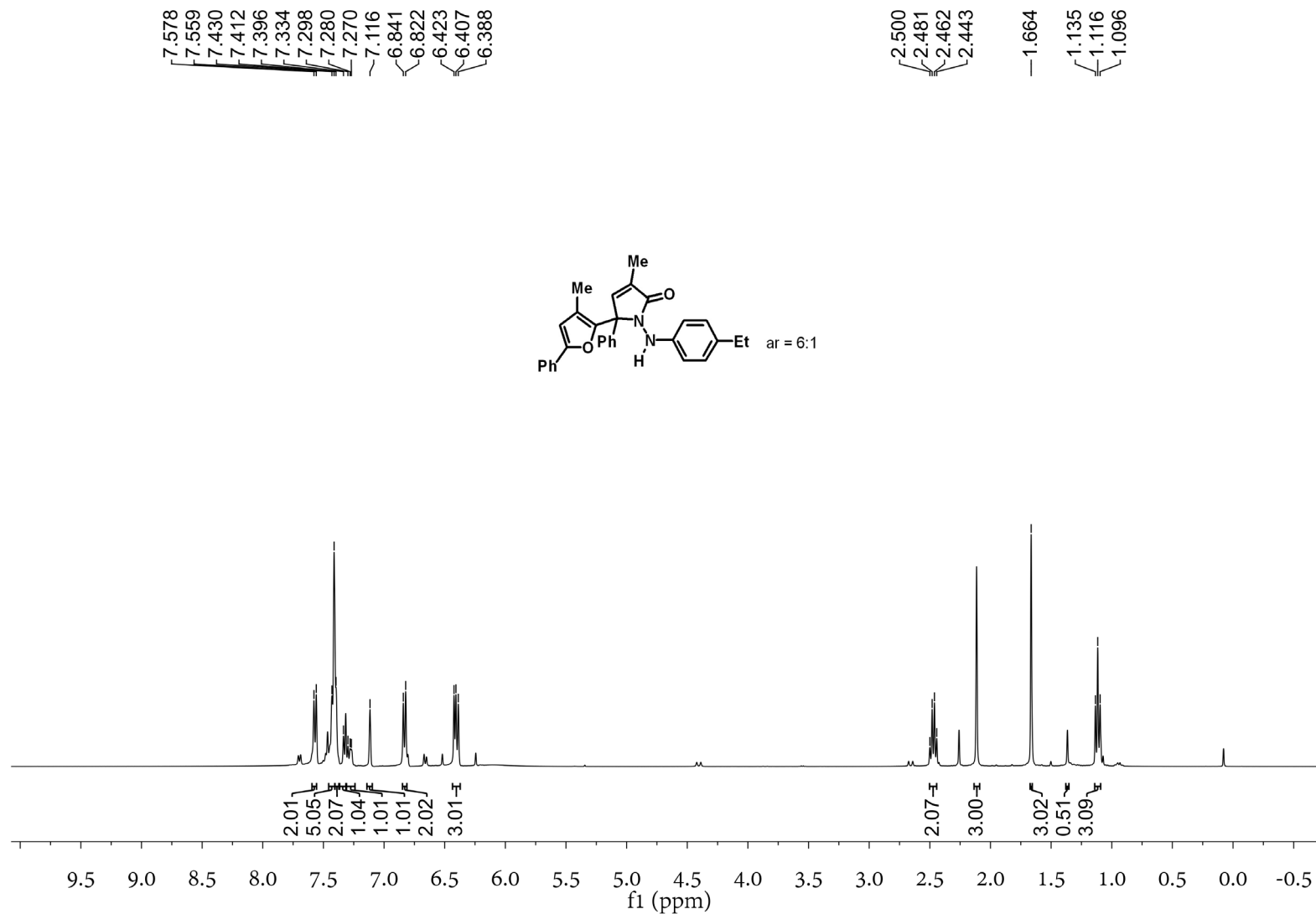


<sup>13</sup>C NMR Spectrum of Compound 3c



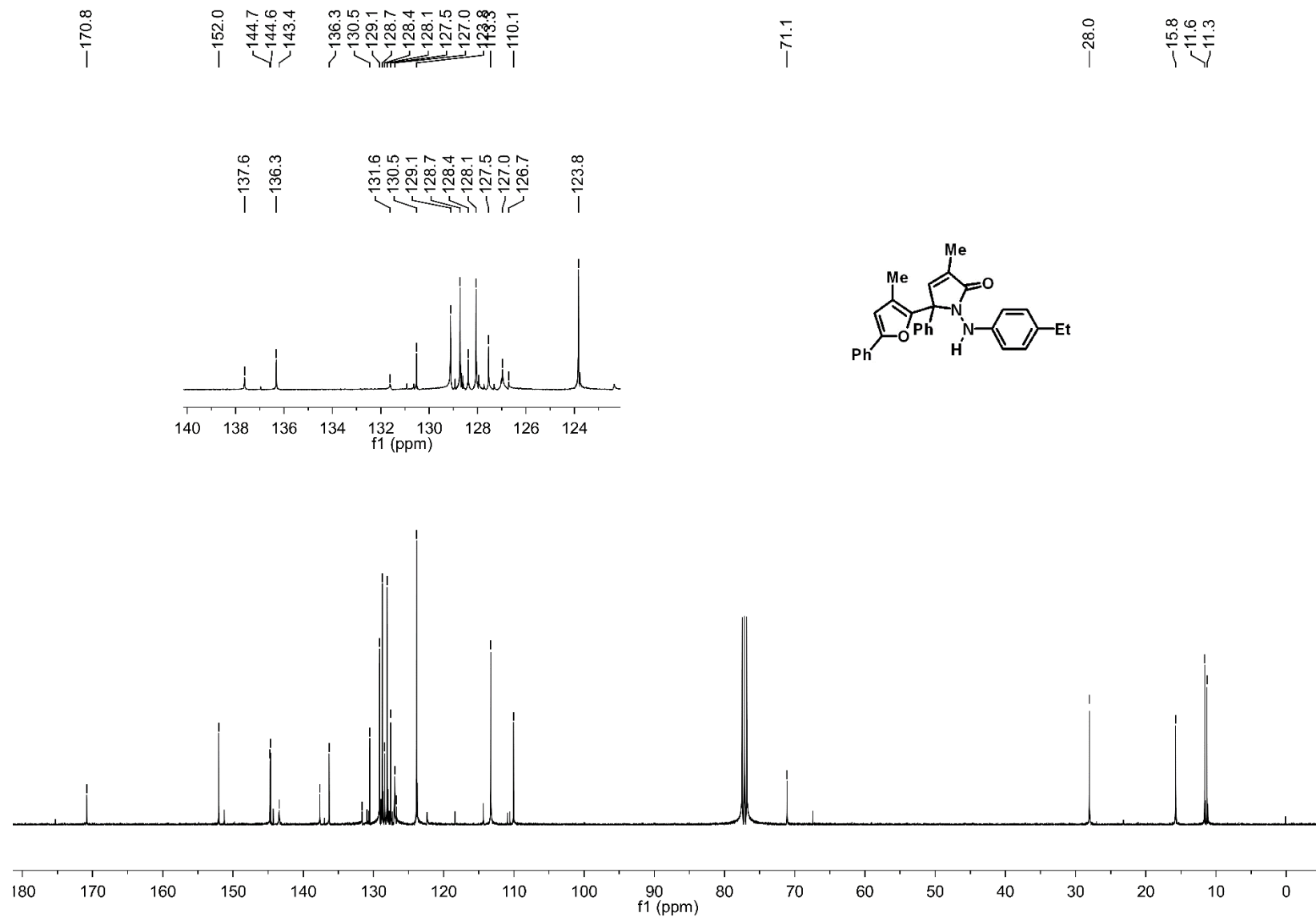


**<sup>13</sup>C NMR Spectrum of Compound 3d**

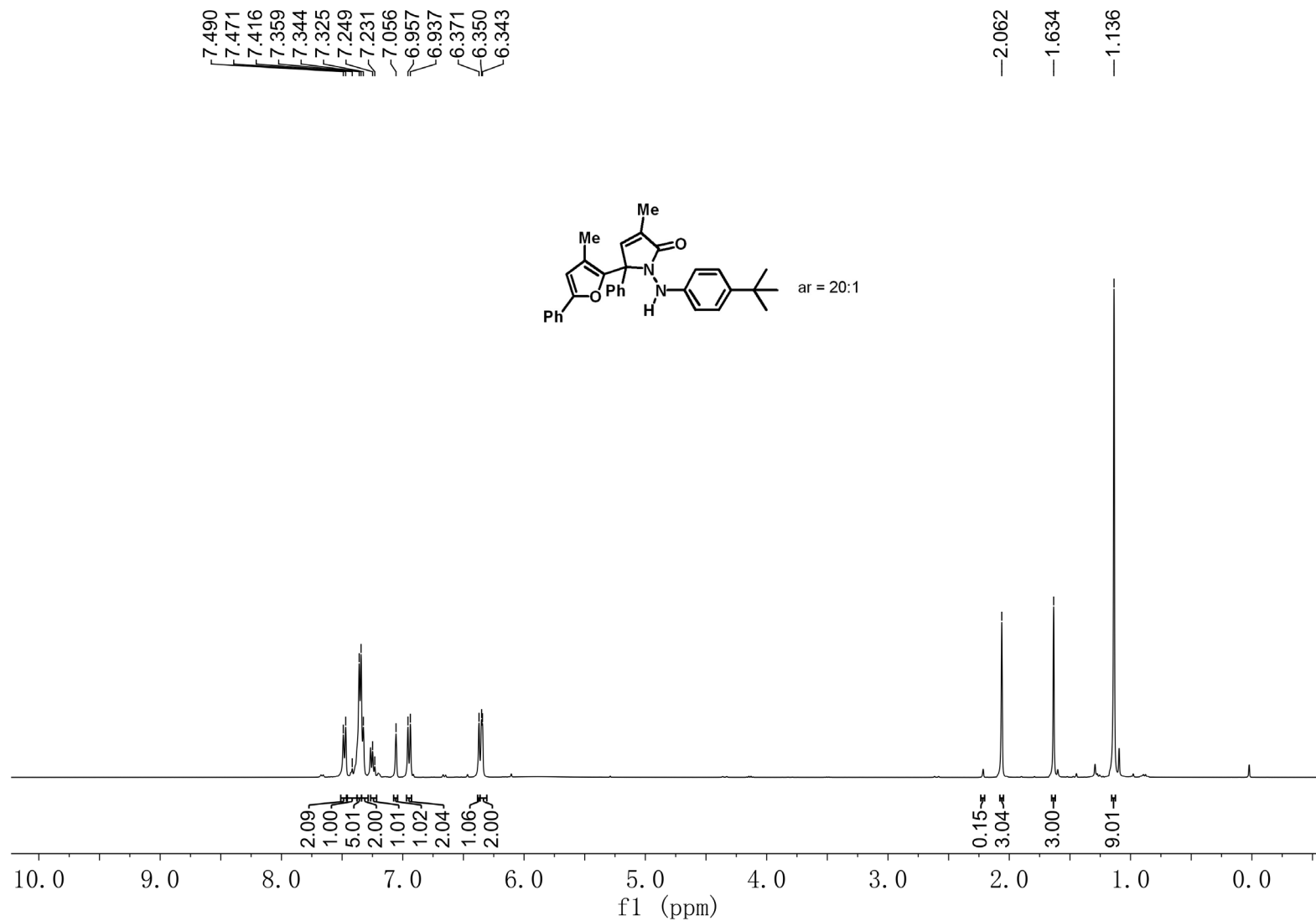


$^1\text{H}$  NMR Spectrum of Compound **3e**

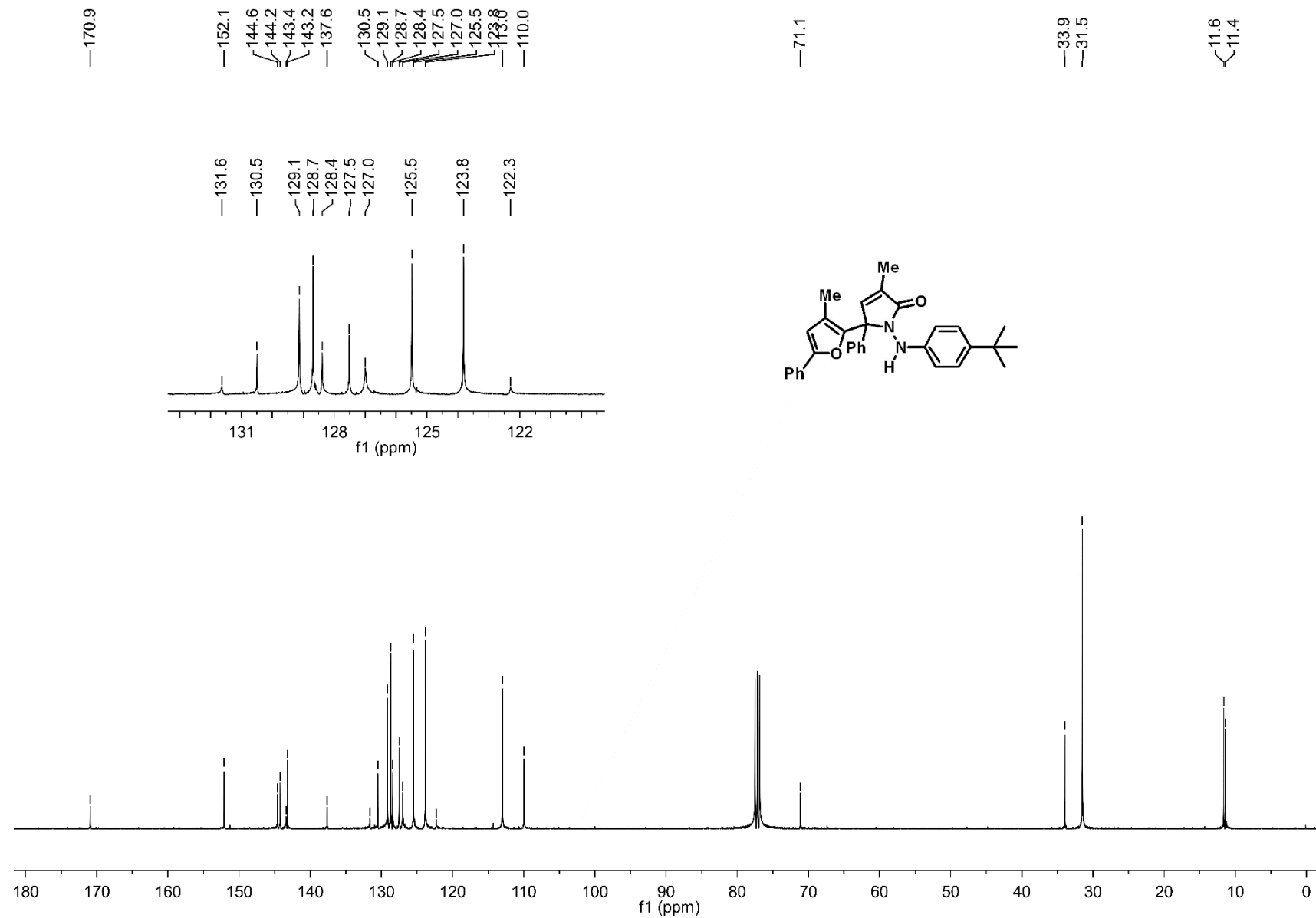




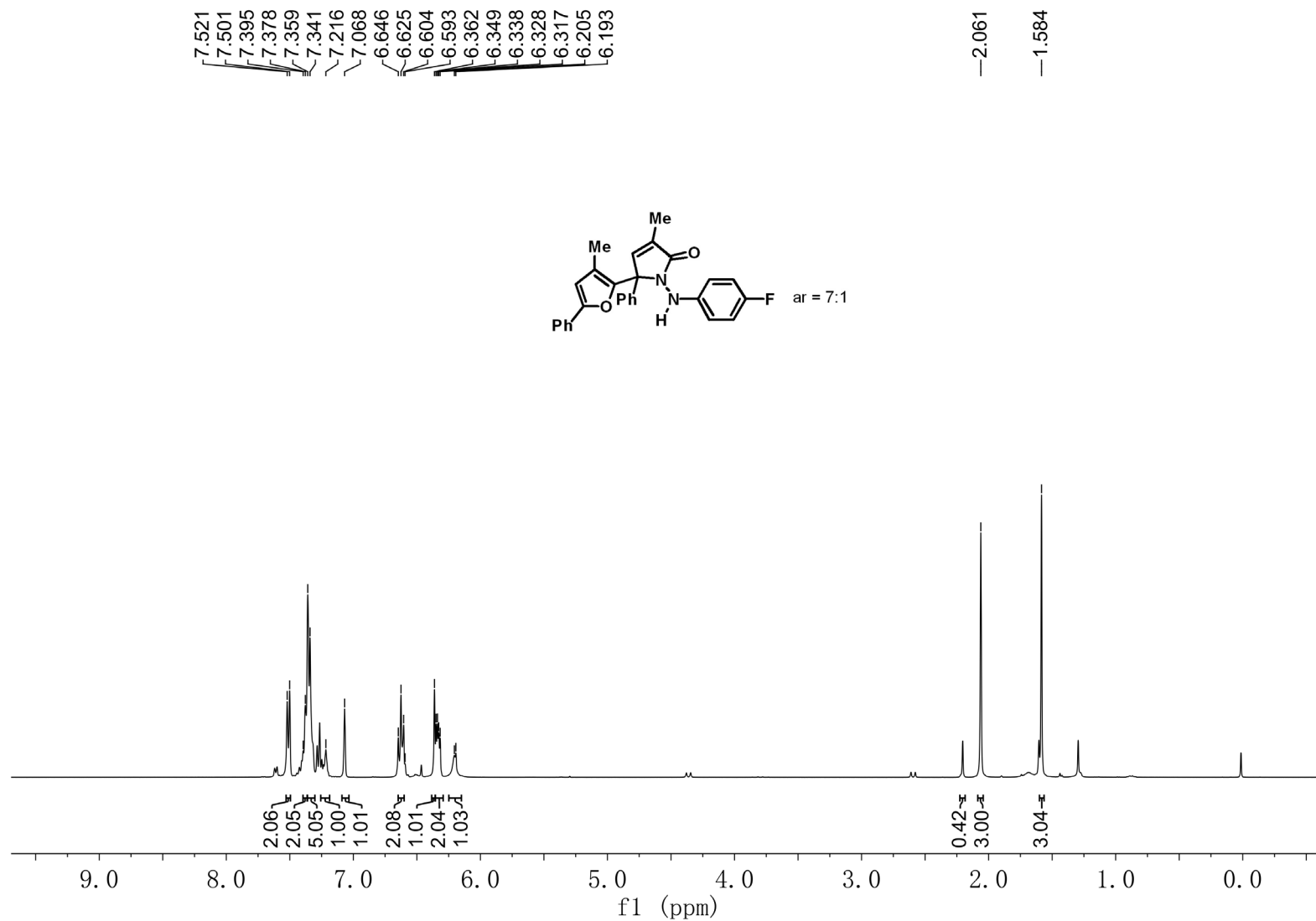
$^{13}\text{C}$  NMR Spectrum of Compound **3e**



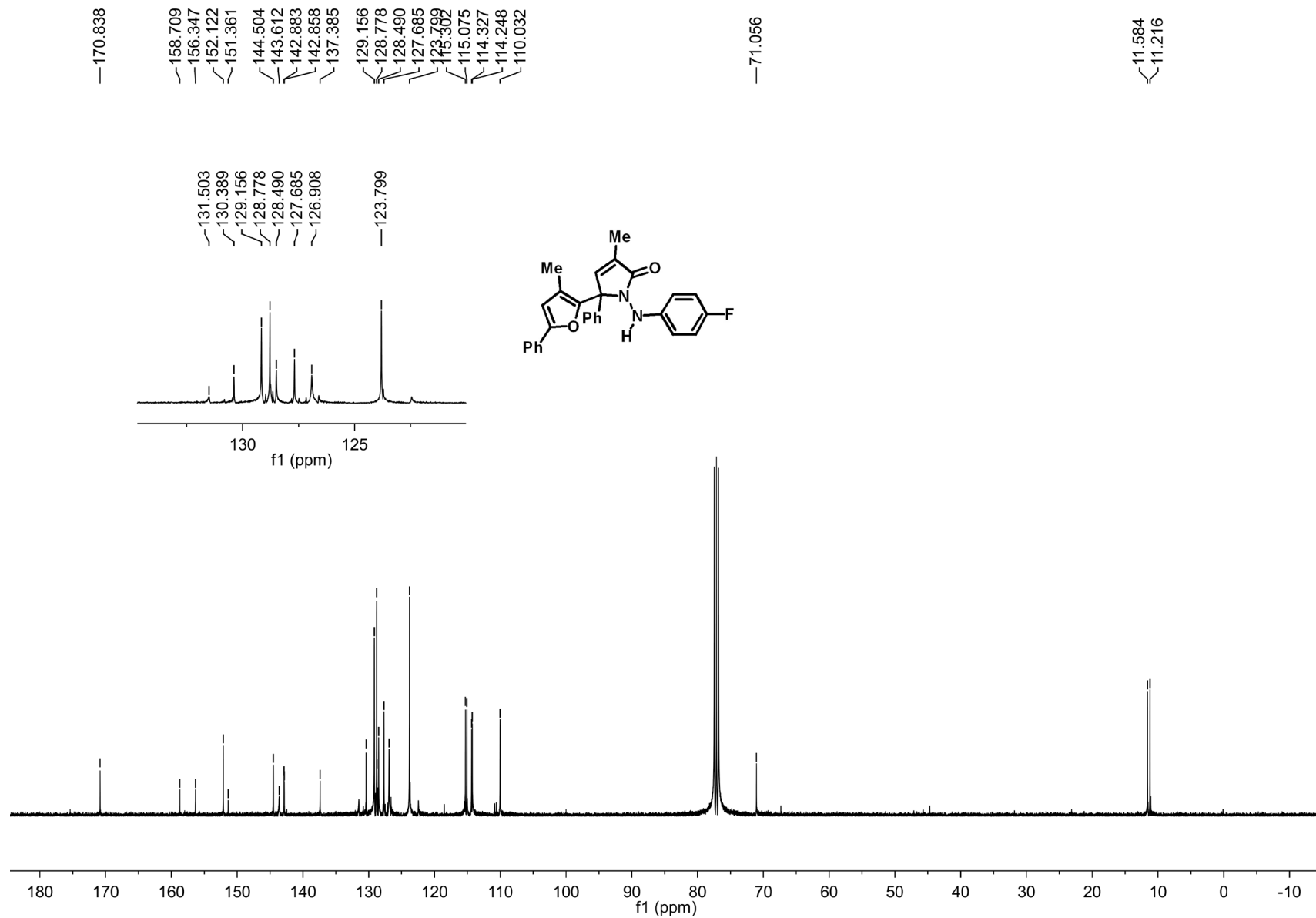
$^1\text{H}$  NMR Spectrum of Compound **3f**



$^{13}\text{C}$  NMR Spectrum of Compound 3f

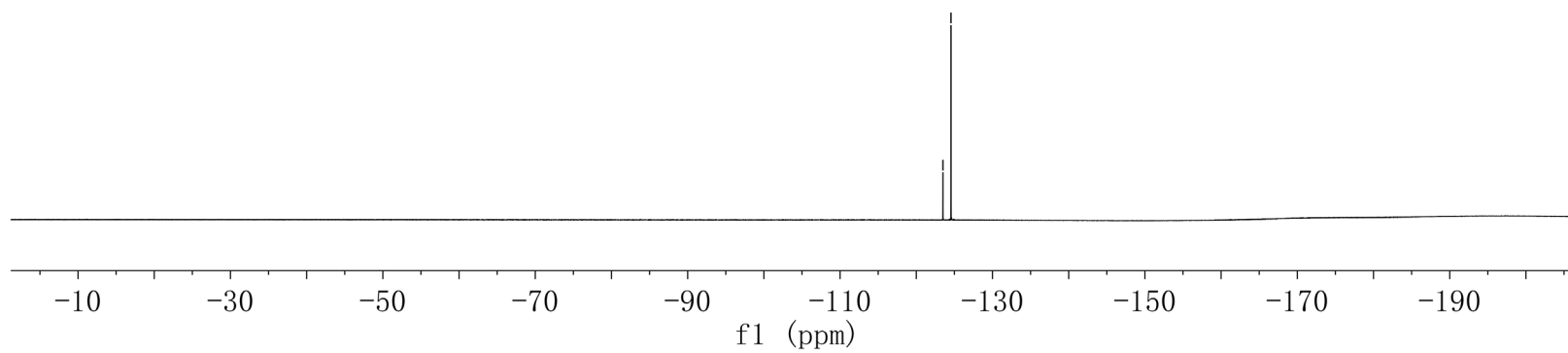
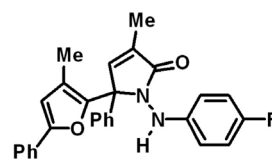


<sup>1</sup>H NMR Spectrum of Compound **3g**

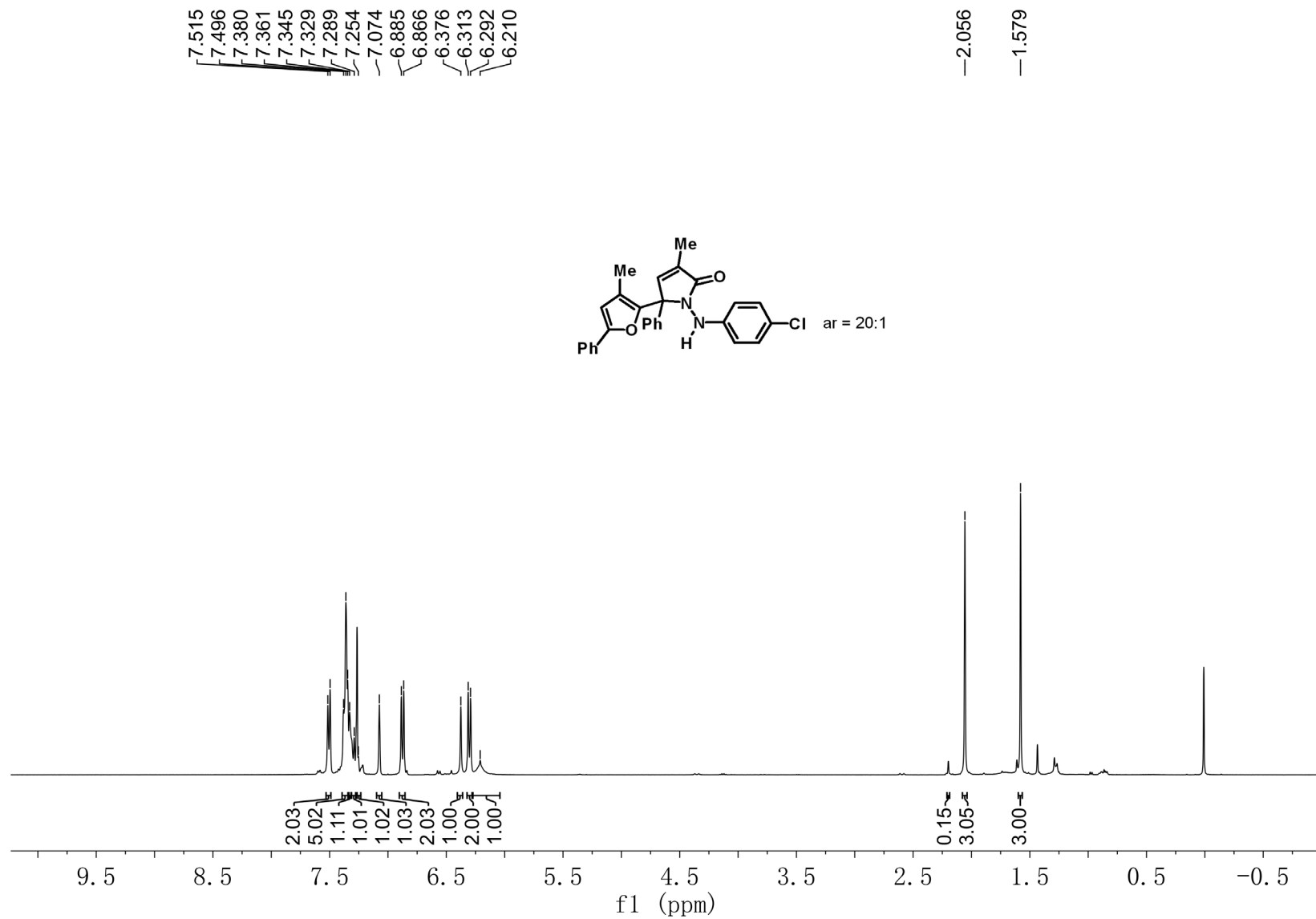


<sup>13</sup>C NMR Spectrum of Compound 3g

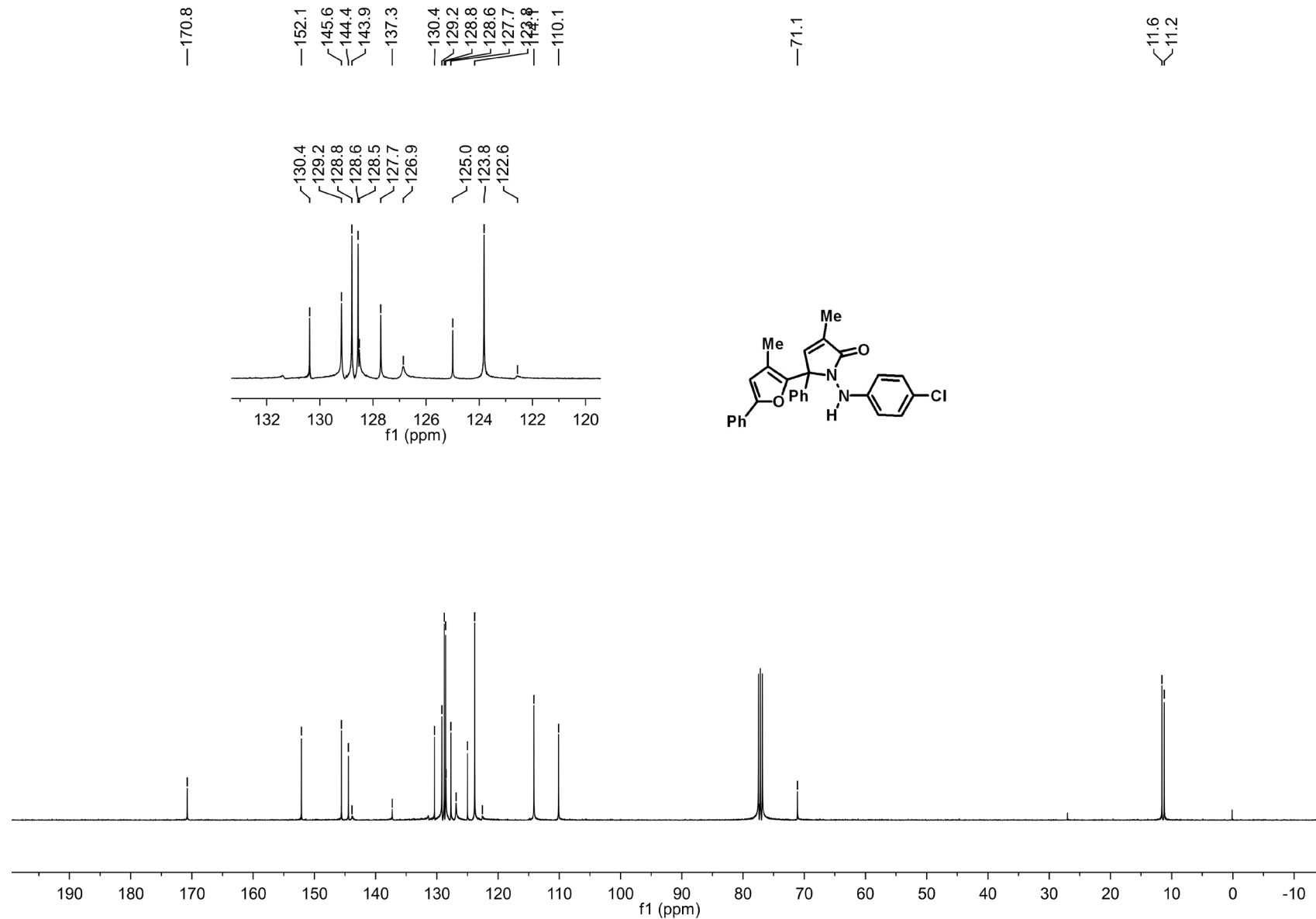
123.5  
124.6



$^{19}\text{F}$  NMR Spectrum of Compound **3g**

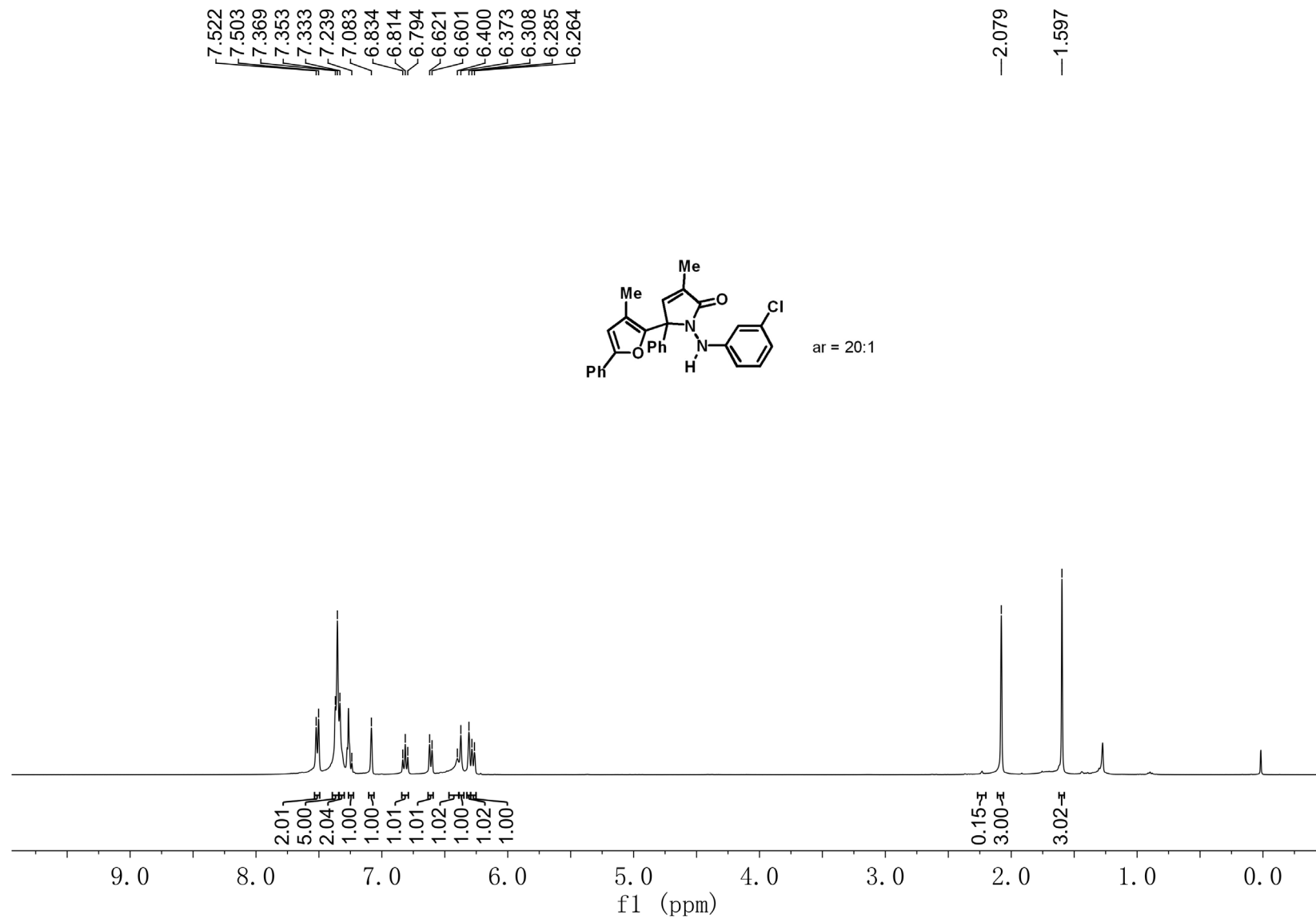


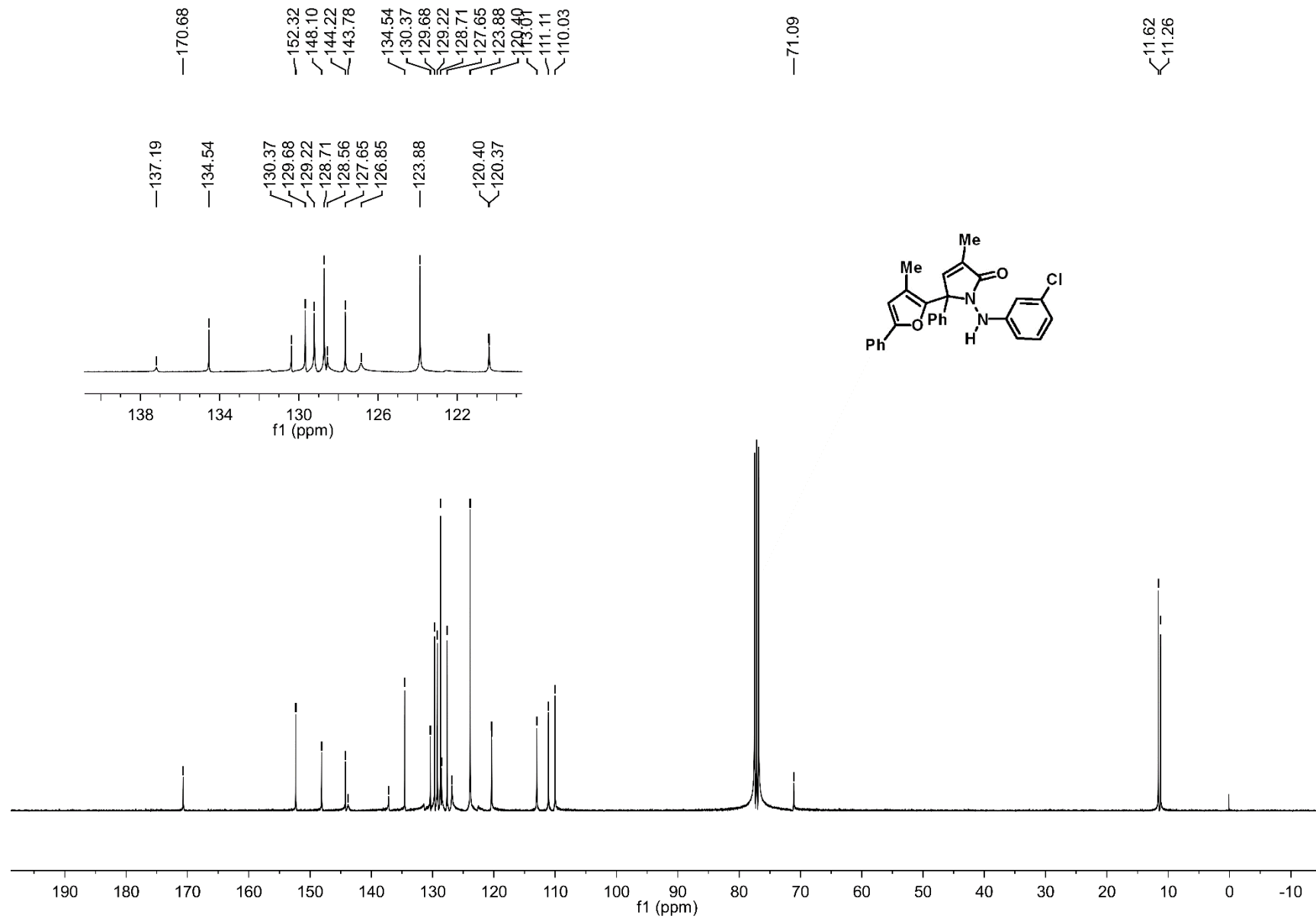
$^1\text{H NMR}$  Spectrum of Compound **3h**



$^{13}\text{C}$  NMR Spectrum of Compound **3h**

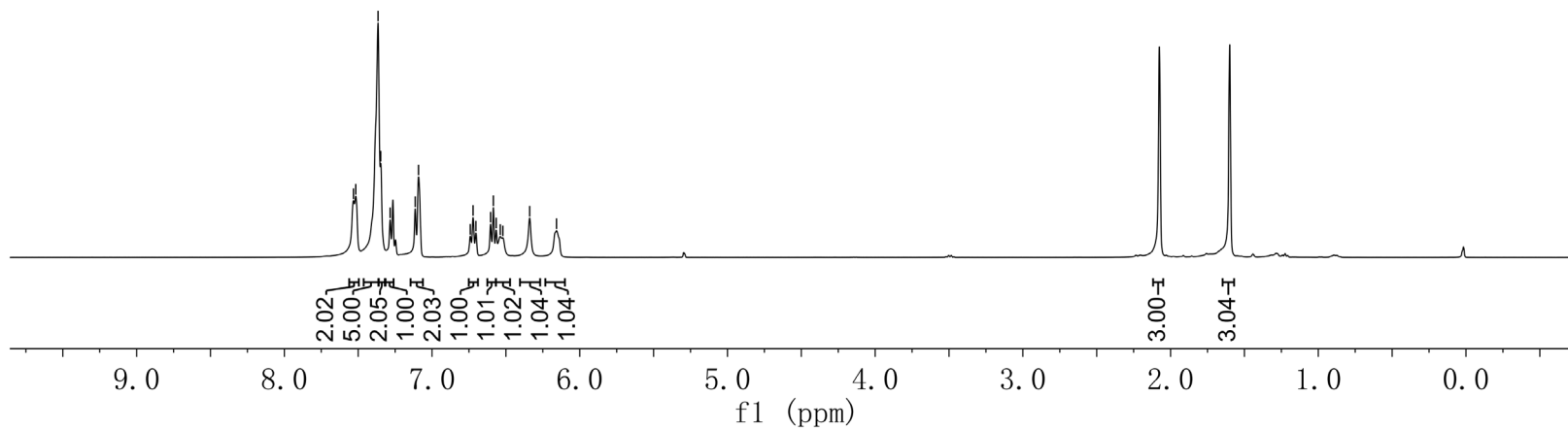
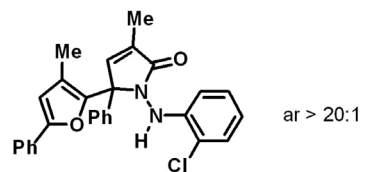




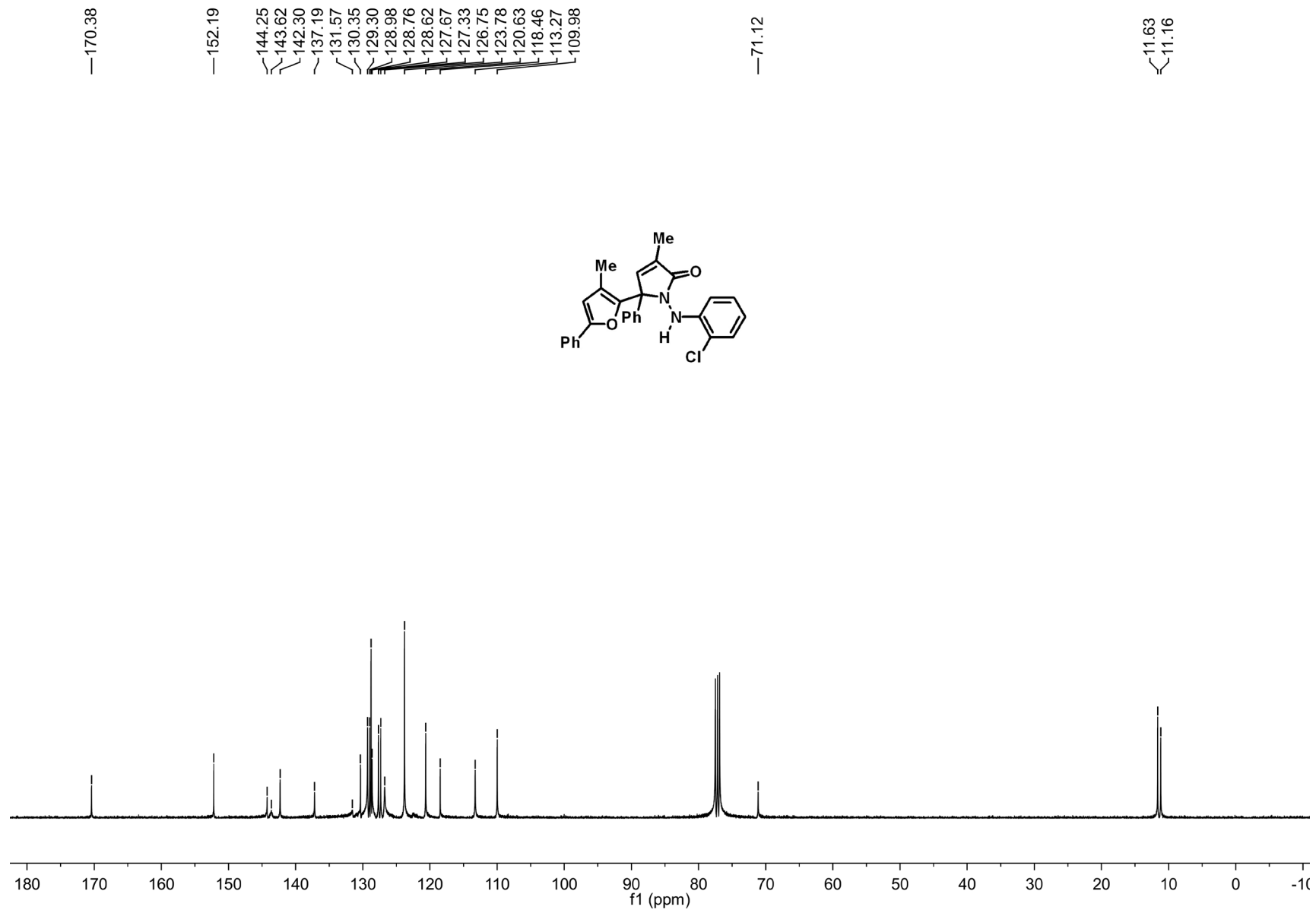


**<sup>13</sup>C NMR Spectrum of Compound 3i**

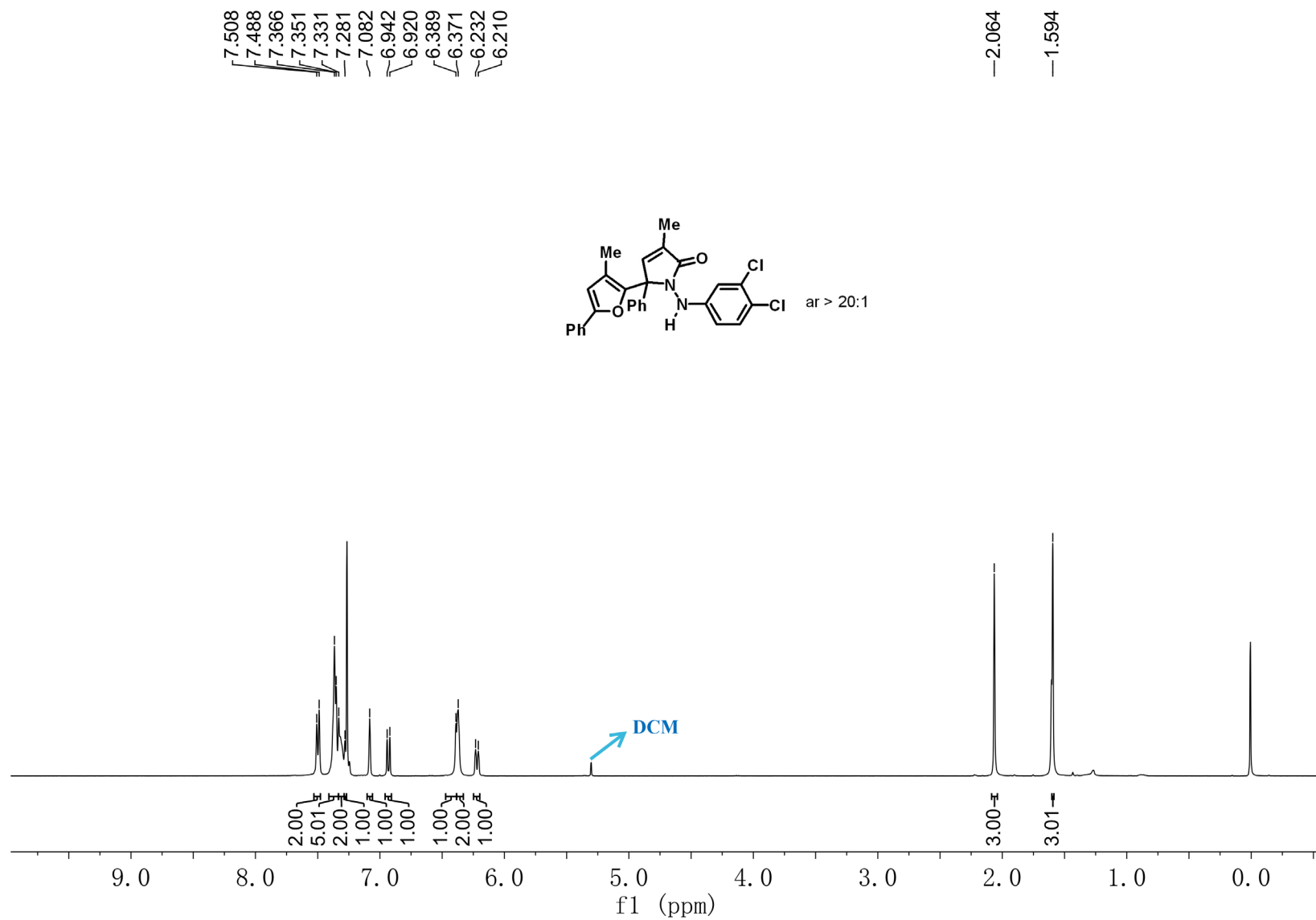
7.532  
7.516  
7.366  
7.348  
7.284  
7.114  
7.091  
6.741  
6.722  
6.703  
6.604  
6.585  
6.566  
6.538  
6.521  
6.339  
6.157



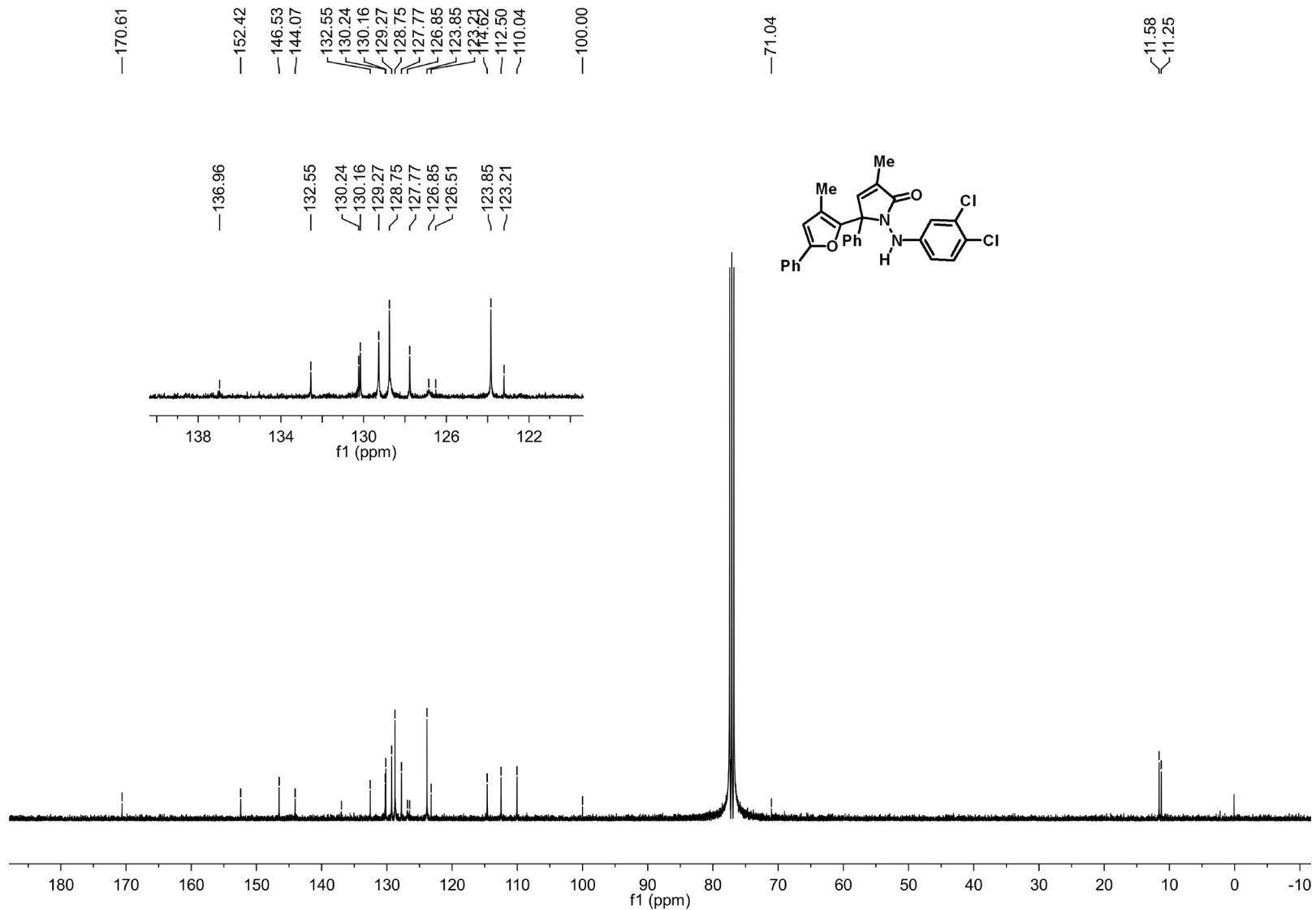
<sup>1</sup>H NMR Spectrum of Compound 3j

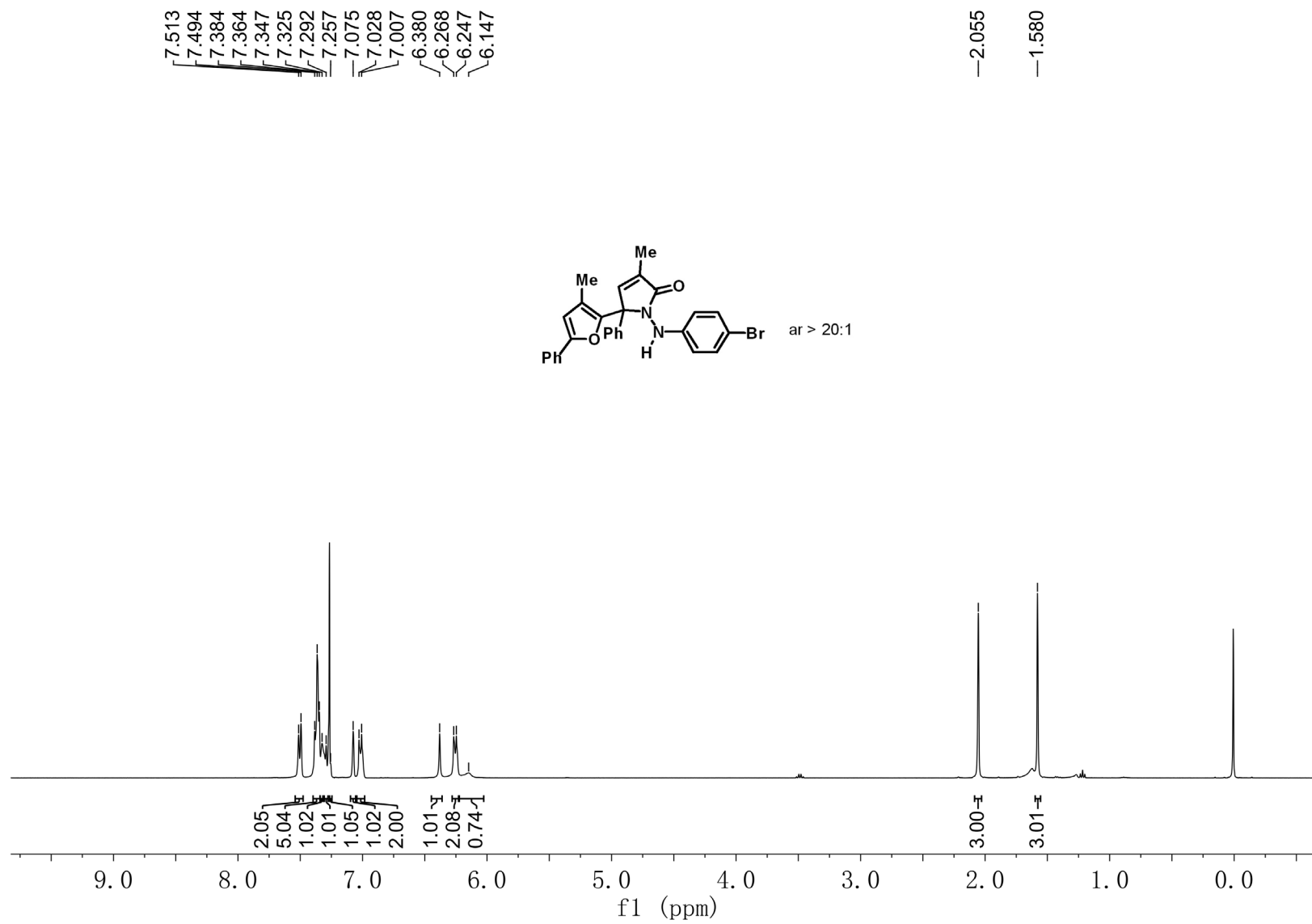


<sup>13</sup>C NMR Spectrum of Compound 3j

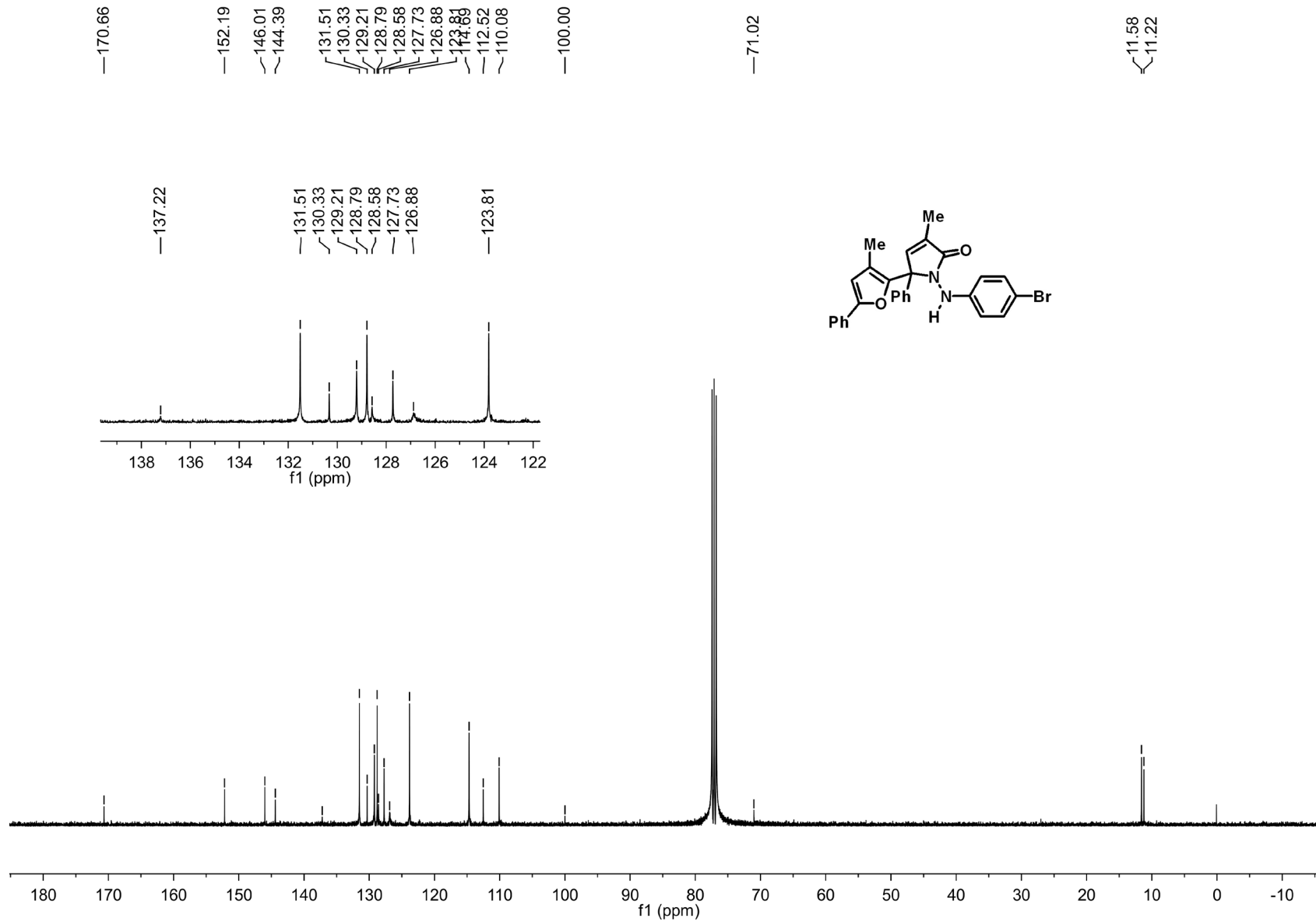


$^1\text{H}$  NMR Spectrum of Compound **3k**



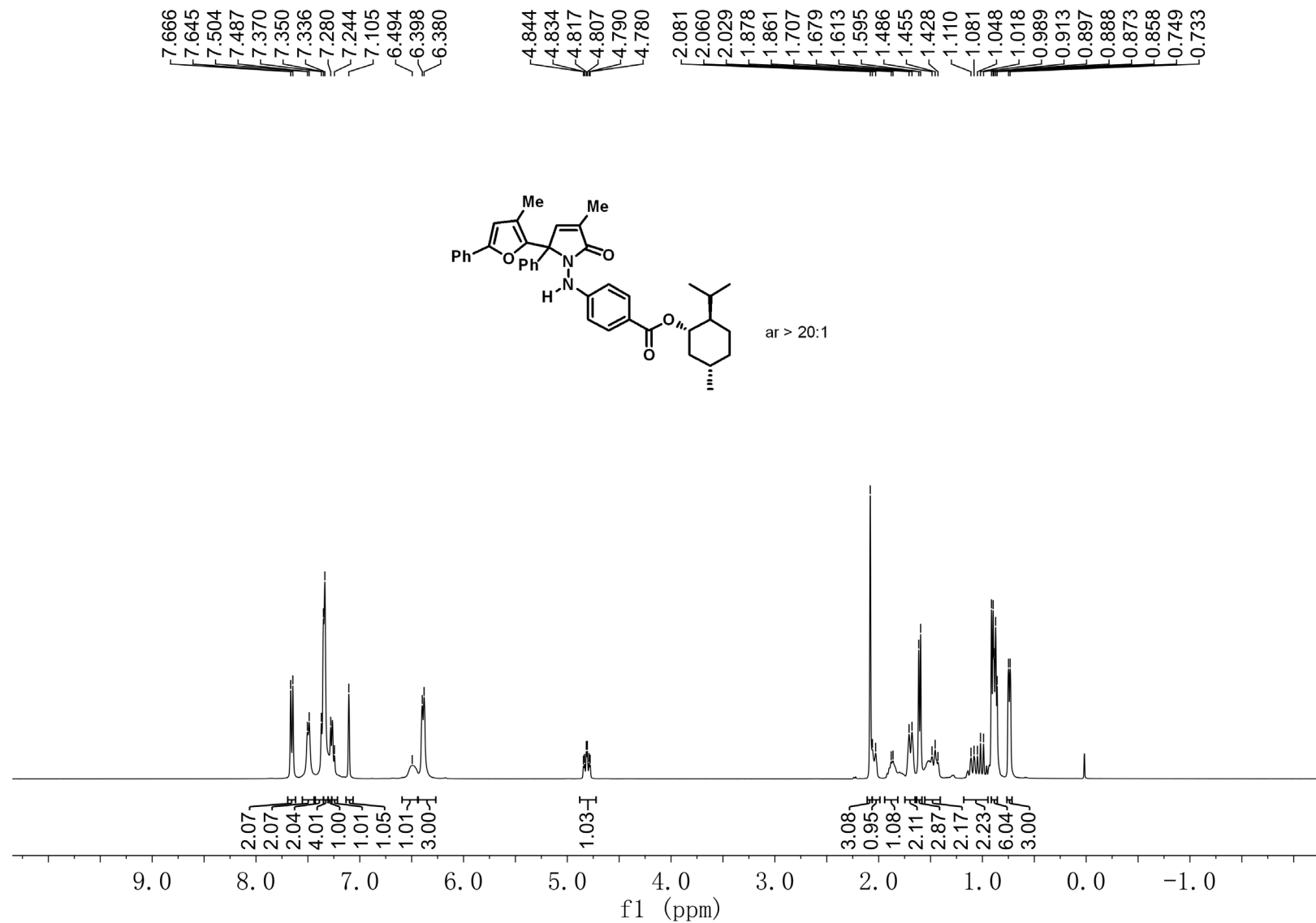


<sup>1</sup>H NMR Spectrum of Compound **31**



**<sup>13</sup>C NMR Spectrum of Compound 3I**





<sup>1</sup>H NMR Spectrum of Compound **3n**

—170.5  
—166.0

~152.3  
~150.9  
—144.3

~130.9  
~130.3  
~129.3  
~128.7  
~127.7  
~123.9  
~122.6

~111.9  
~110.1

—74.1

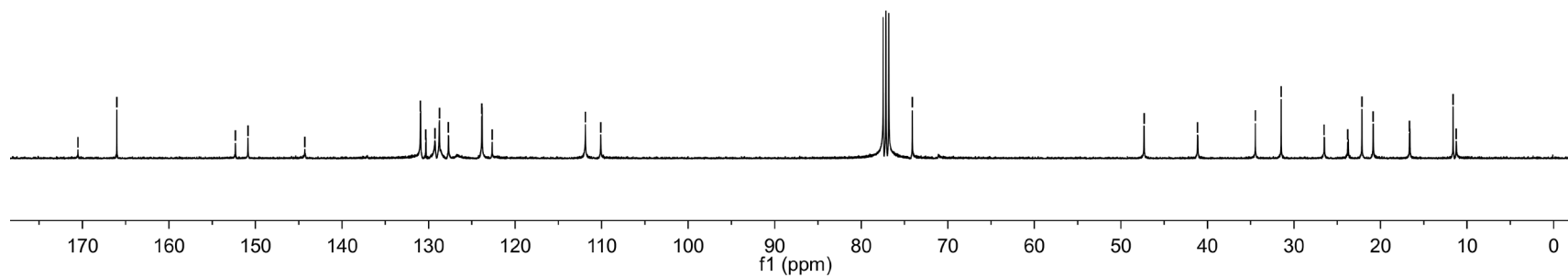
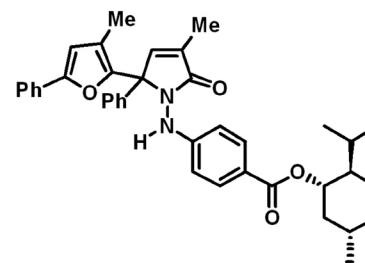
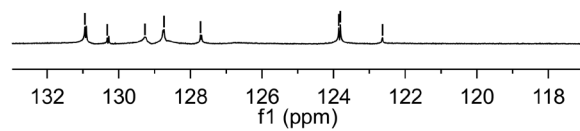
—47.3

—41.1

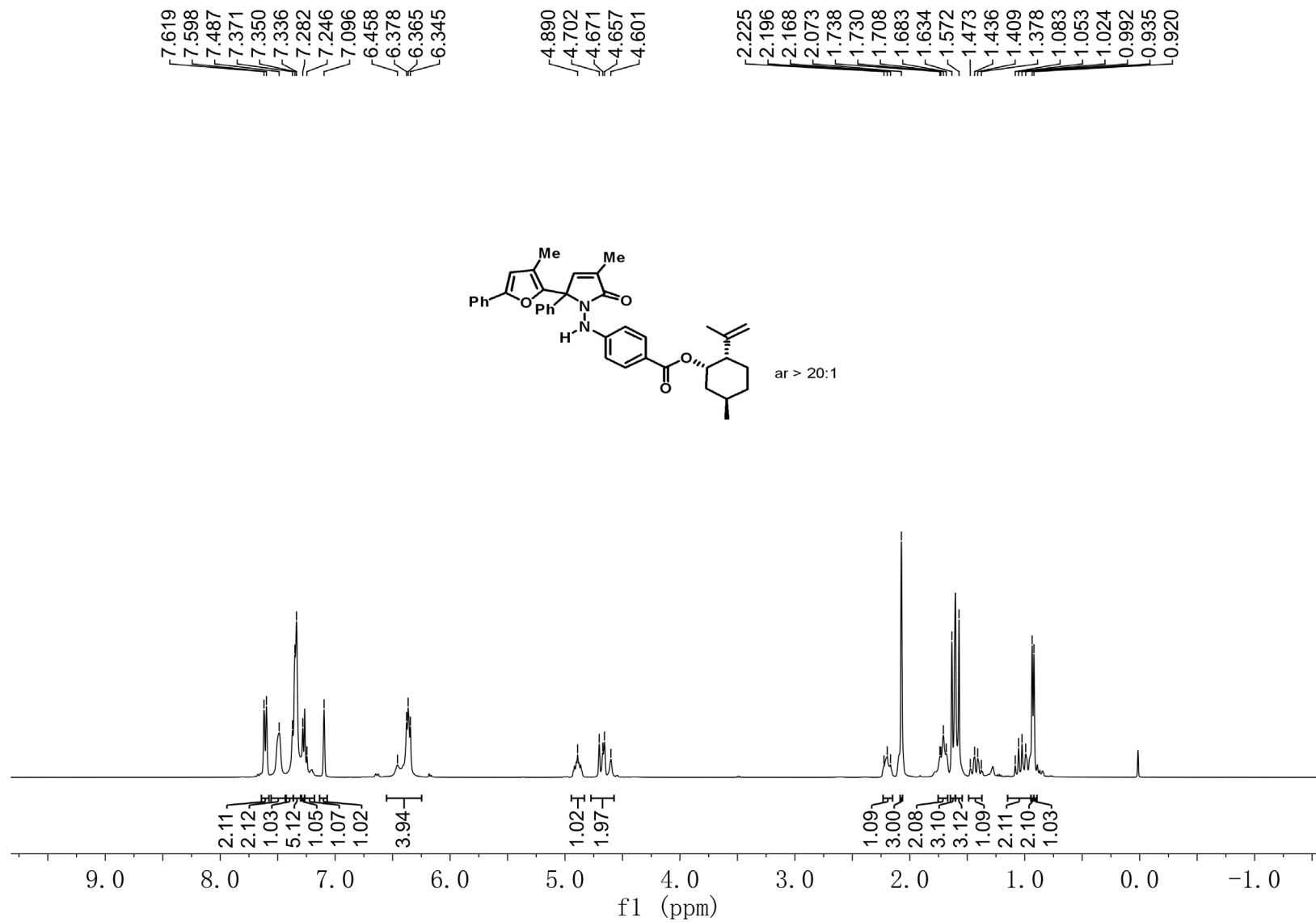
~34.5  
~31.5  
~26.5  
~23.8  
~22.2  
~20.9  
~16.7  
~11.6  
~11.3

~130.9  
~130.3  
~129.3  
~128.7  
~127.7

~123.9  
~123.8  
~122.6

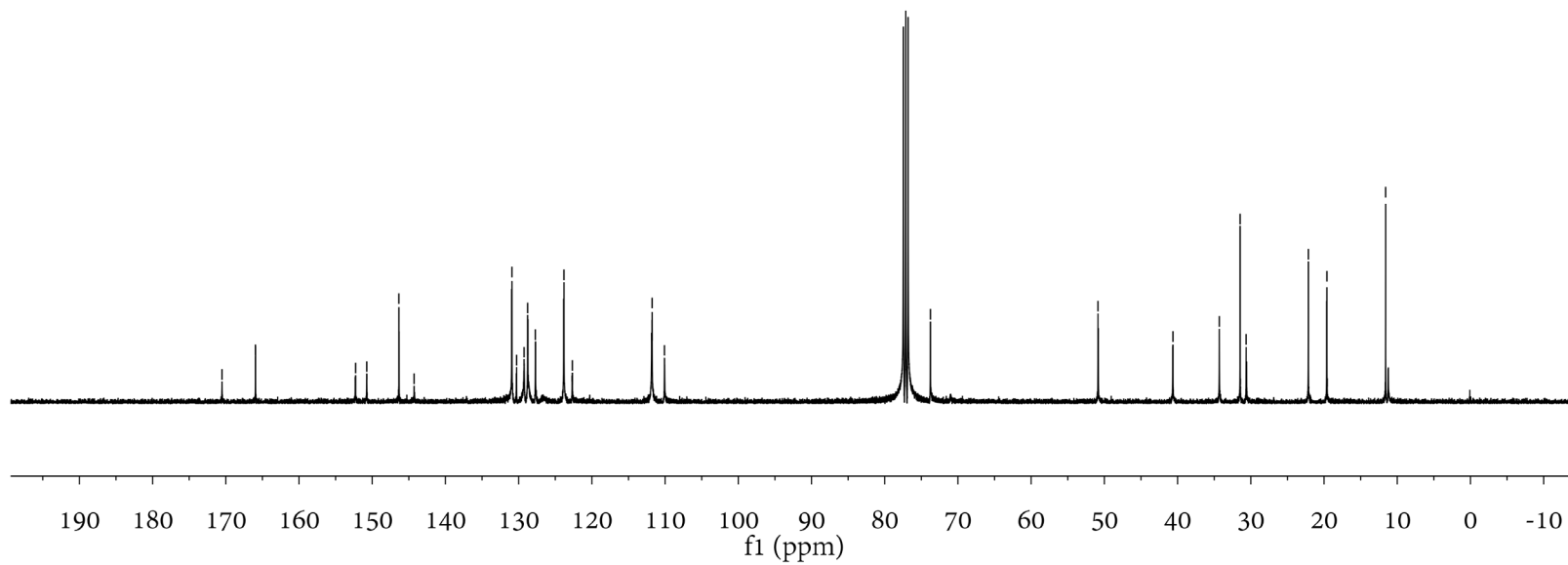
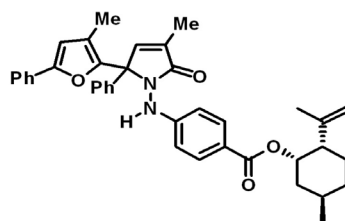


<sup>13</sup>C NMR Spectrum of Compound **3n**

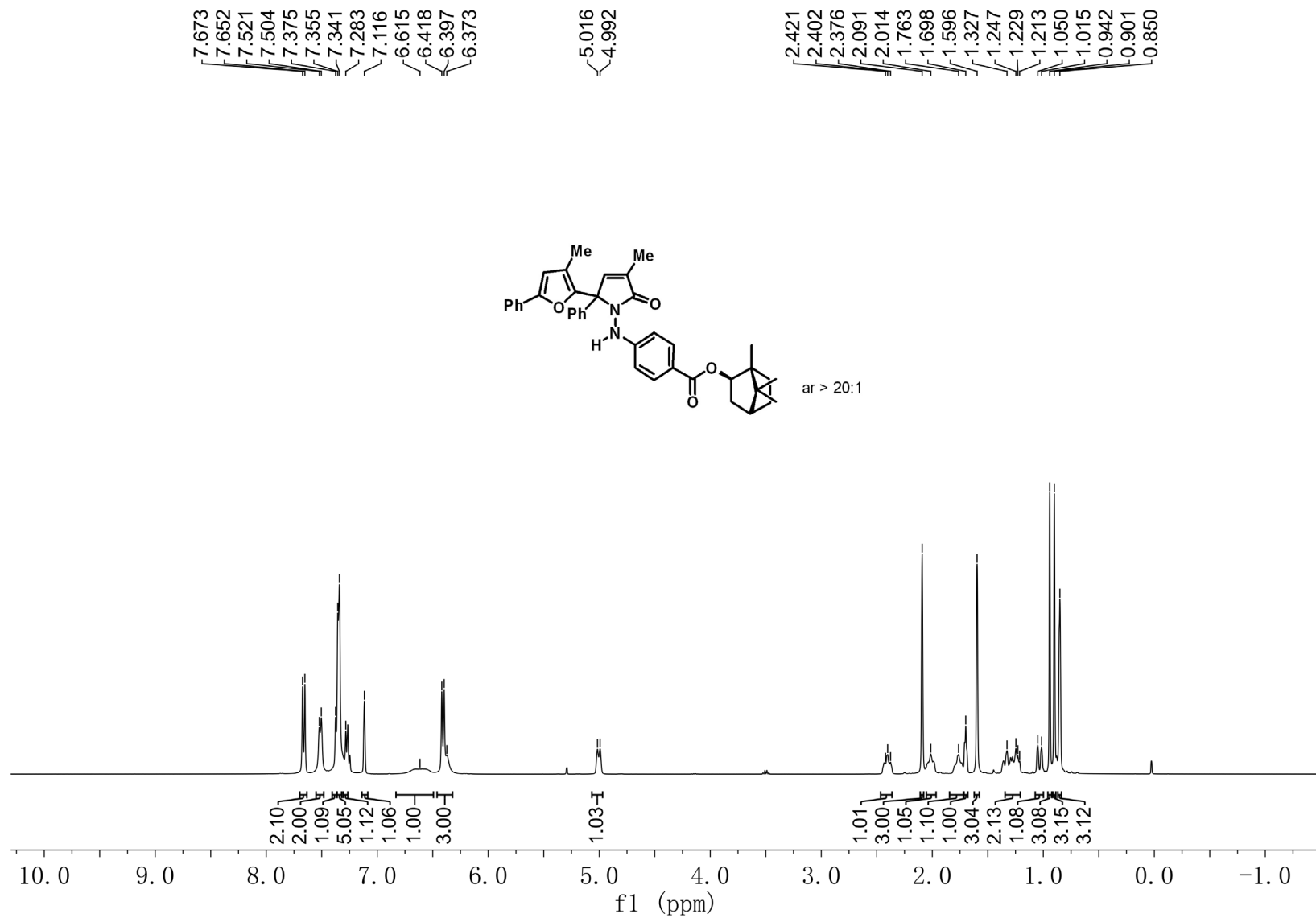


<sup>1</sup>H NMR Spectrum of Compound 30

—170.5  
—166.0  
~152.3  
~150.7  
—146.4  
~144.3  
~130.9  
~130.3  
~129.3  
~128.8  
~127.7  
~123.8  
~122.7  
~111.8  
~110.1  
  
—73.8  
  
—50.9  
~40.6  
~34.3  
~31.5  
~30.6  
~22.1  
~19.6  
—11.6



<sup>13</sup>C NMR Spectrum of Compound **30**



<sup>1</sup>H NMR Spectrum of Compound 3p

—170.5  
—166.8

~152.3  
~150.9  
~144.2

130.8  
130.3  
129.3  
128.8  
127.7  
123.8  
122.6  
~111.9  
~110.1

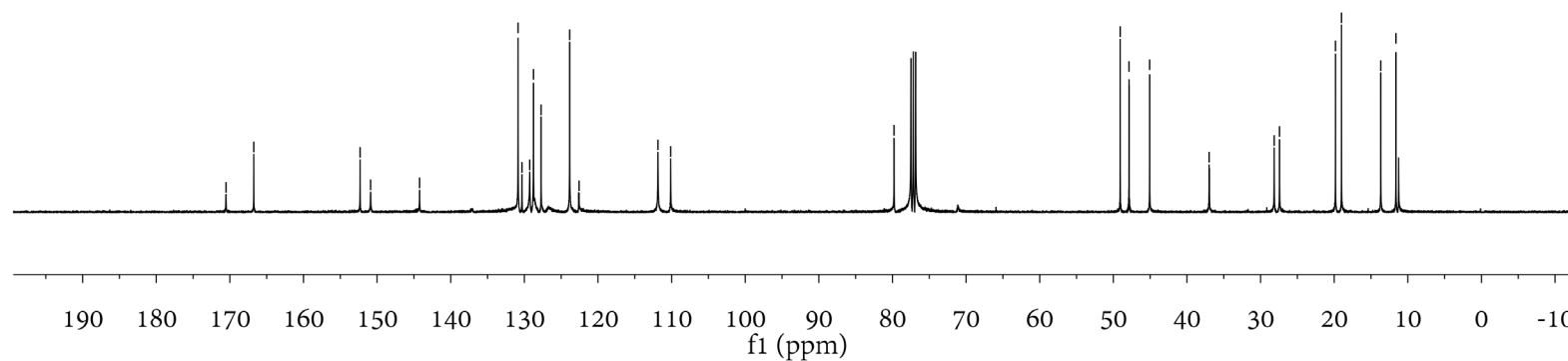
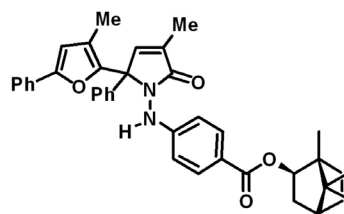
—79.8

~49.1  
~47.9  
~45.1

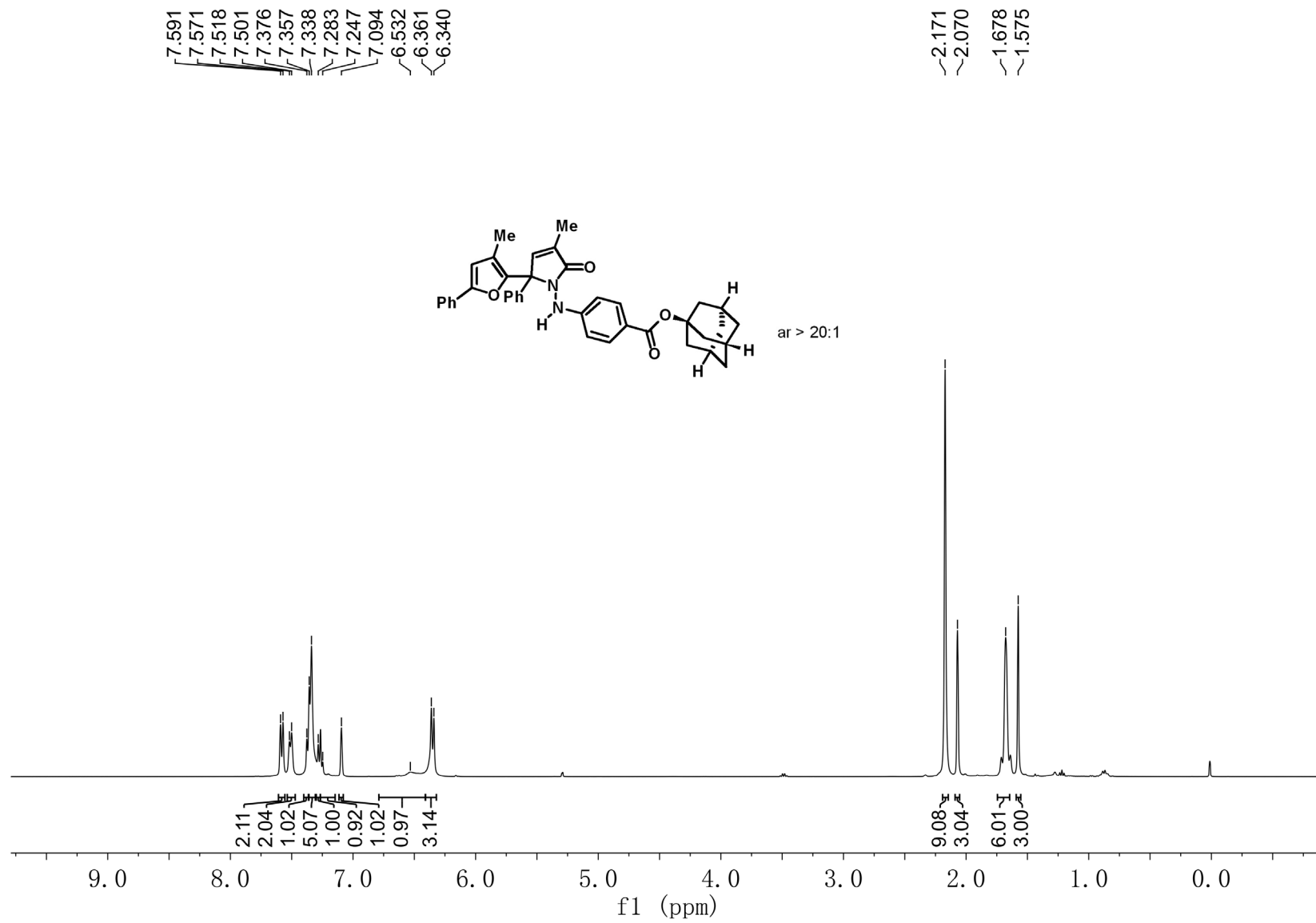
—37.0

~28.1  
~27.4

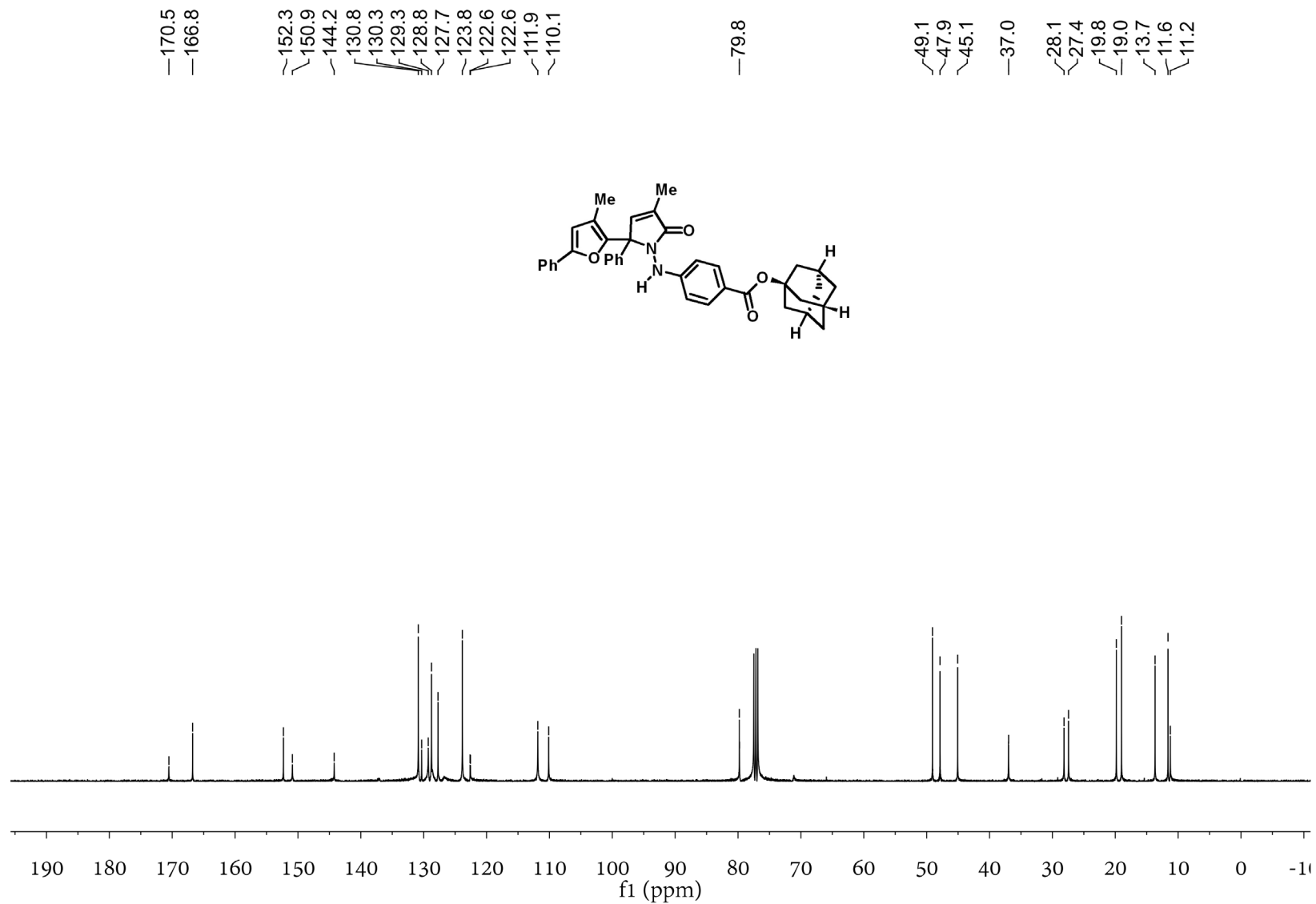
~19.8  
~19.0  
~13.7  
~11.6



<sup>13</sup>C NMR Spectrum of Compound **3p**

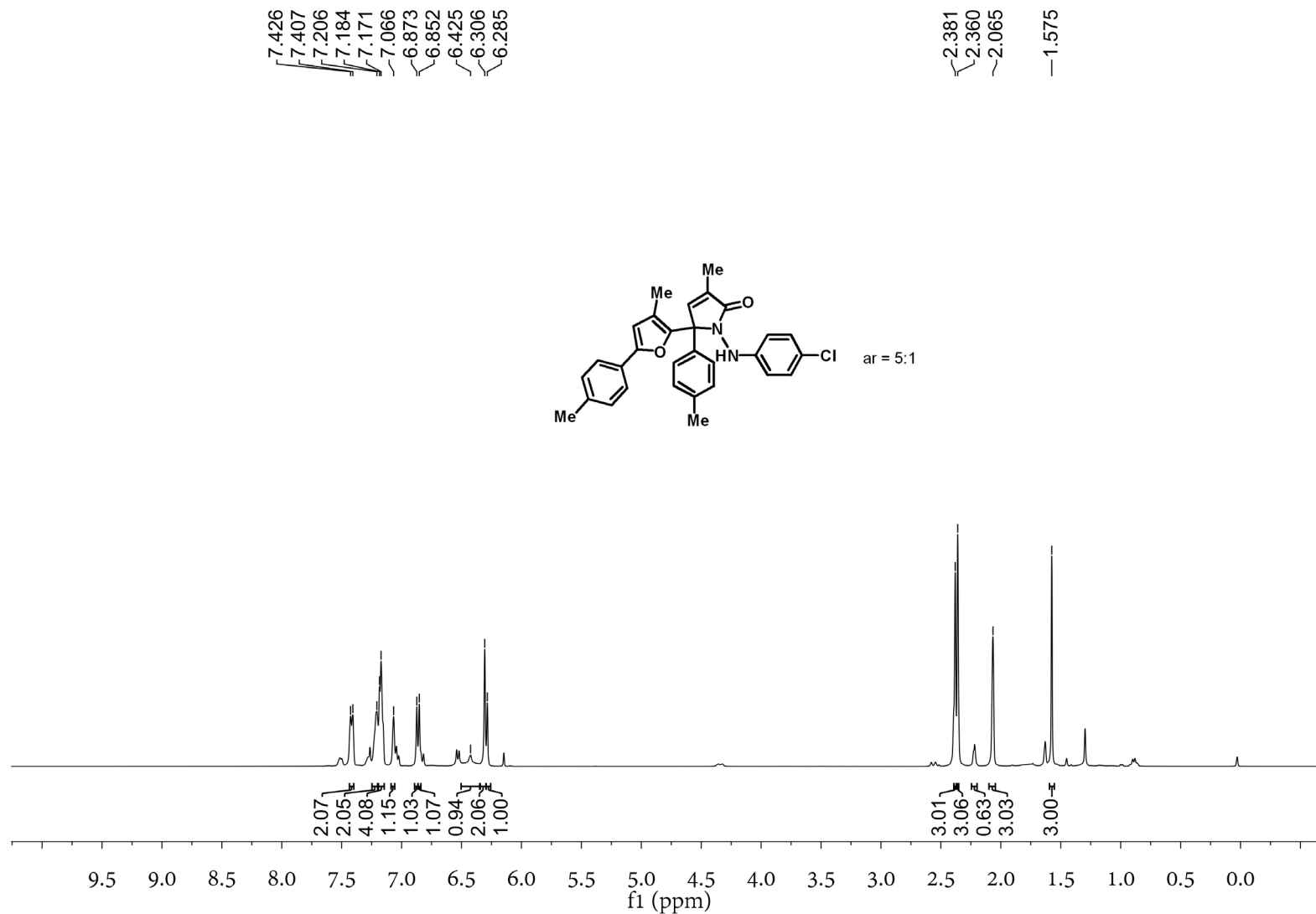


<sup>1</sup>H NMR Spectrum of Compound 3q

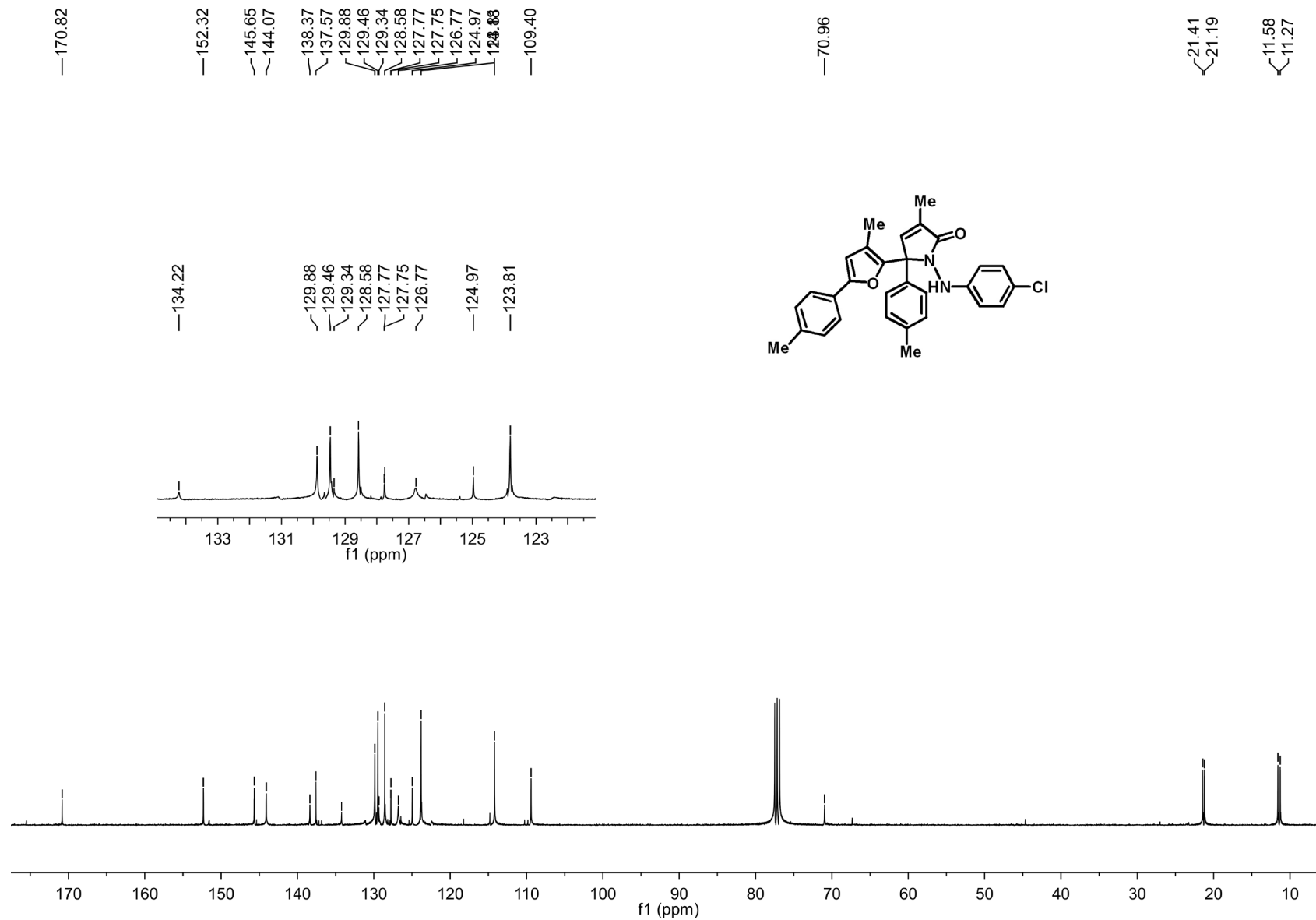


<sup>13</sup>C NMR Spectrum of Compound 3q

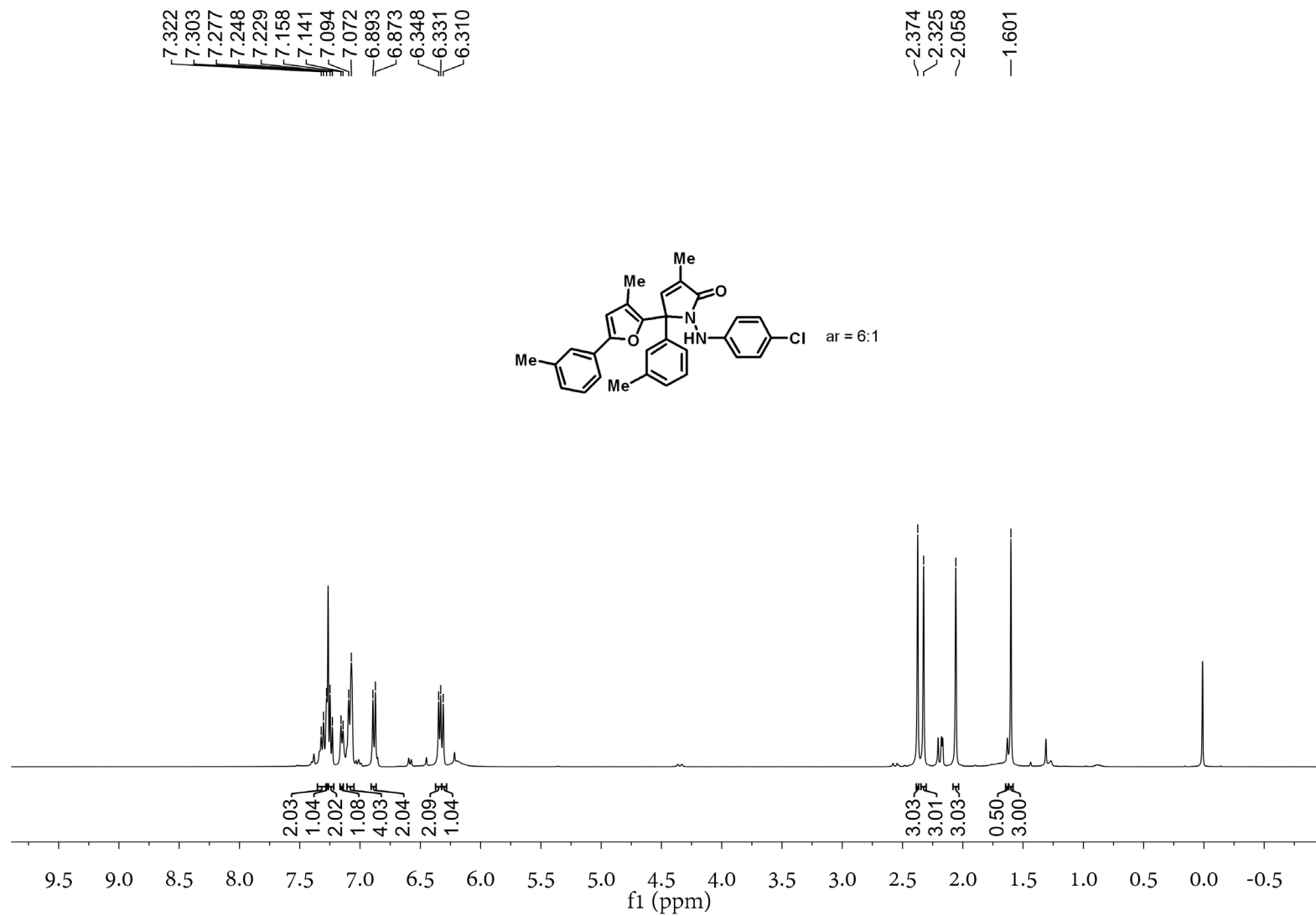




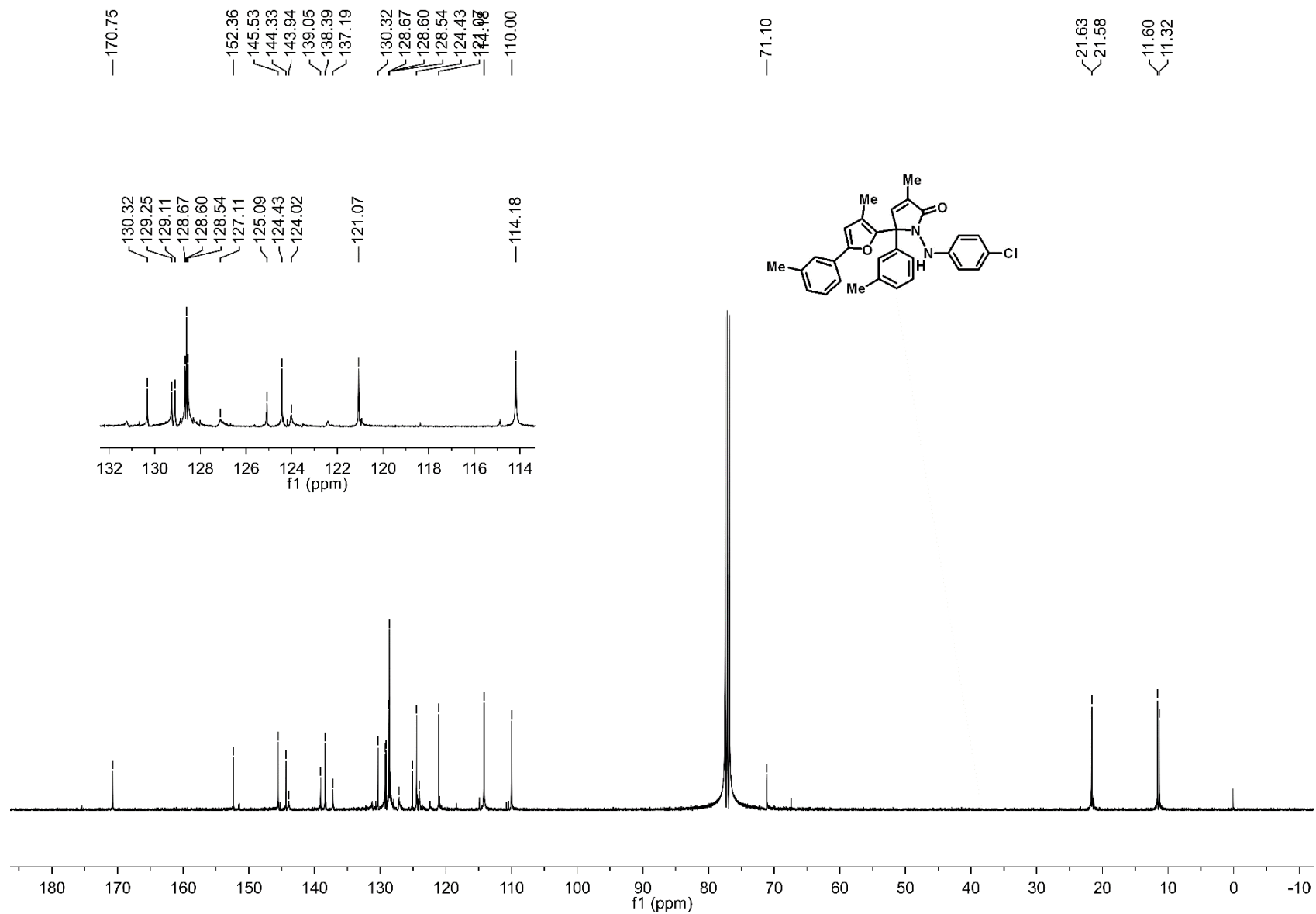
<sup>1</sup>H NMR Spectrum of Compound 3r

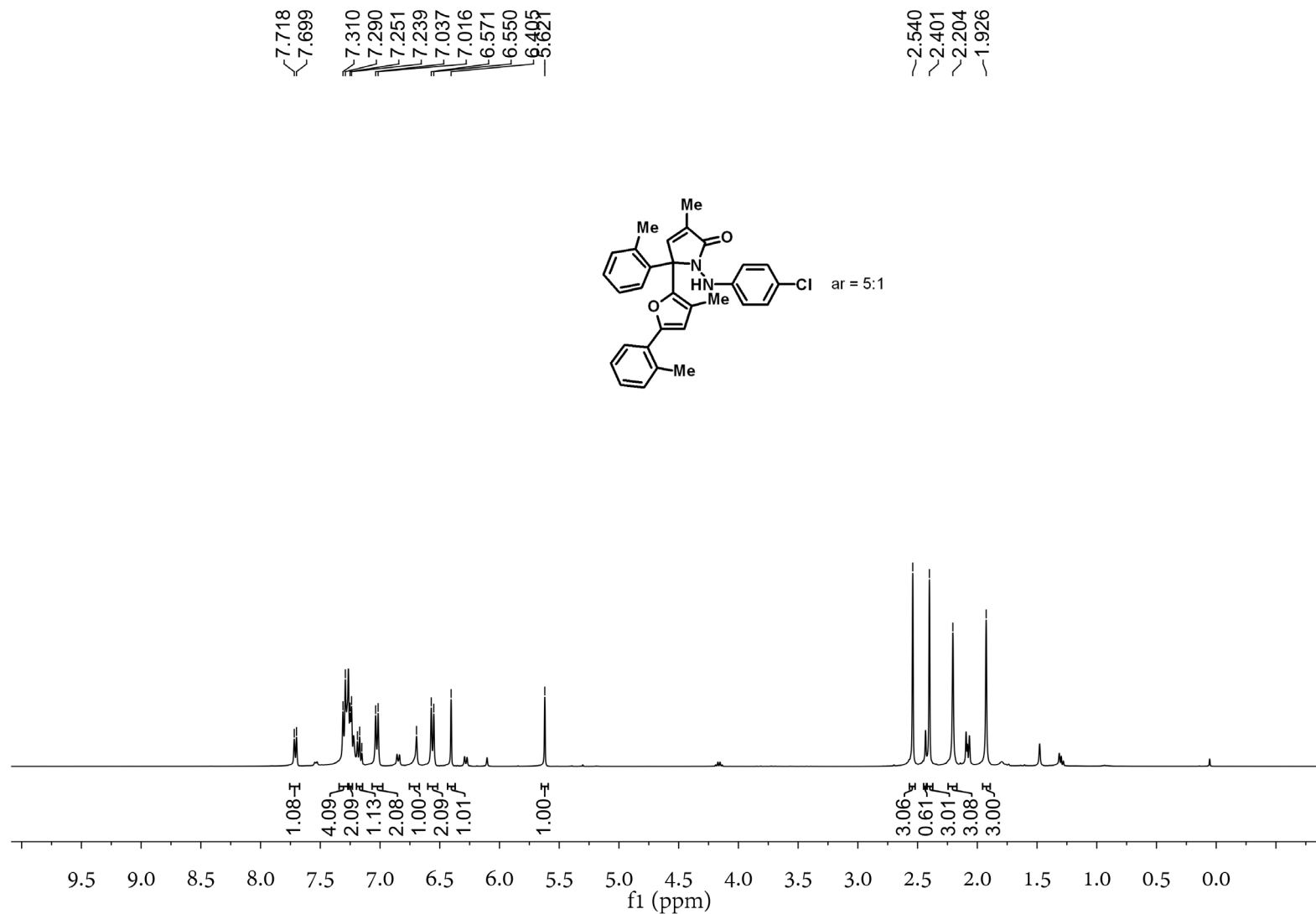


$^{13}\text{C}$  NMR Spectrum of Compound **3r**

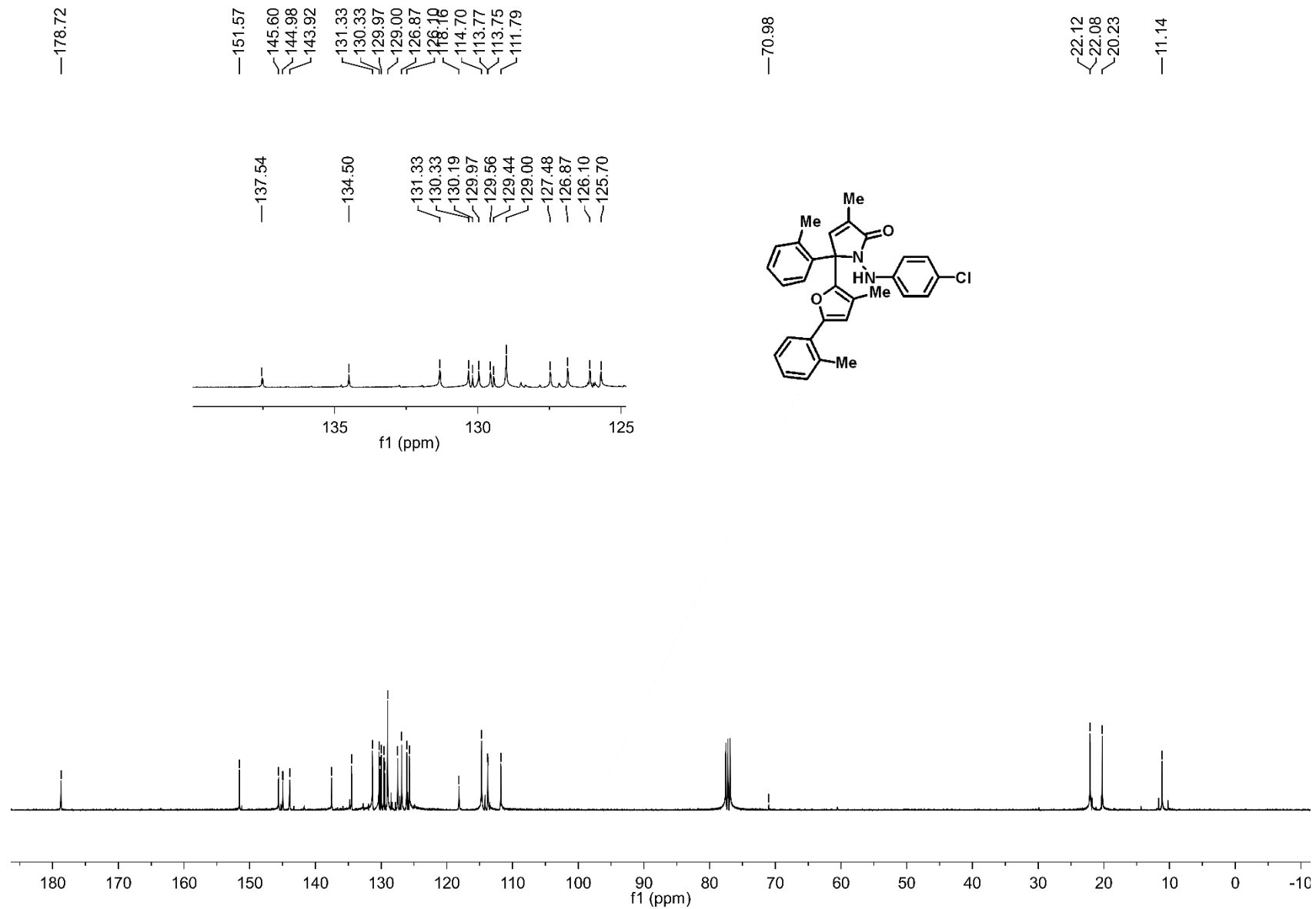


<sup>1</sup>H NMR Spectrum of Compound **3s**





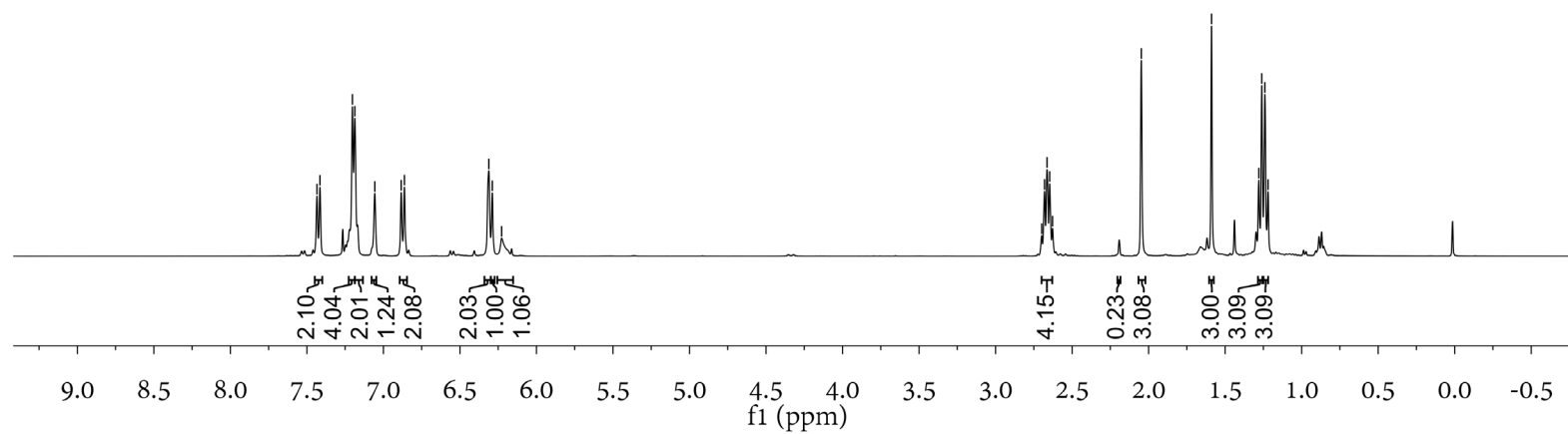
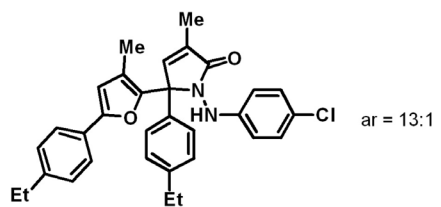
<sup>1</sup>H NMR Spectrum of Compound 3t



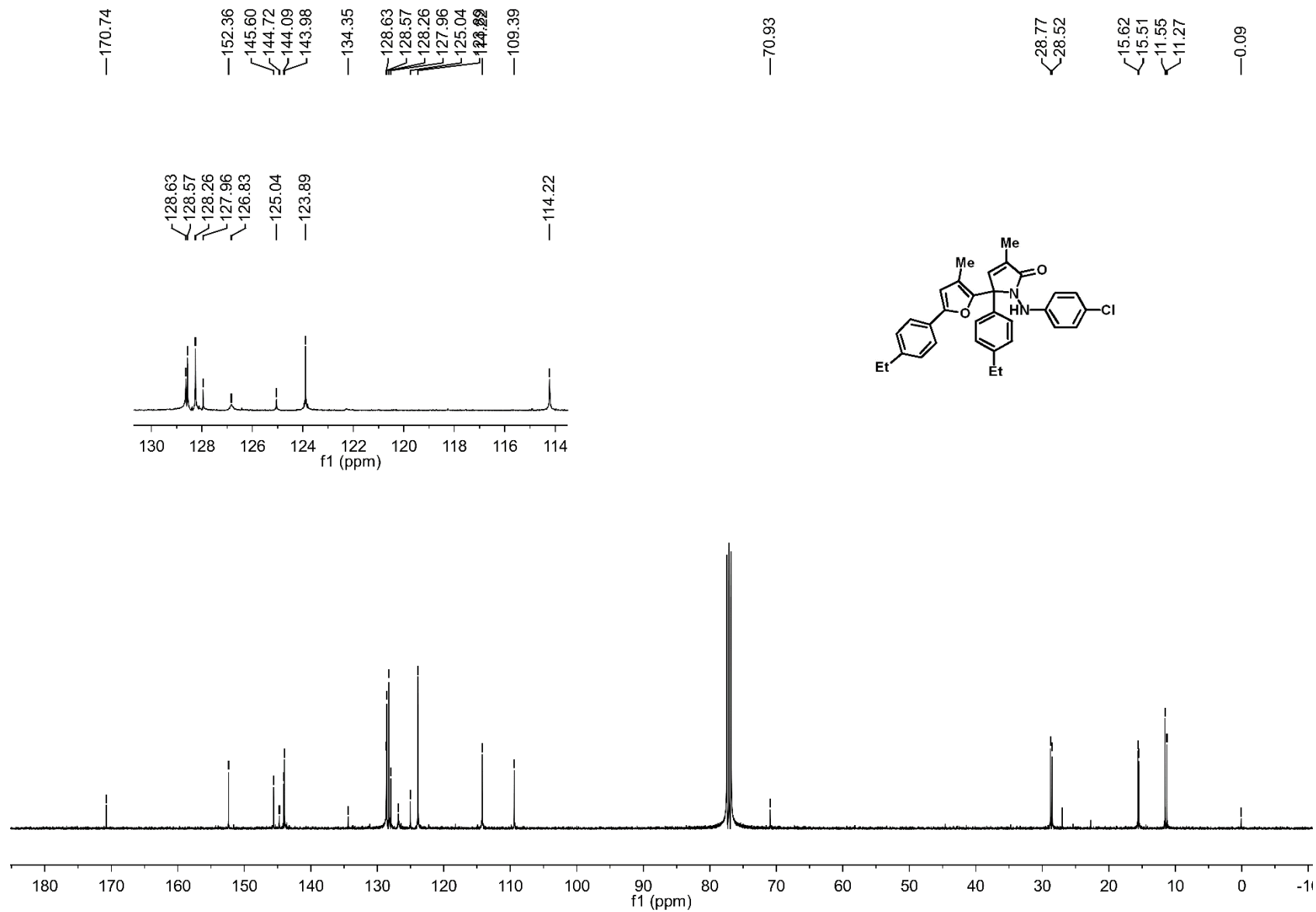
<sup>13</sup>C NMR Spectrum of Compound 3t

7.434  
7.414  
7.202  
7.187  
7.056  
6.884  
6.863  
6.311  
6.288  
6.227

2.698  
2.680  
2.664  
2.646  
2.628  
-2.048  
1.589  
1.280  
1.261  
1.240  
1.219

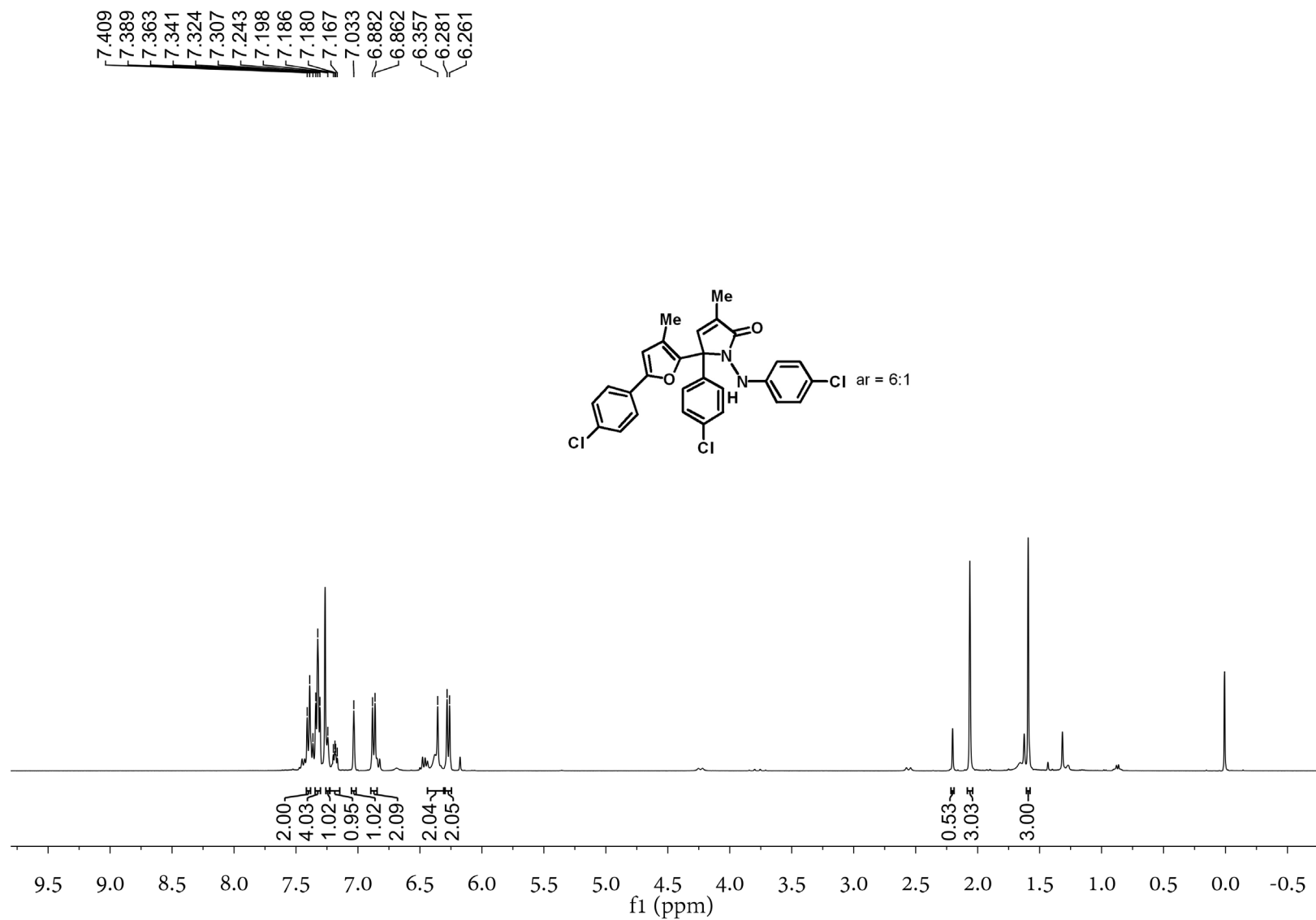


<sup>1</sup>H NMR Spectrum of Compound **3u**

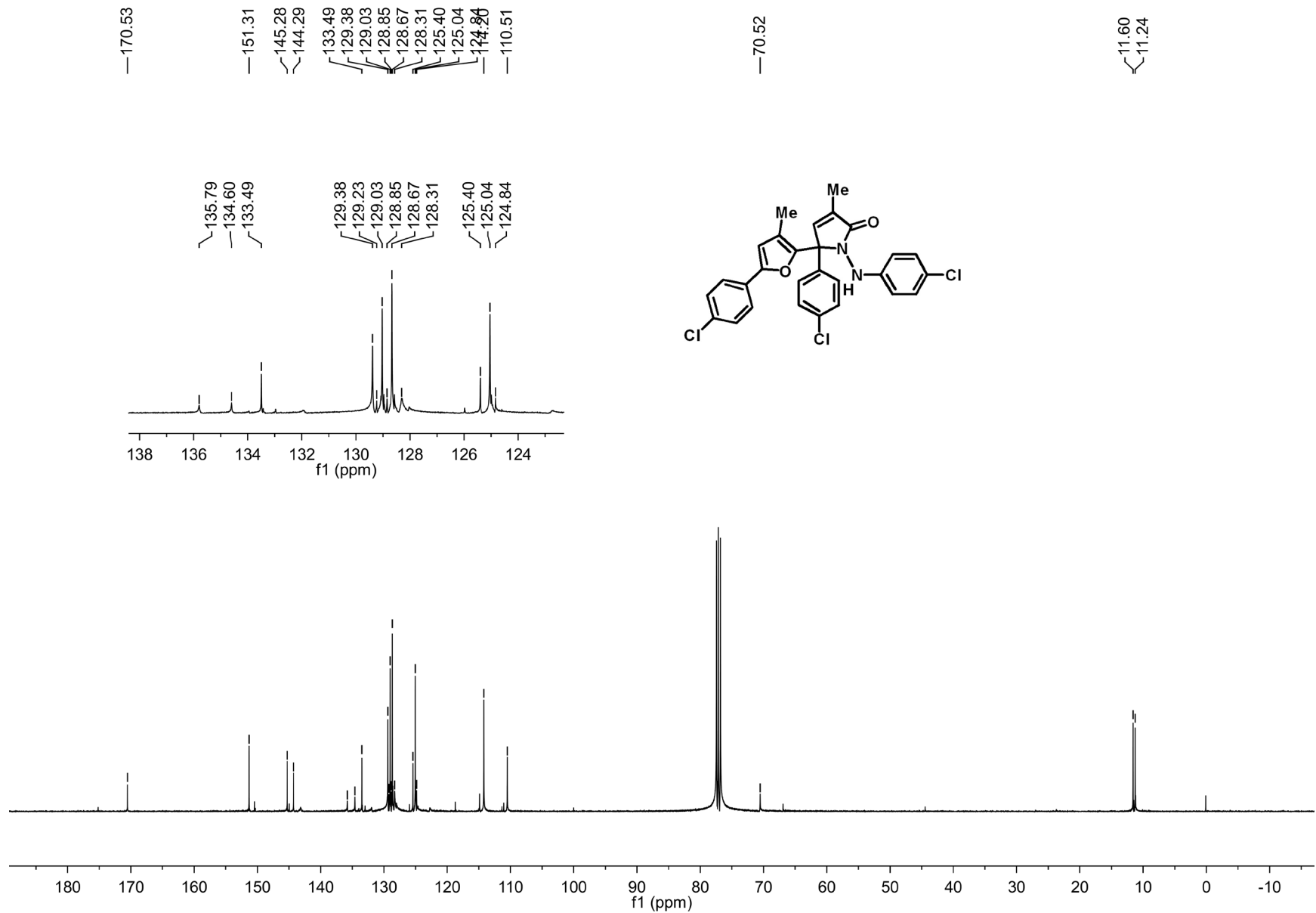


$^{13}\text{C}$  NMR Spectrum of Compound **3u**

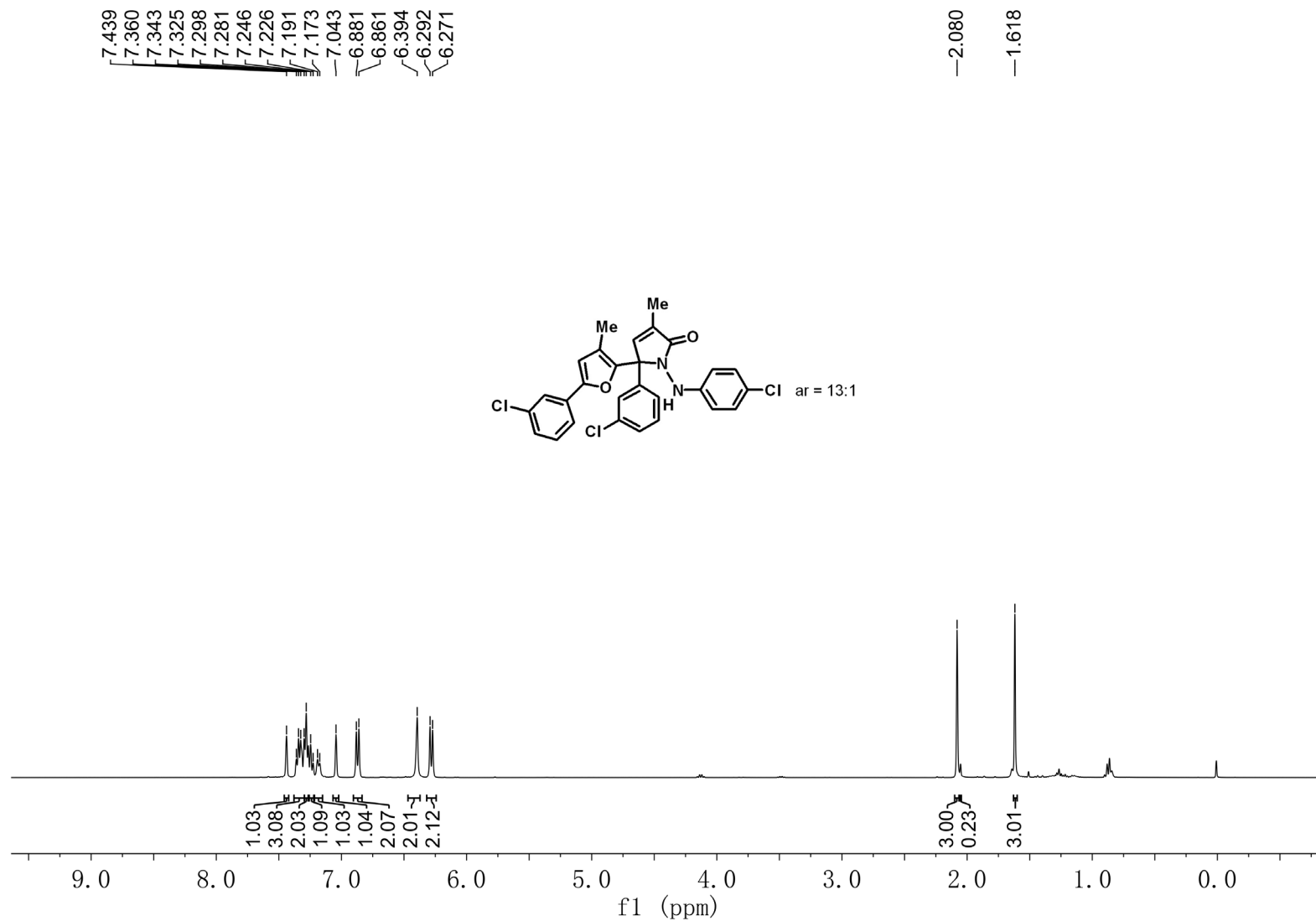




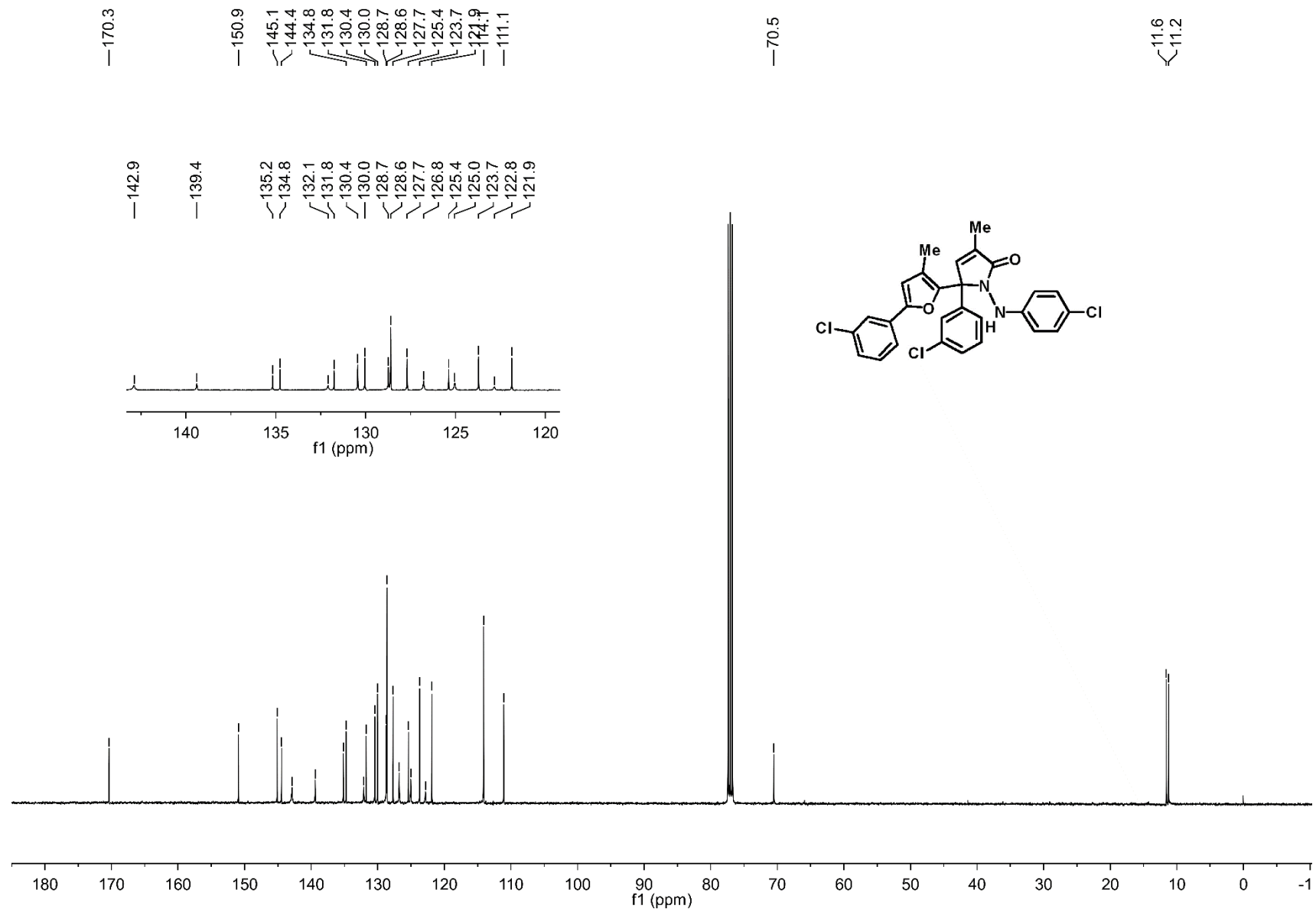
<sup>1</sup>H NMR Spectrum of Compound **3v**



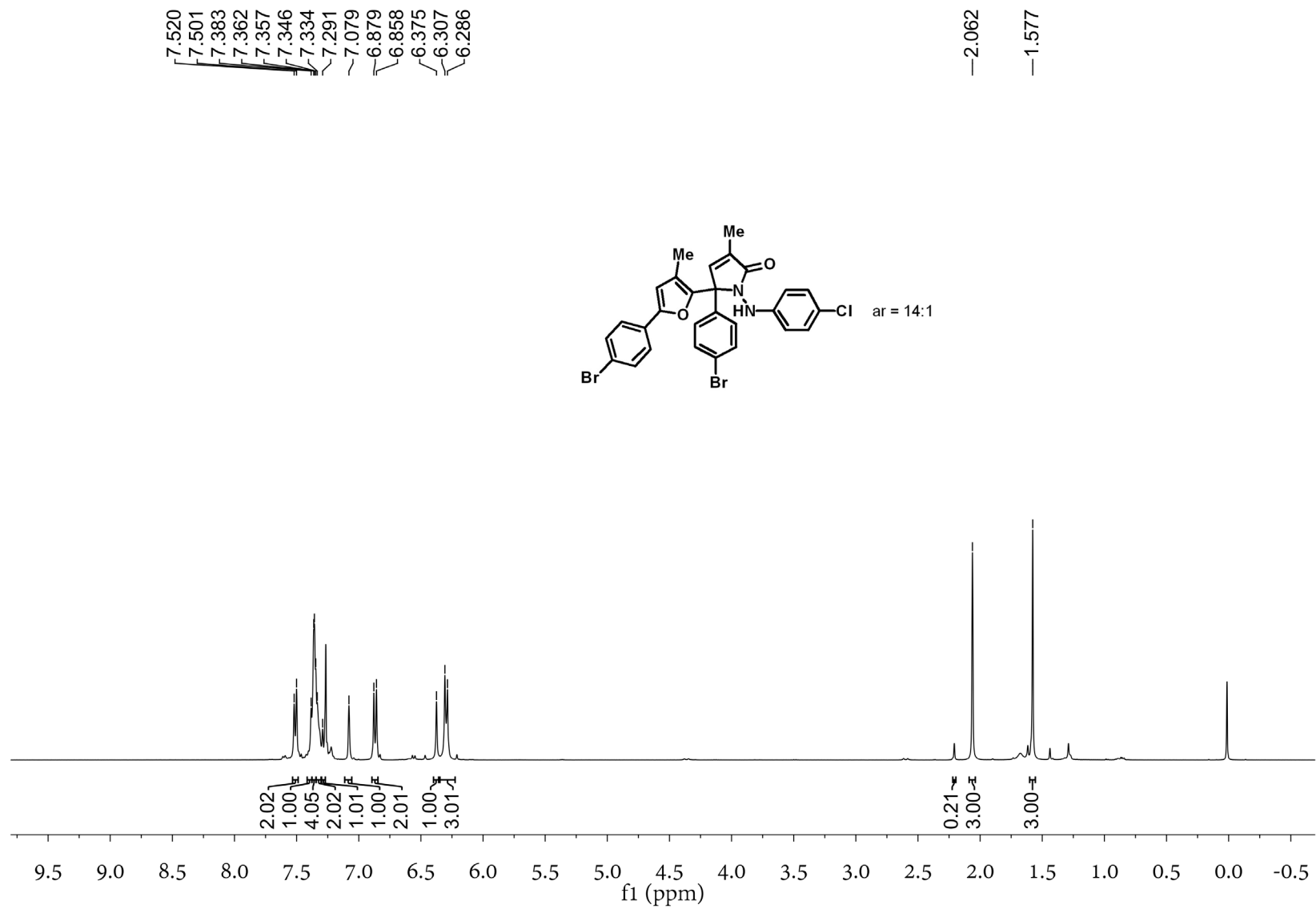
<sup>13</sup>C NMR Spectrum of Compound 3v



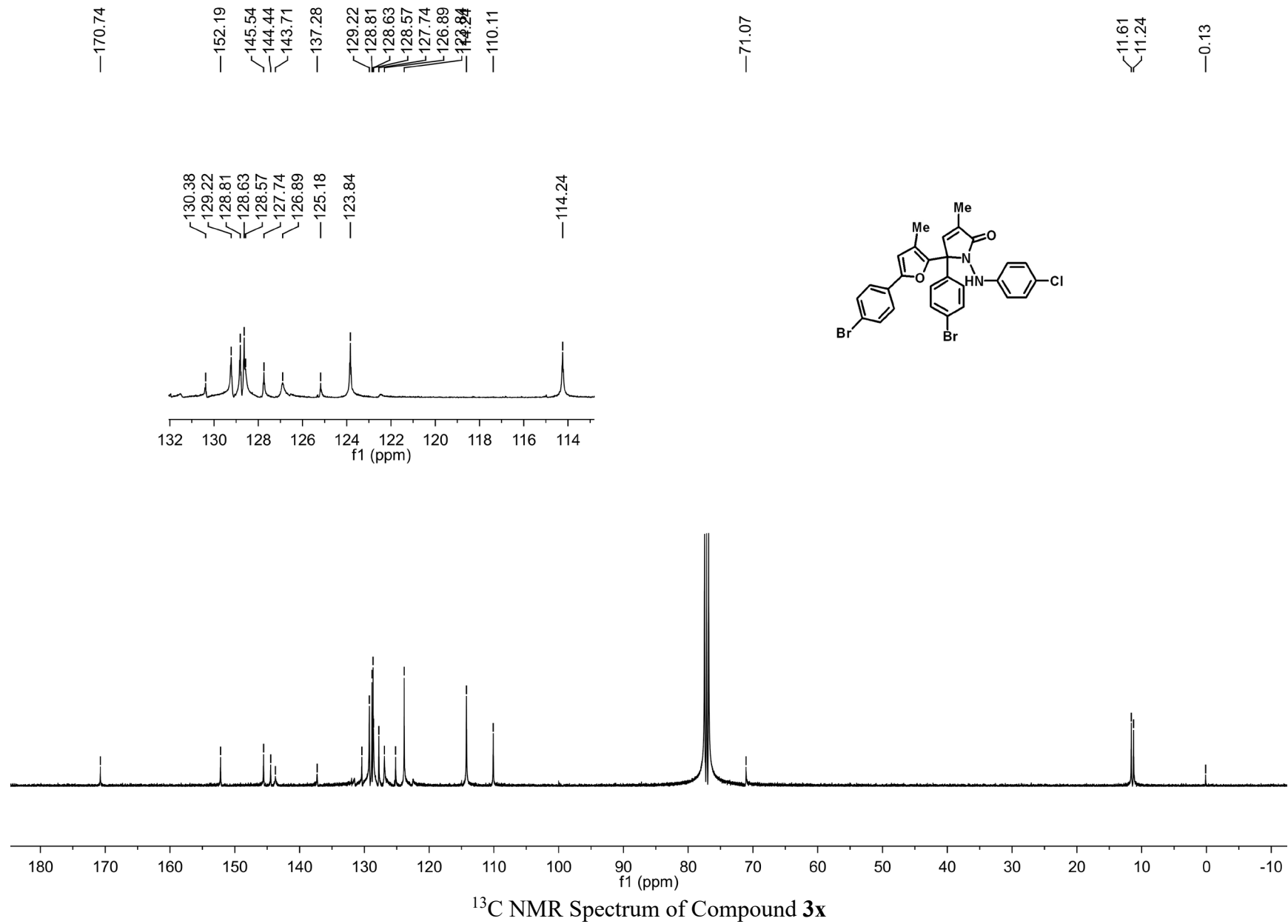
$^1\text{H}$  NMR Spectrum of Compound **3w**

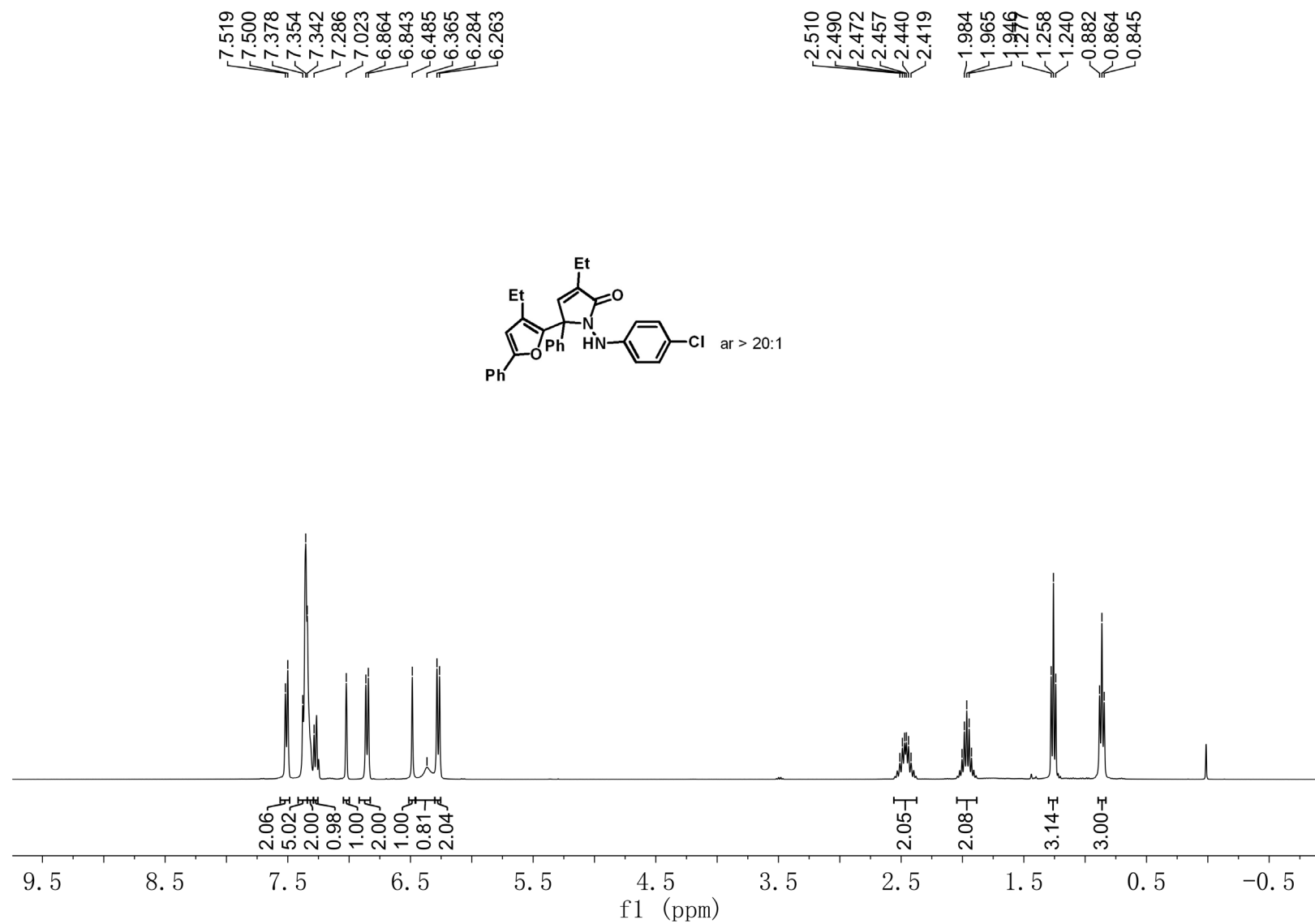


**<sup>13</sup>C NMR Spectrum of Compound 3w**

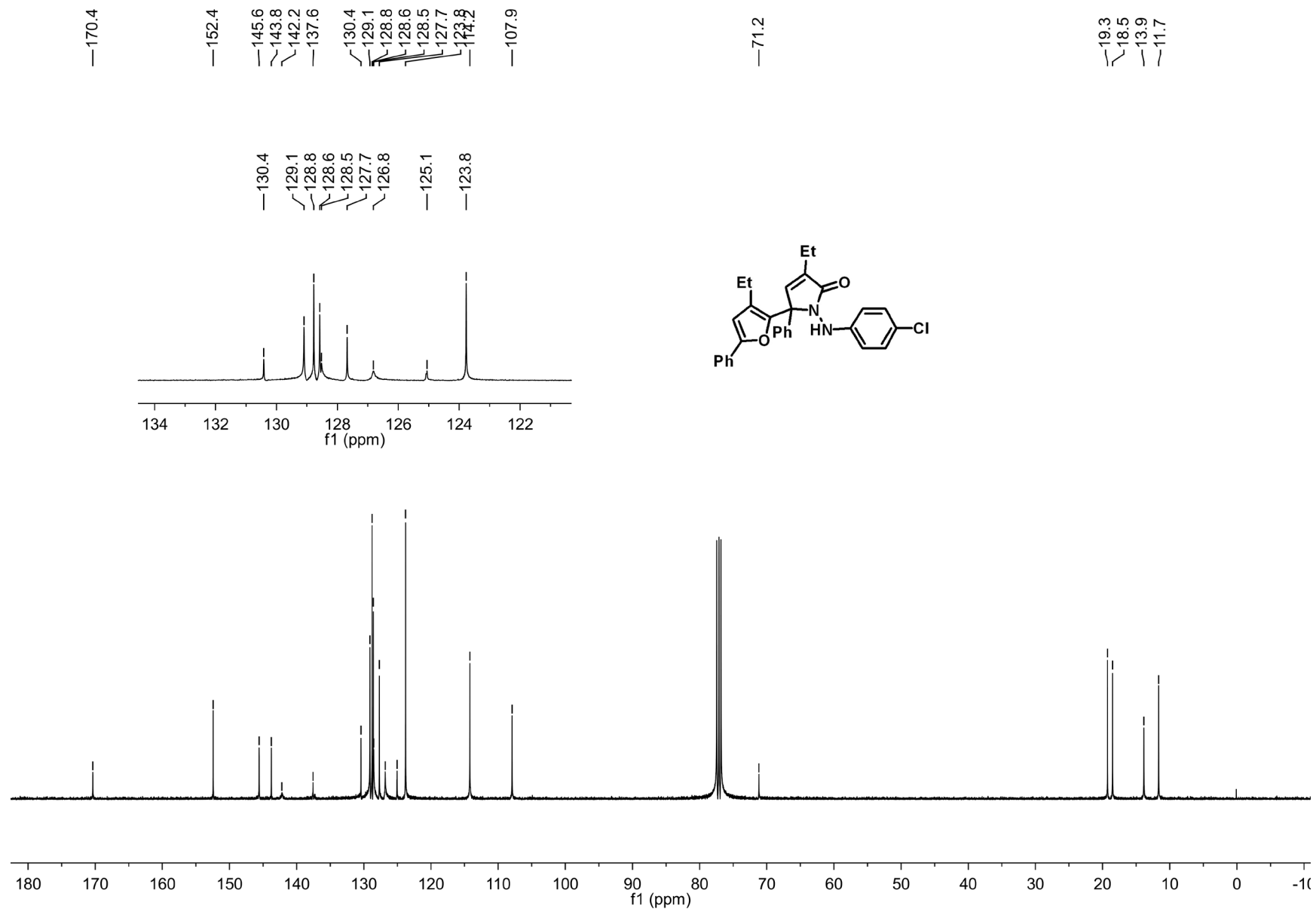


<sup>1</sup>H NMR Spectrum of Compound 3x

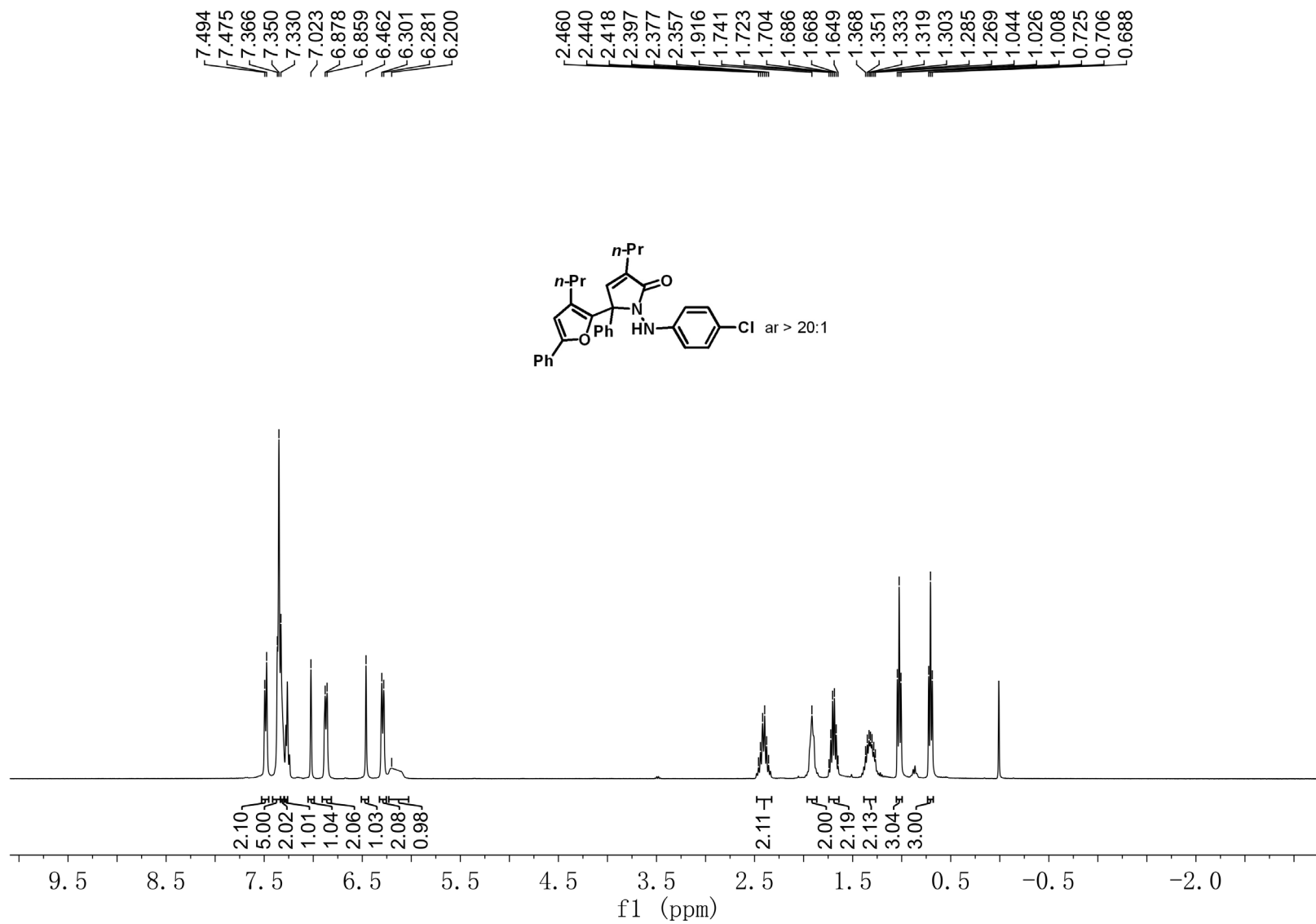




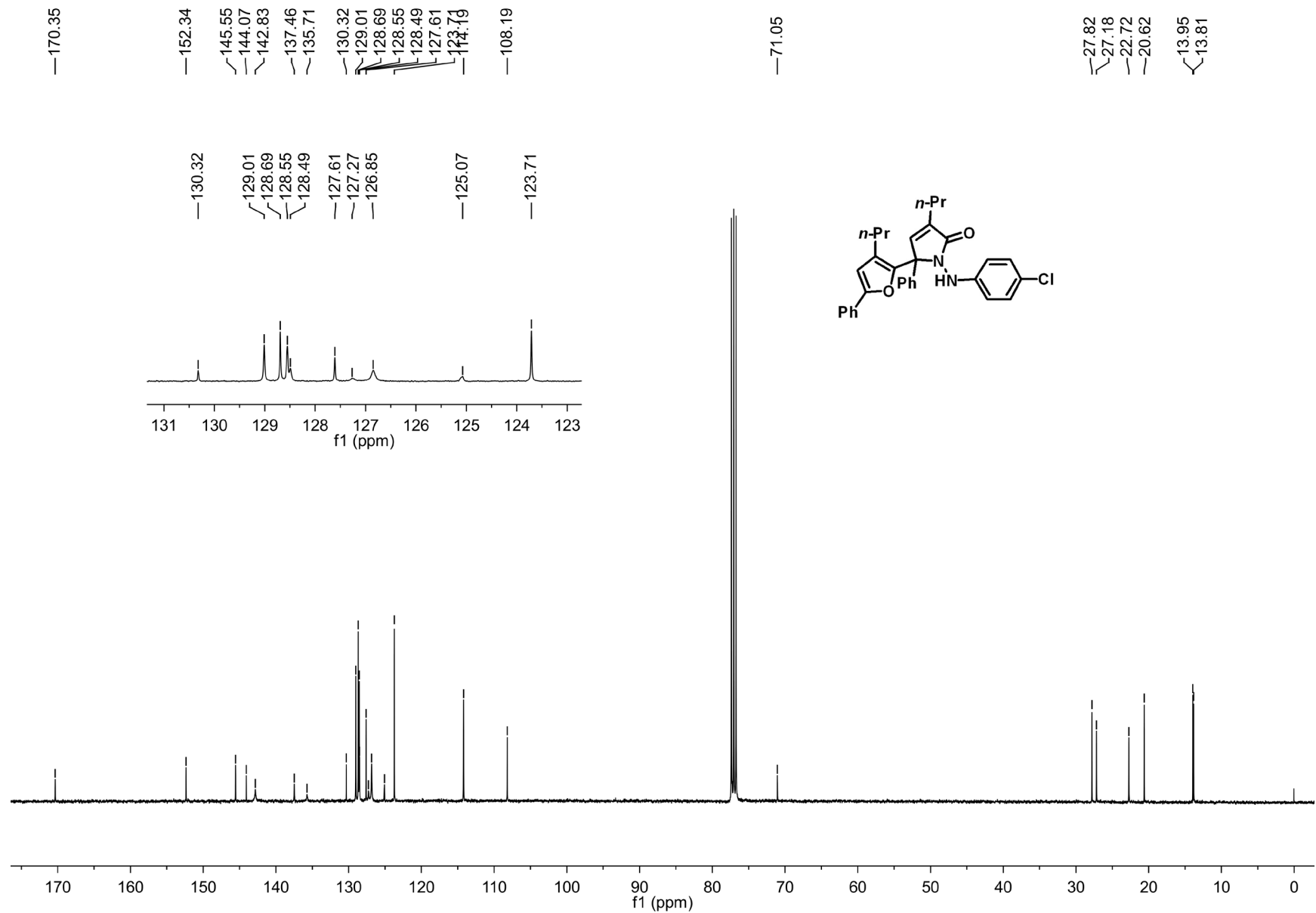
<sup>1</sup>H NMR Spectrum of Compound 3aa

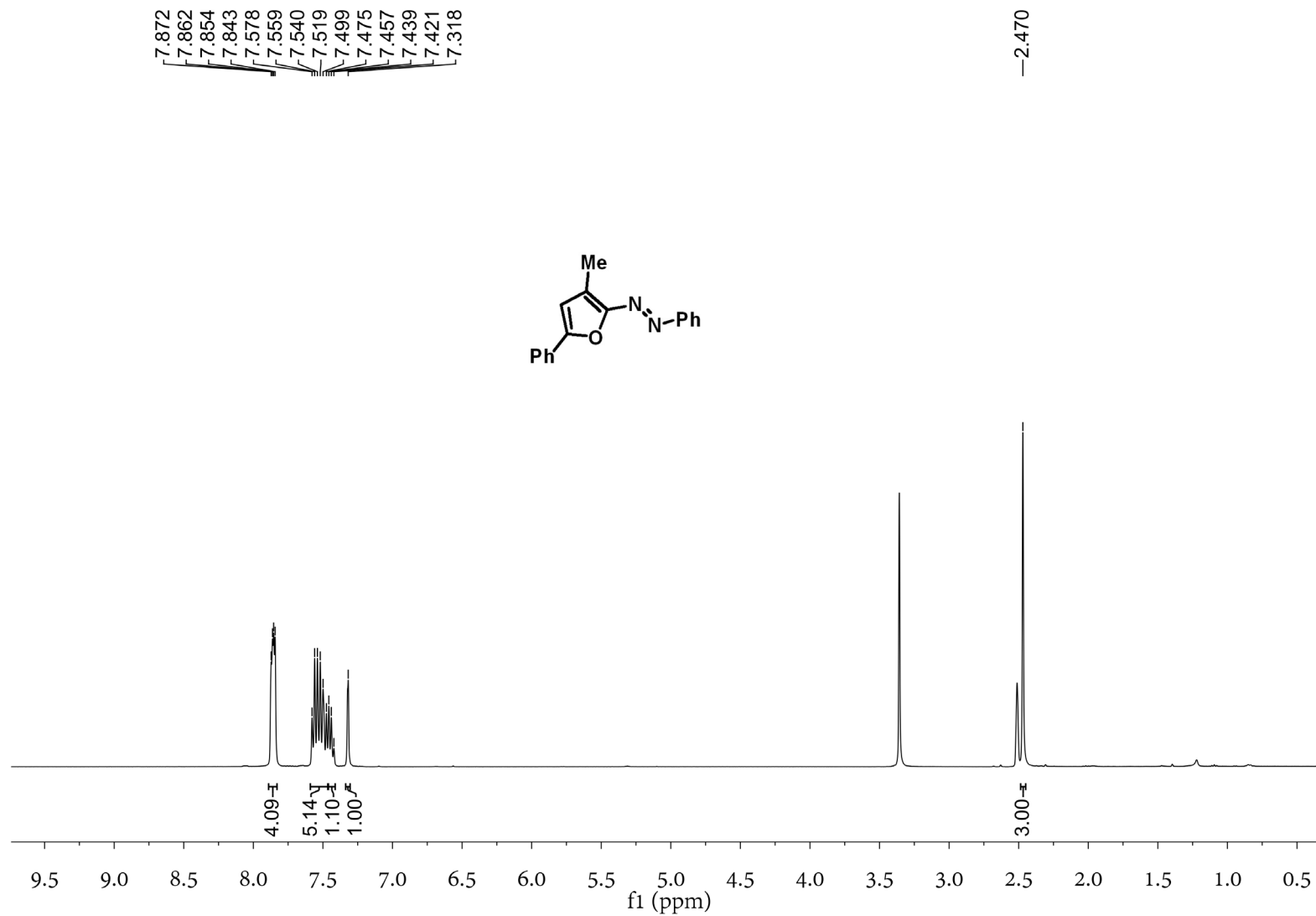




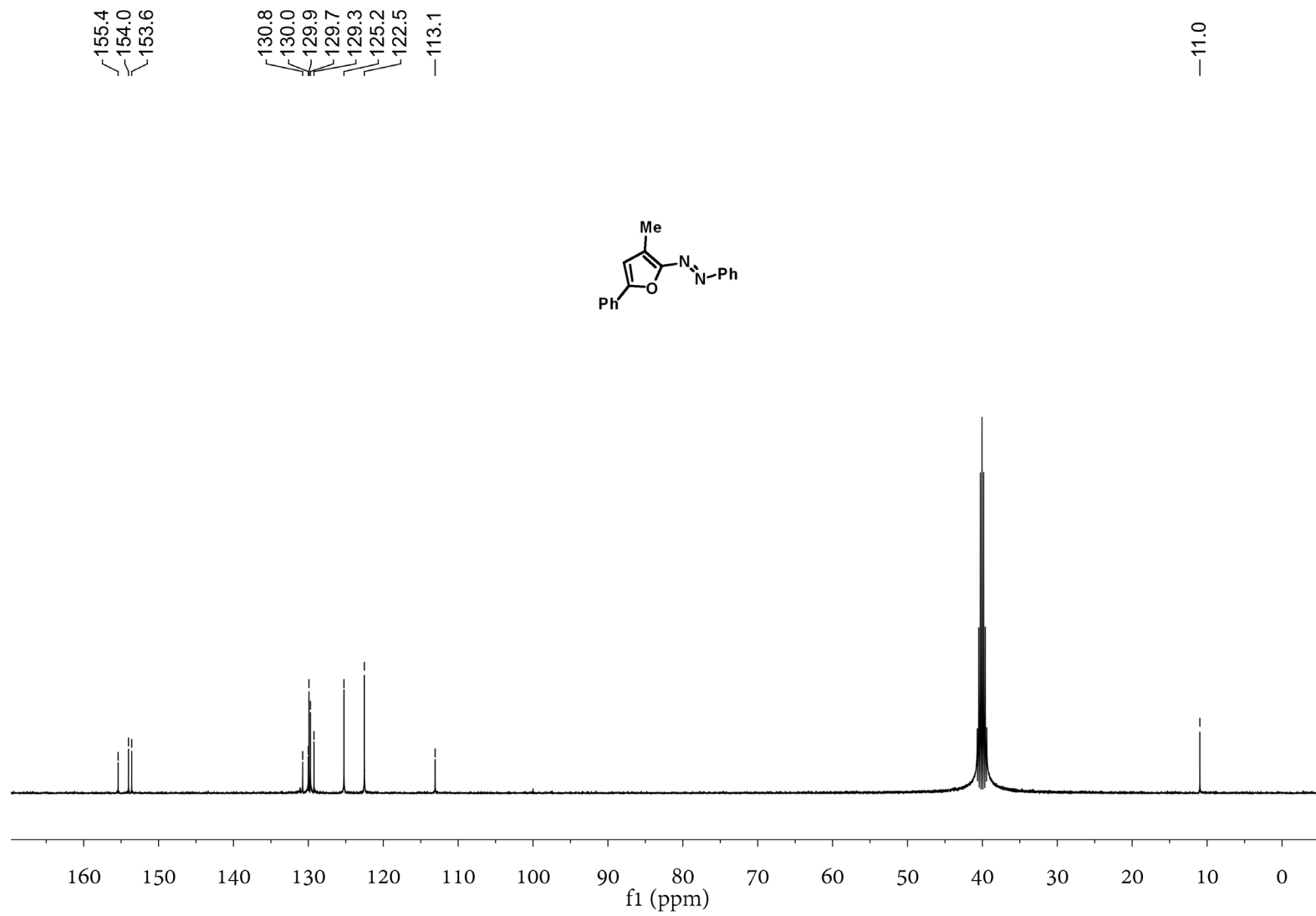


<sup>1</sup>H NMR Spectrum of Compound **3bb**

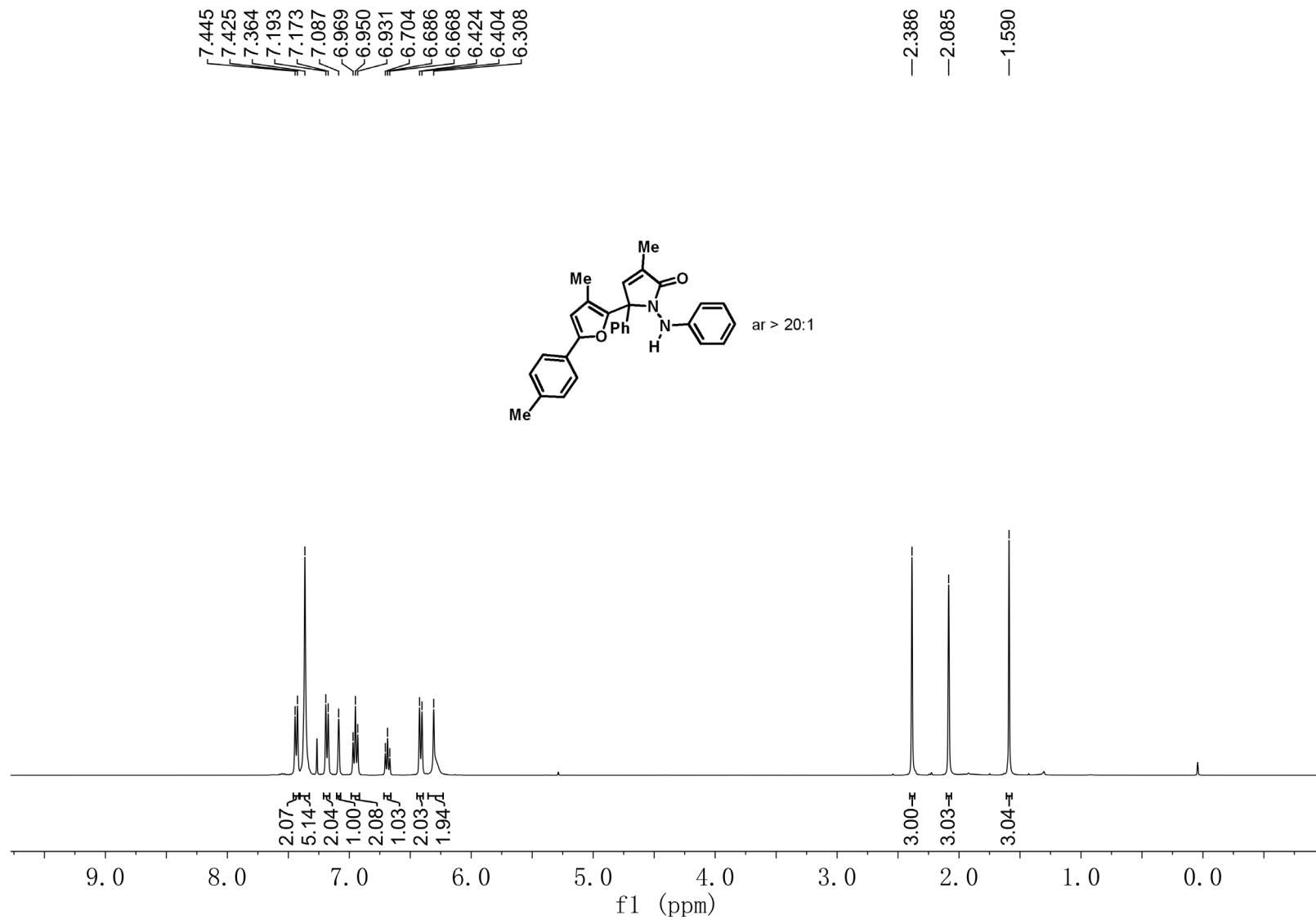




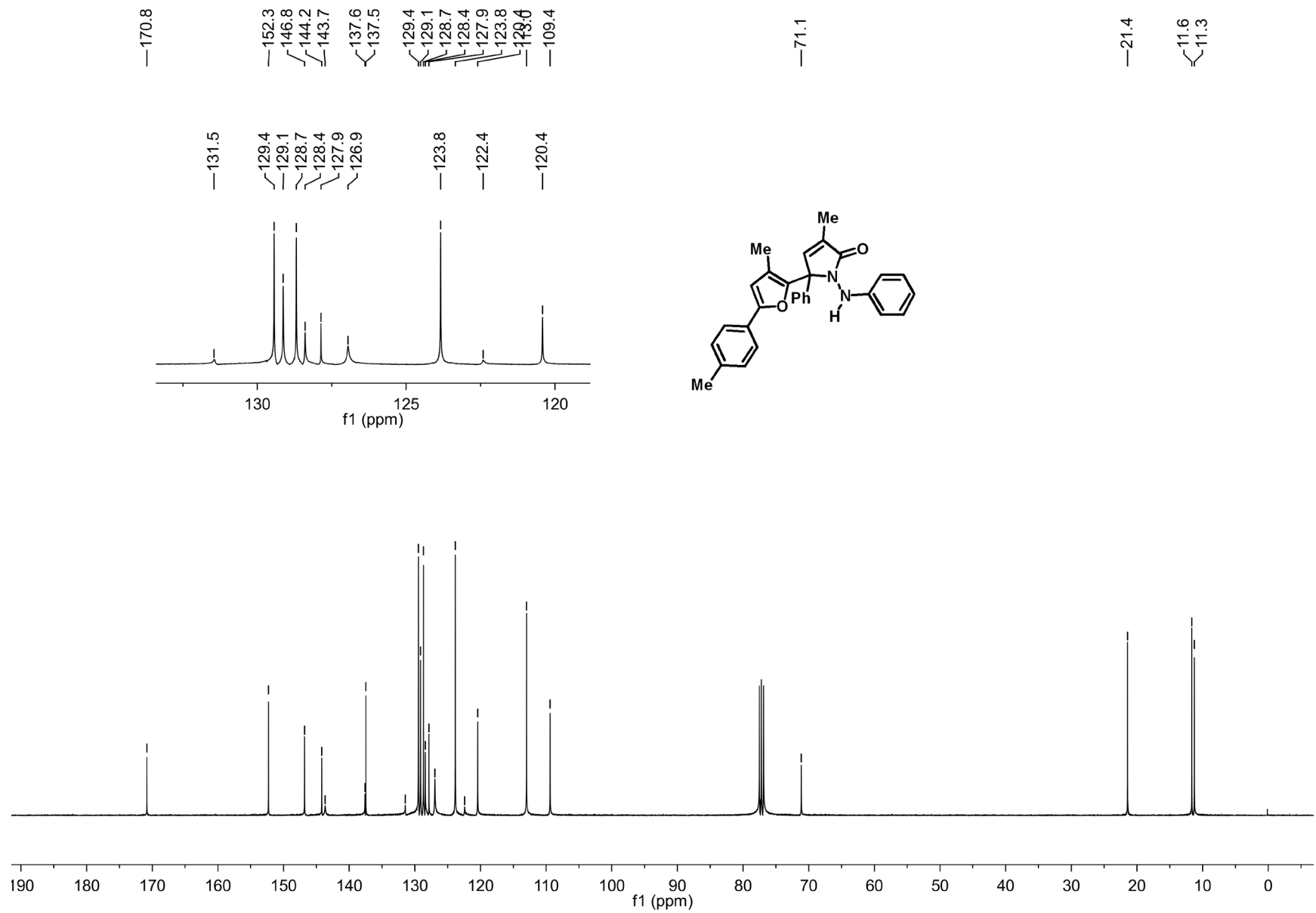
<sup>1</sup>H NMR Spectrum of Compound 4

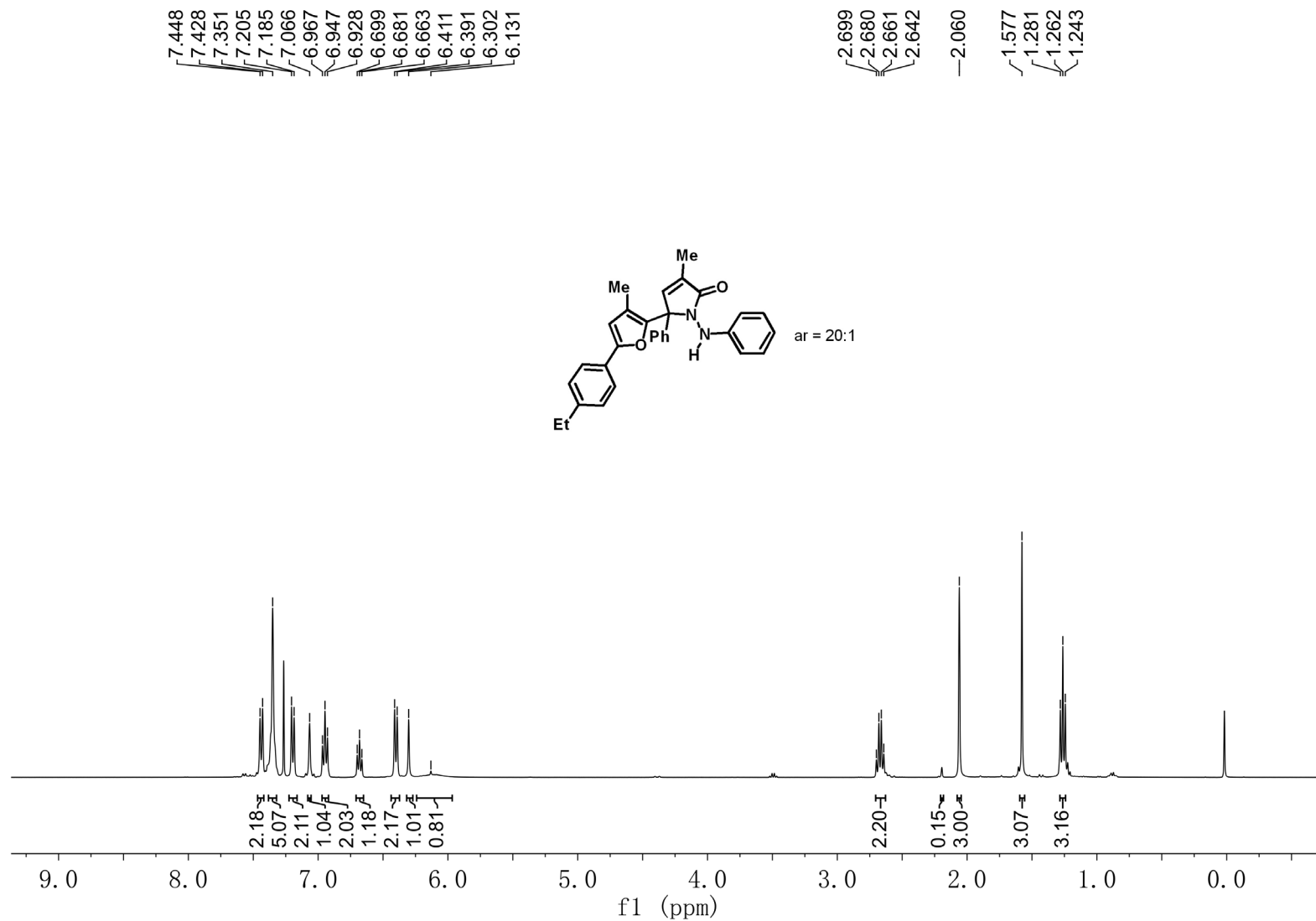


<sup>13</sup>C NMR Spectrum of Compound 4

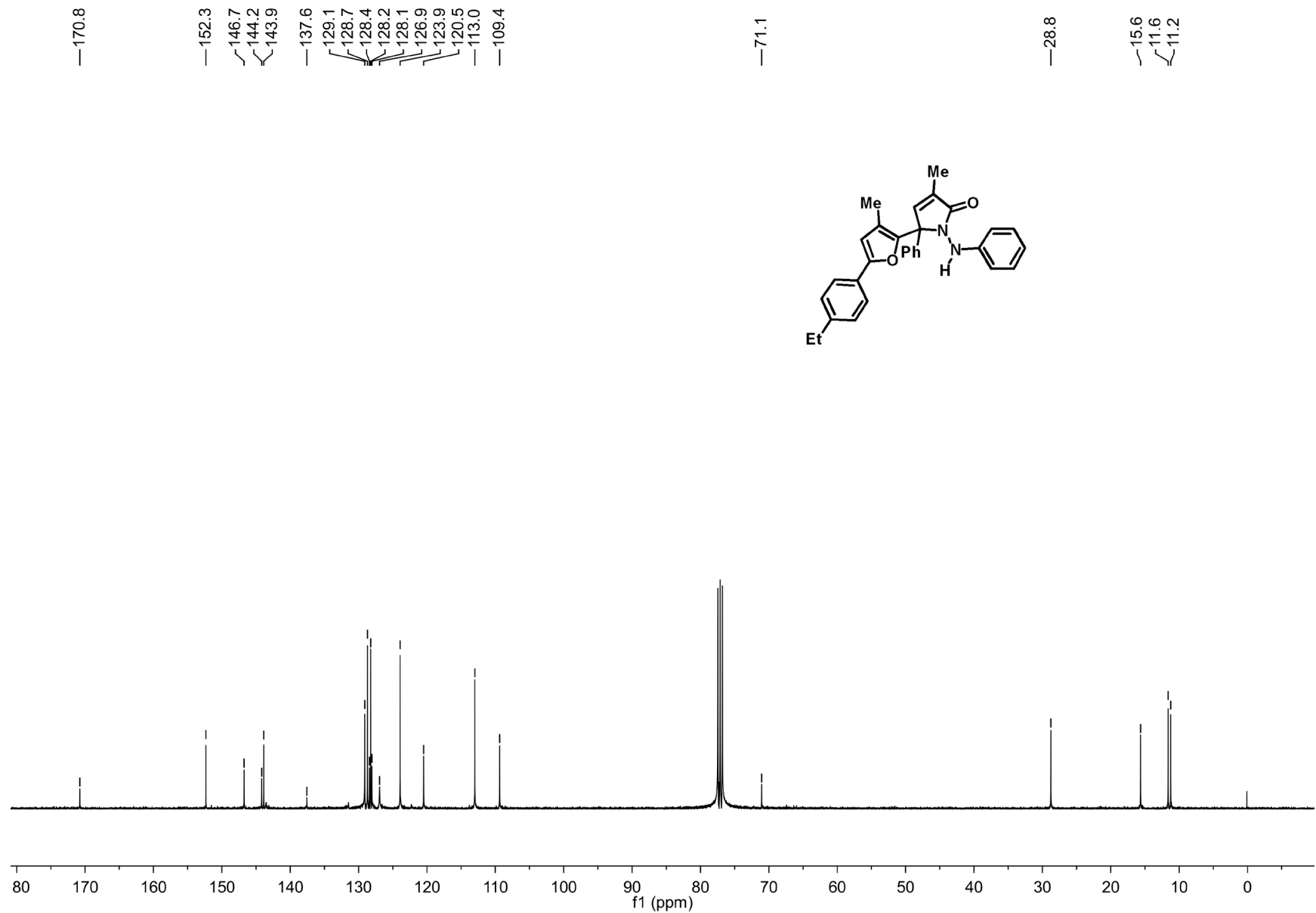


<sup>1</sup>H NMR Spectrum of Compound **5a**



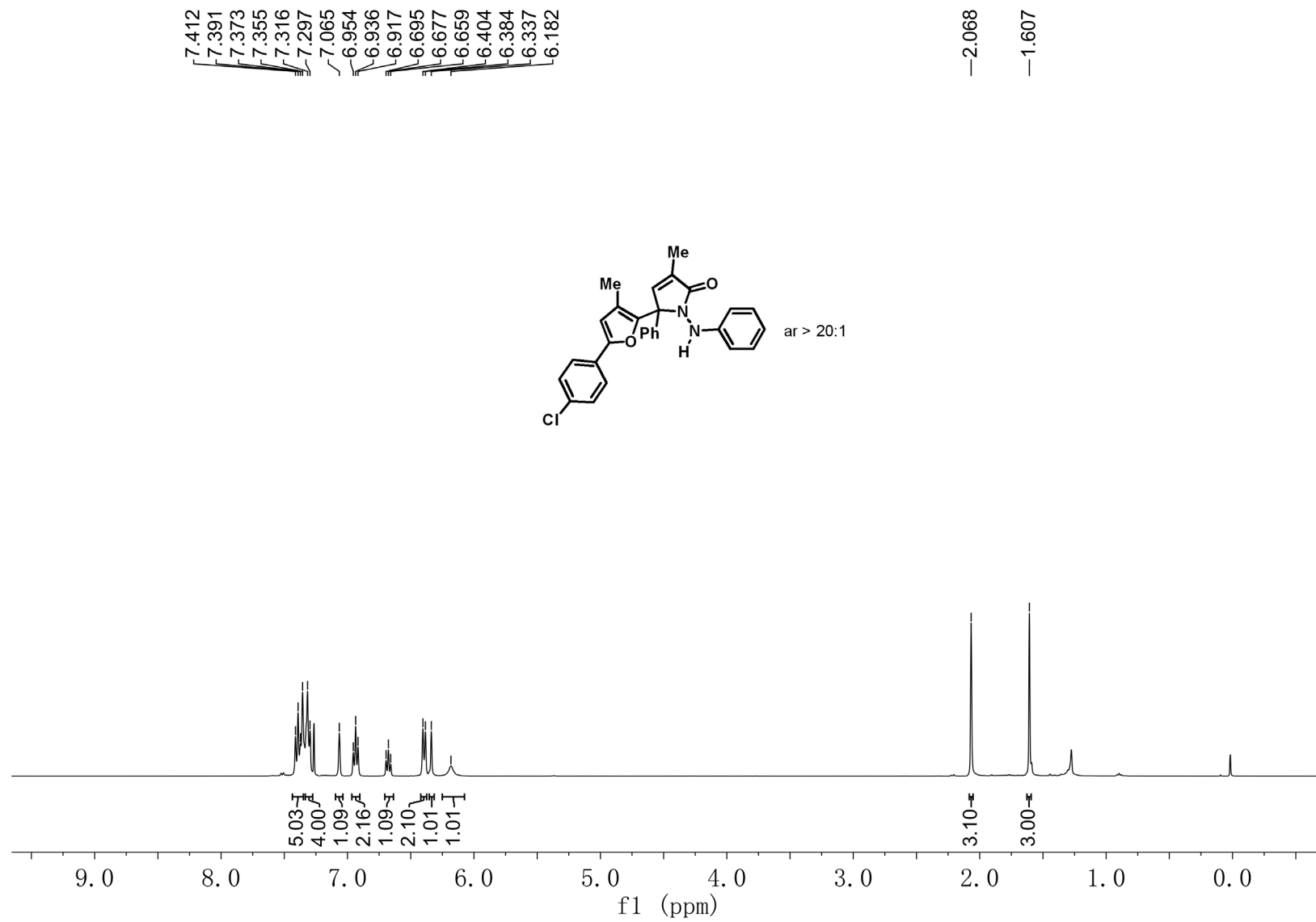


<sup>1</sup>H NMR Spectrum of Compound **5b**

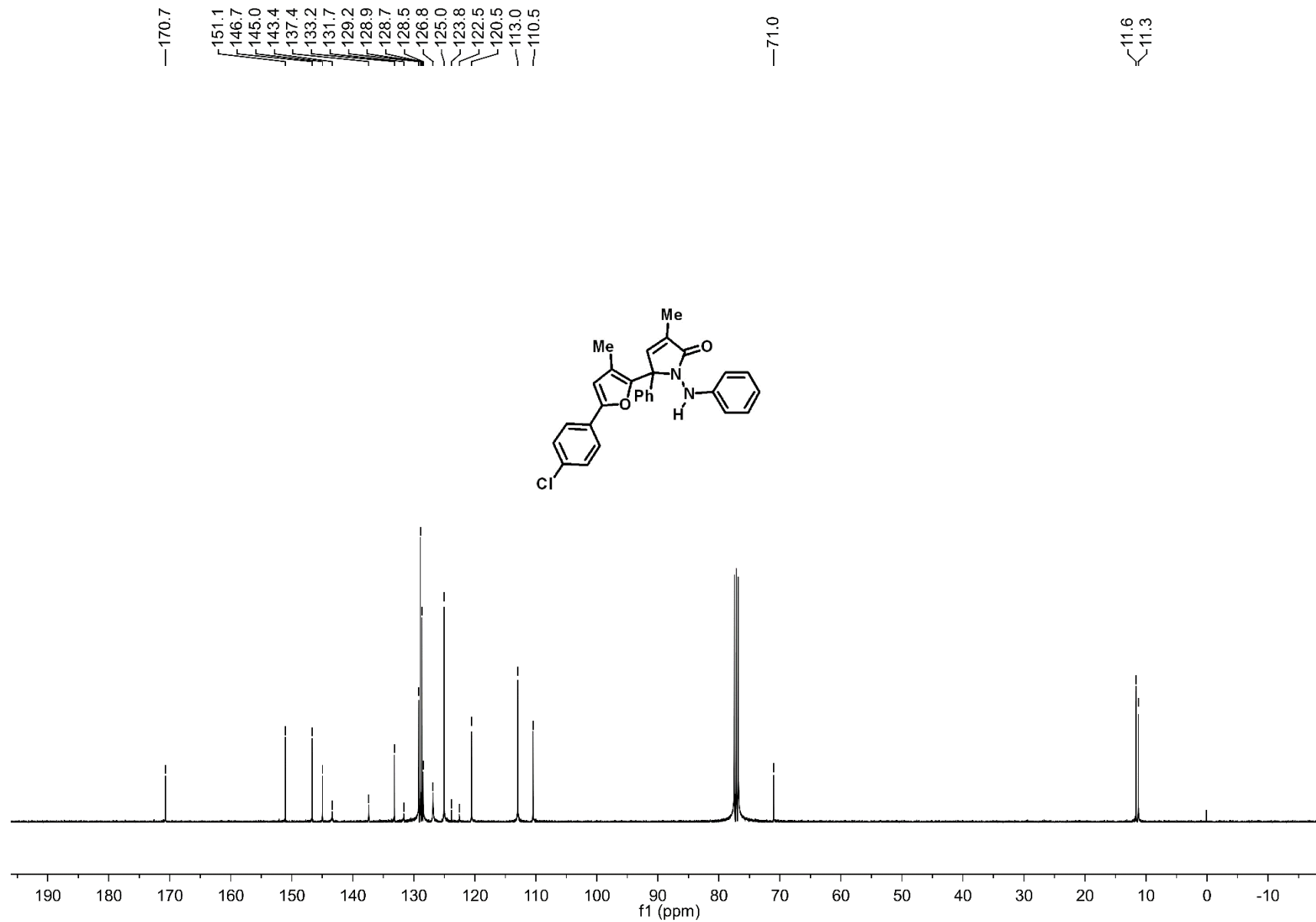


<sup>13</sup>C NMR Spectrum of Compound 5b

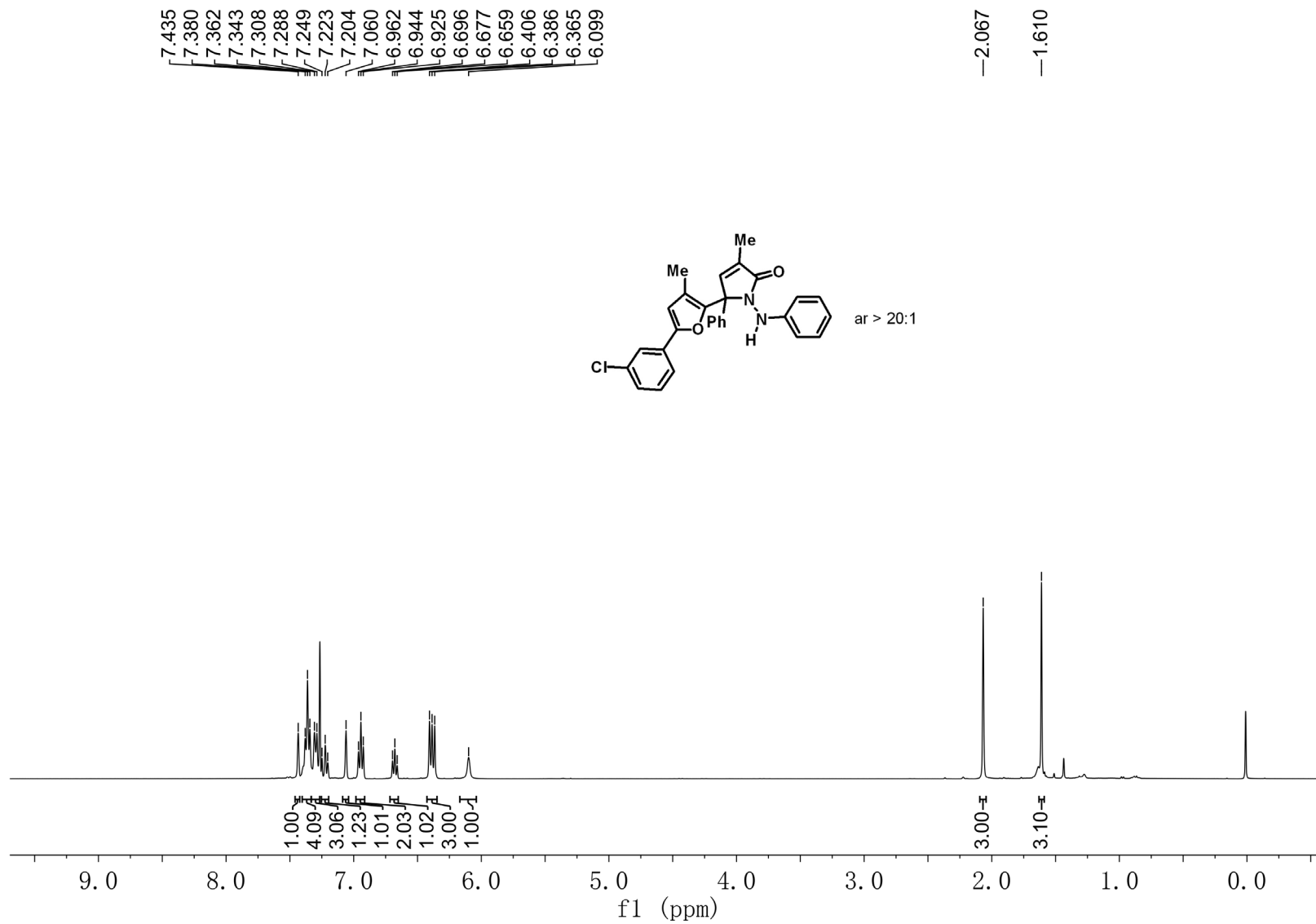




<sup>1</sup>H NMR Spectrum of Compound **5c**

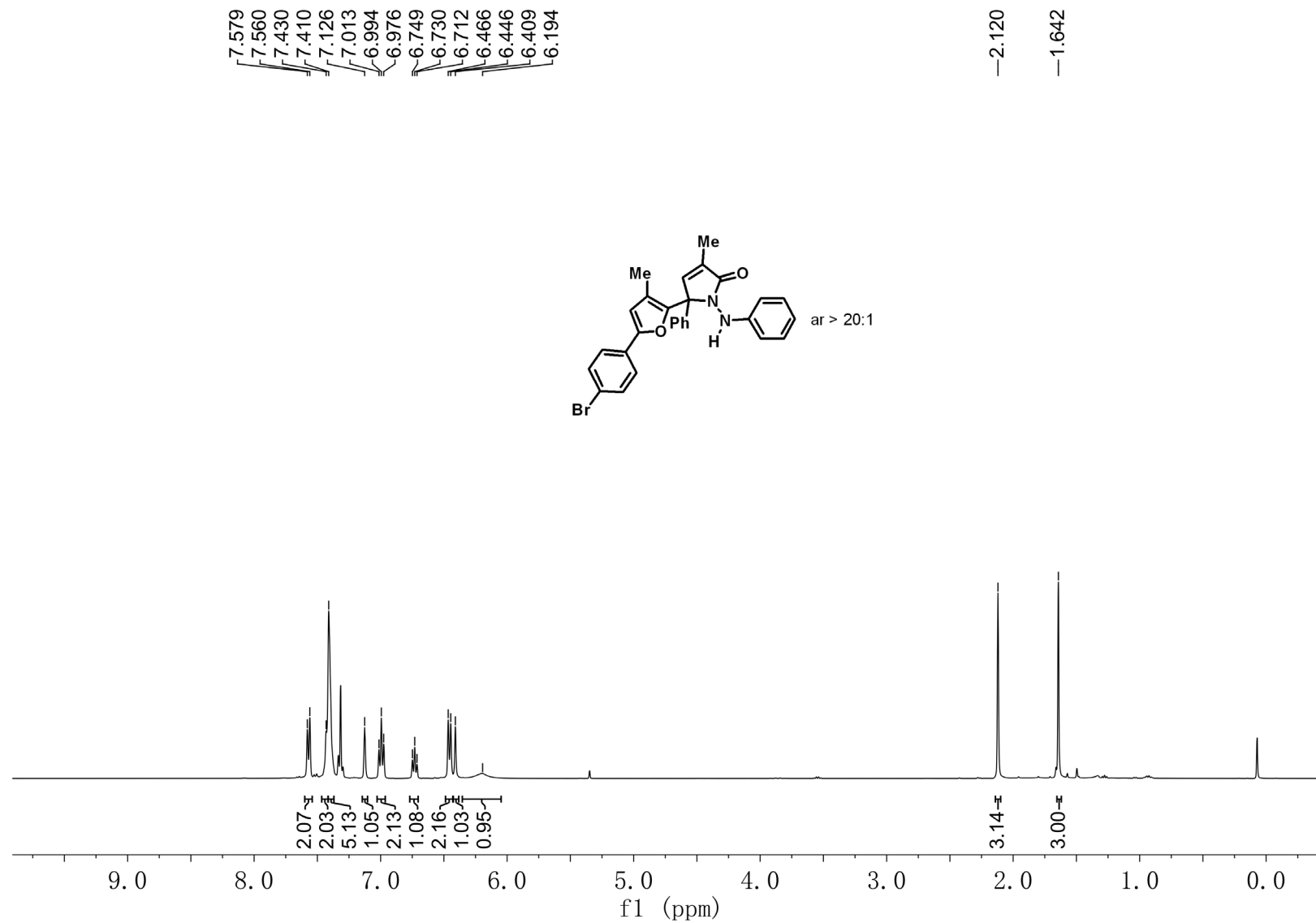


<sup>13</sup>C NMR Spectrum of Compound 5c

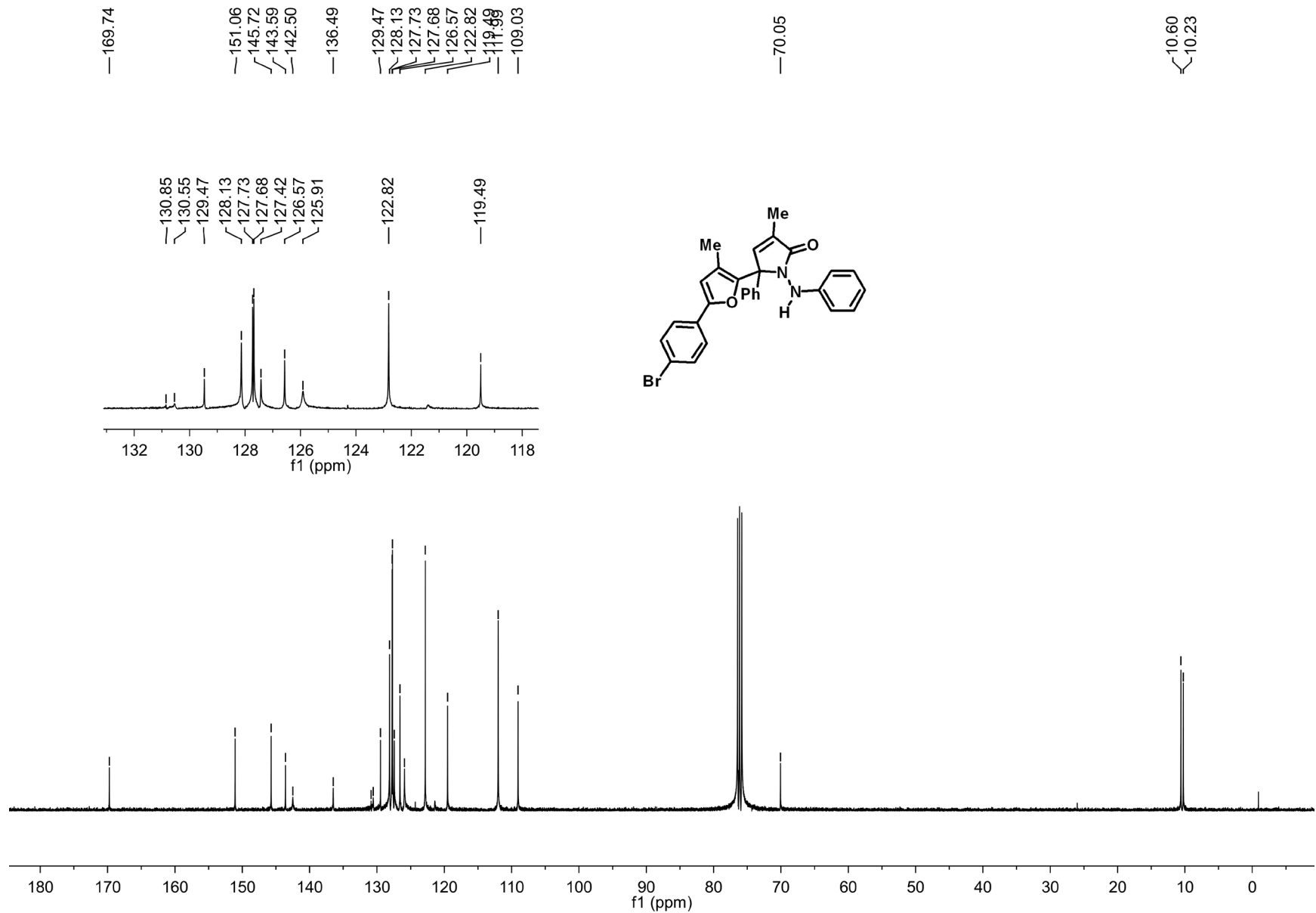


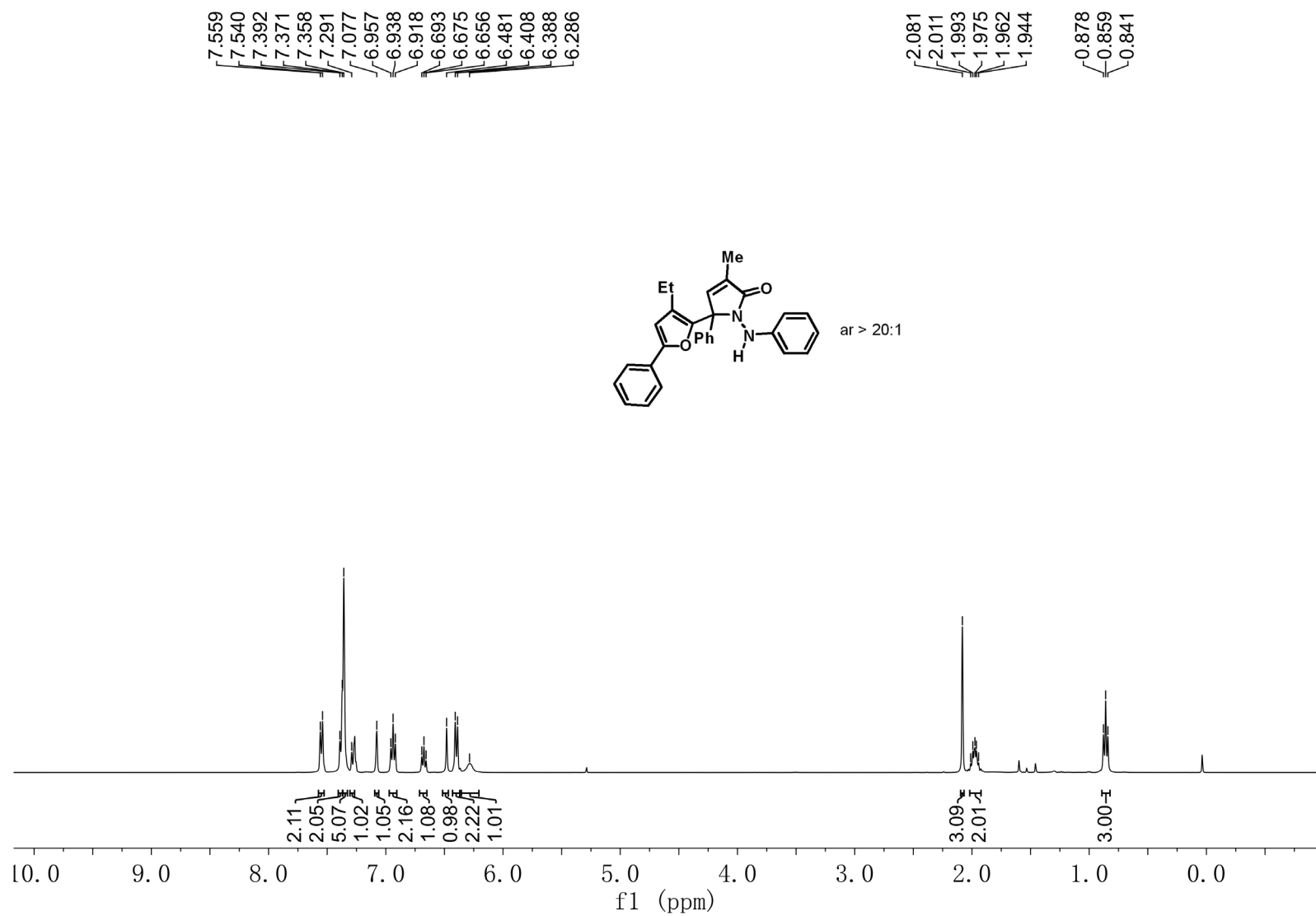
$^1\text{H}$  NMR Spectrum of Compound **5d**



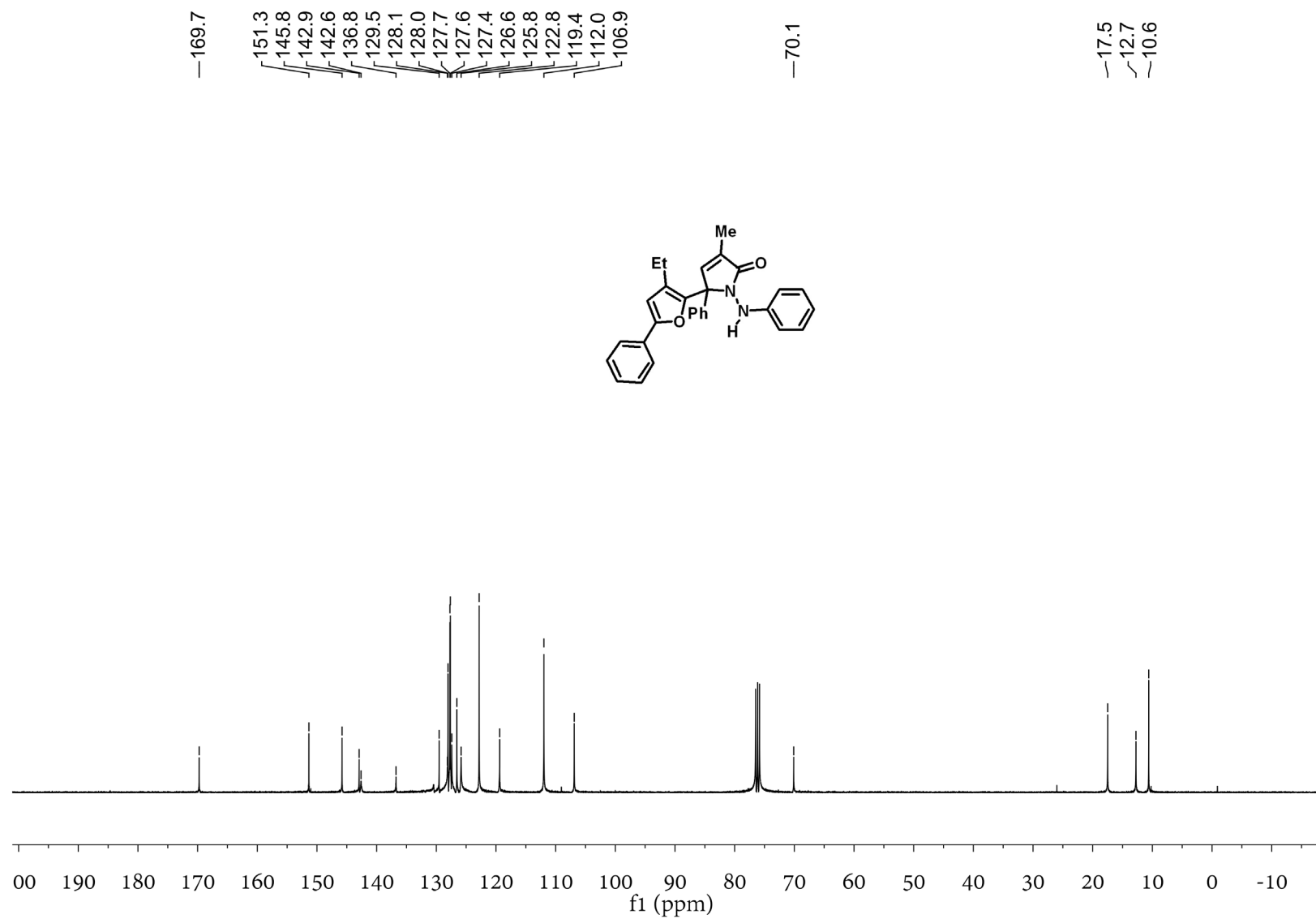


$^1\text{H}$  NMR Spectrum of Compound **5e**



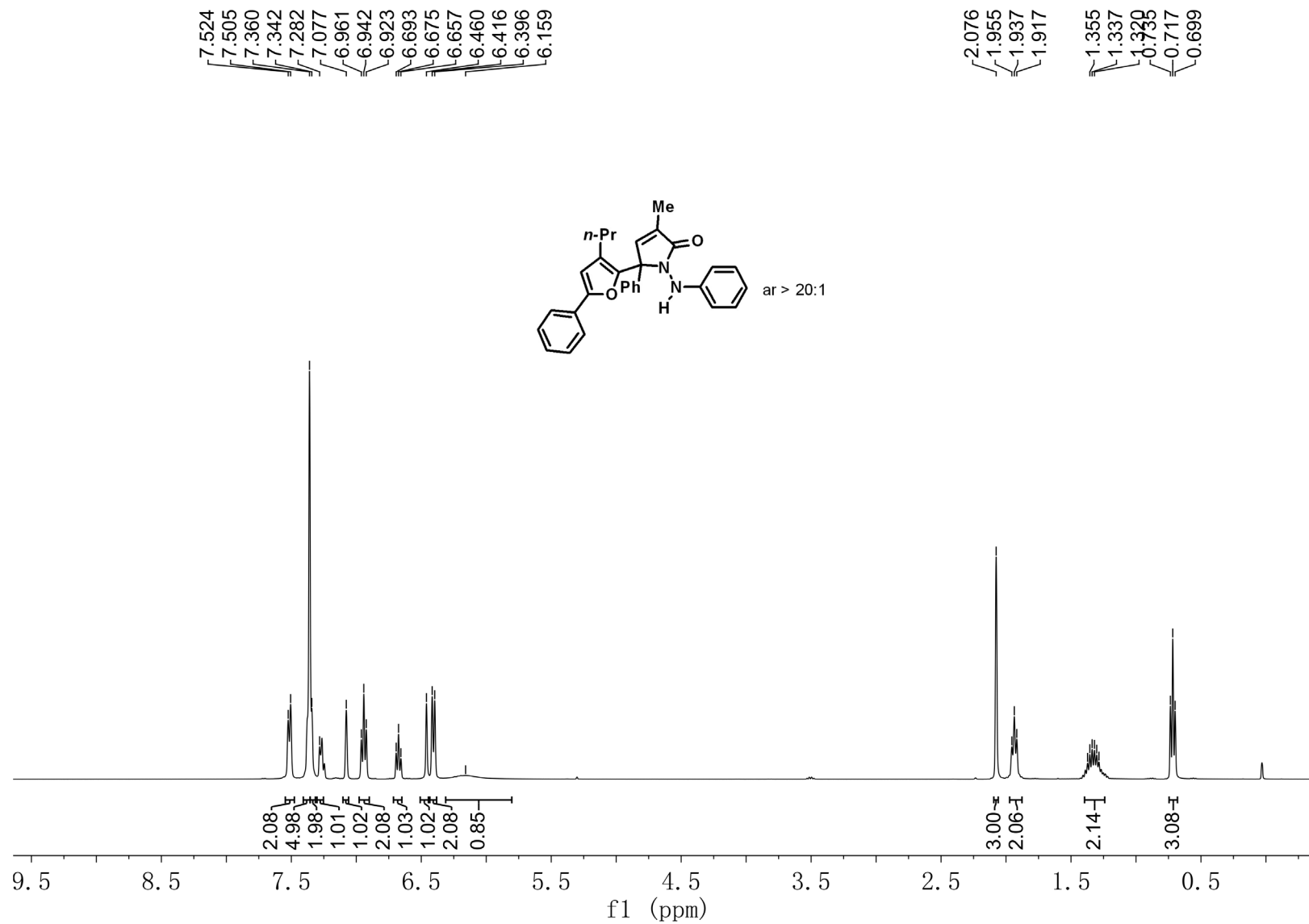


<sup>1</sup>H NMR Spectrum of Compound 5f

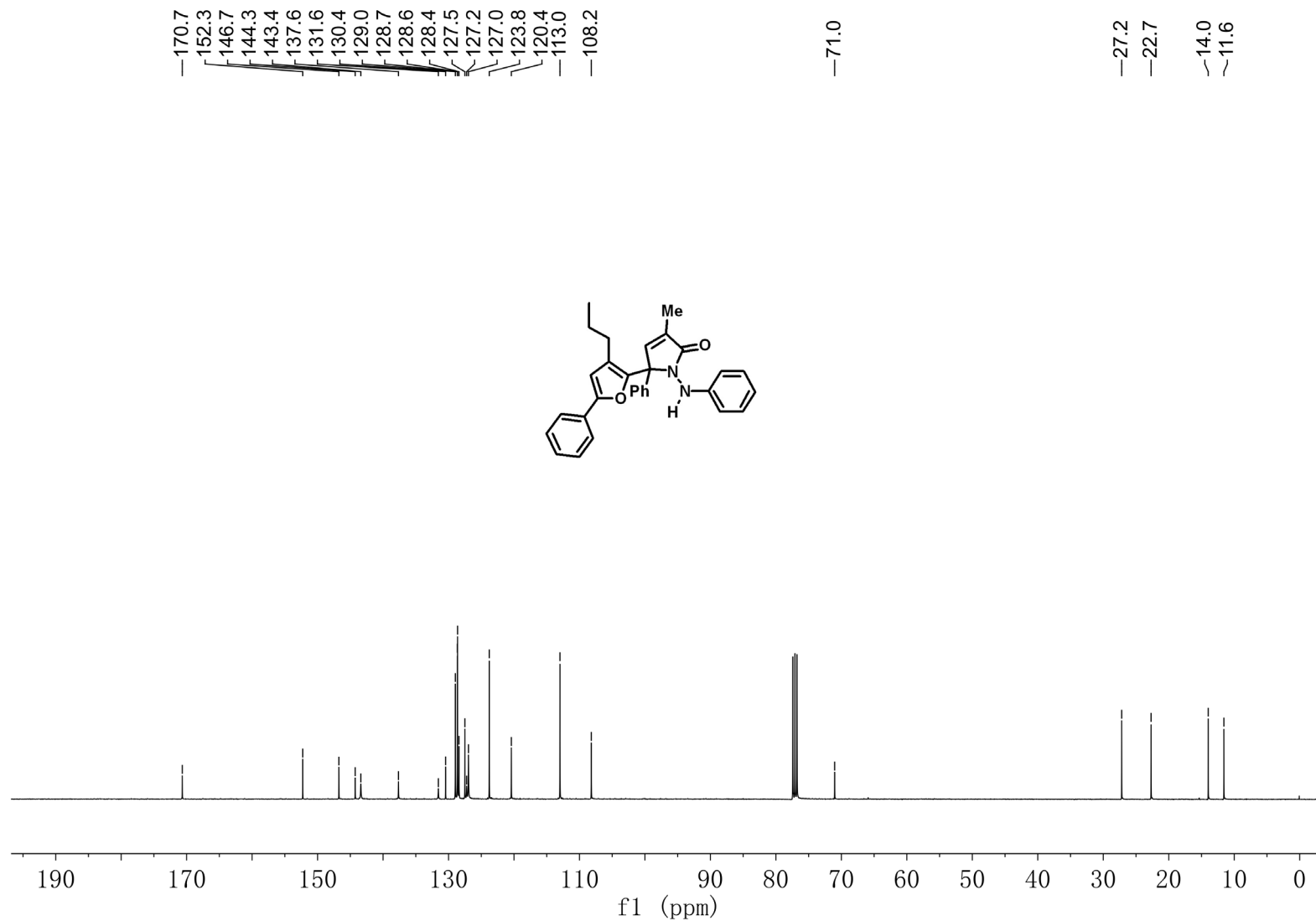


<sup>13</sup>C NMR Spectrum of Compound **5f**

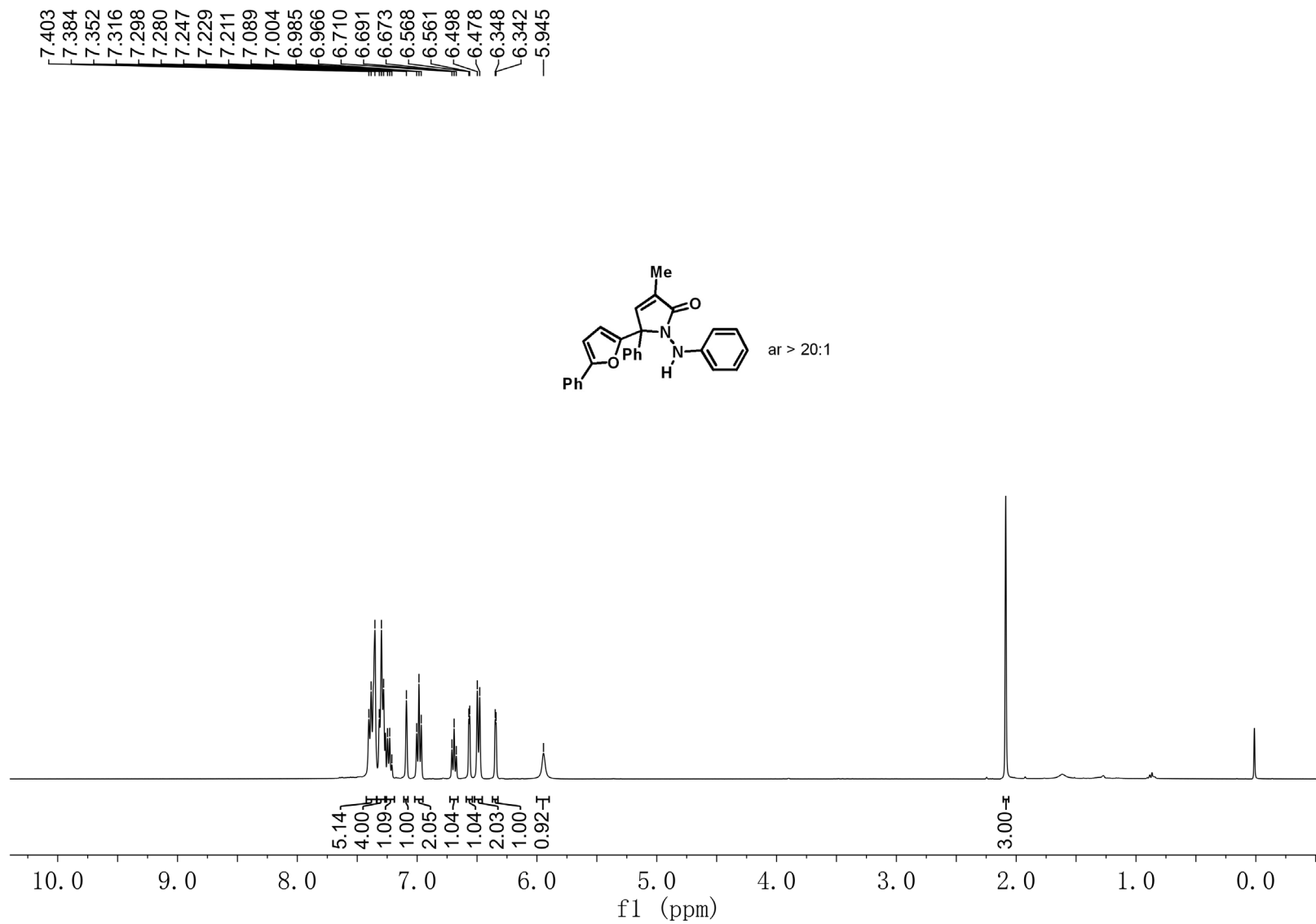


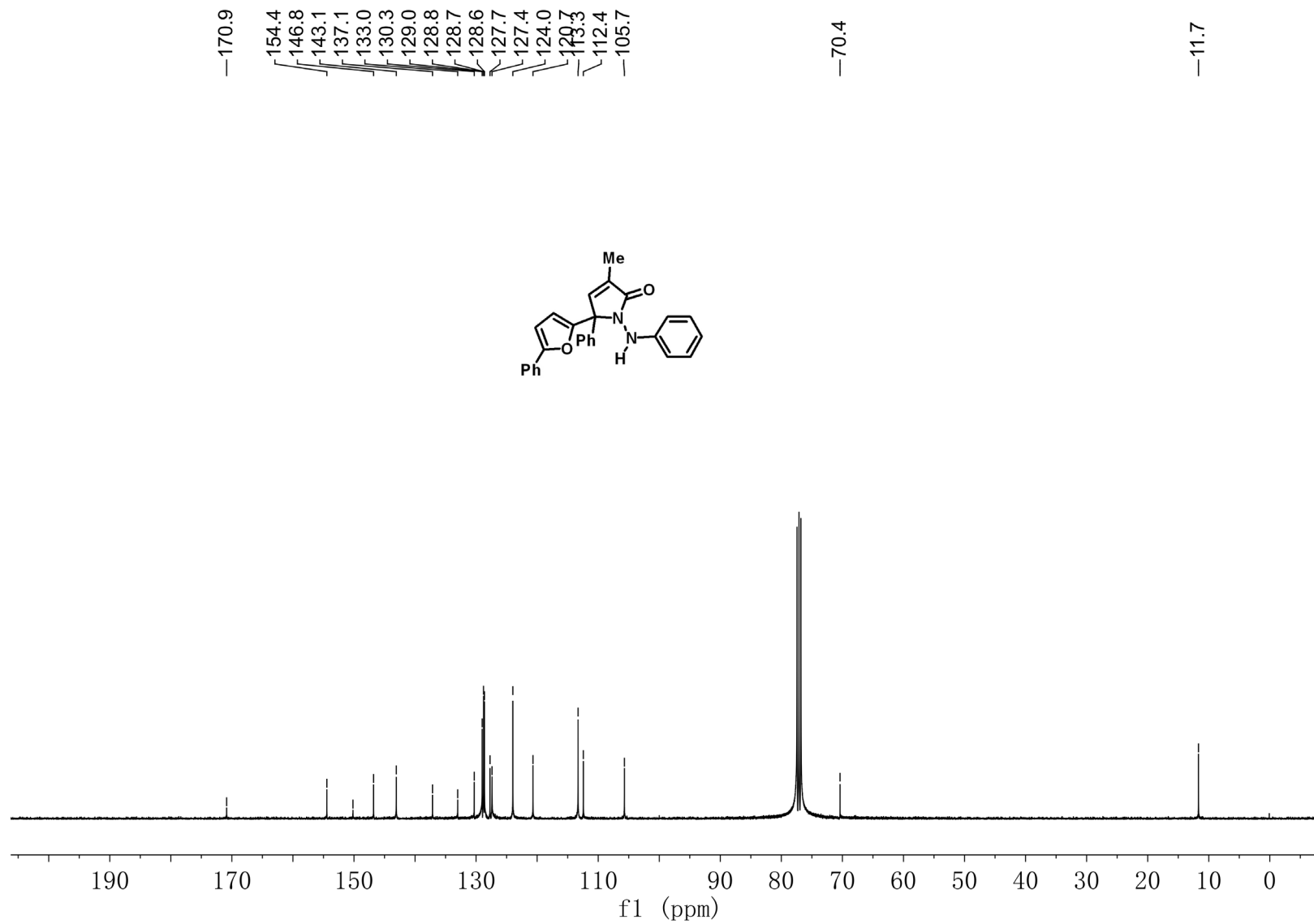


<sup>1</sup>H NMR Spectrum of Compound 5g

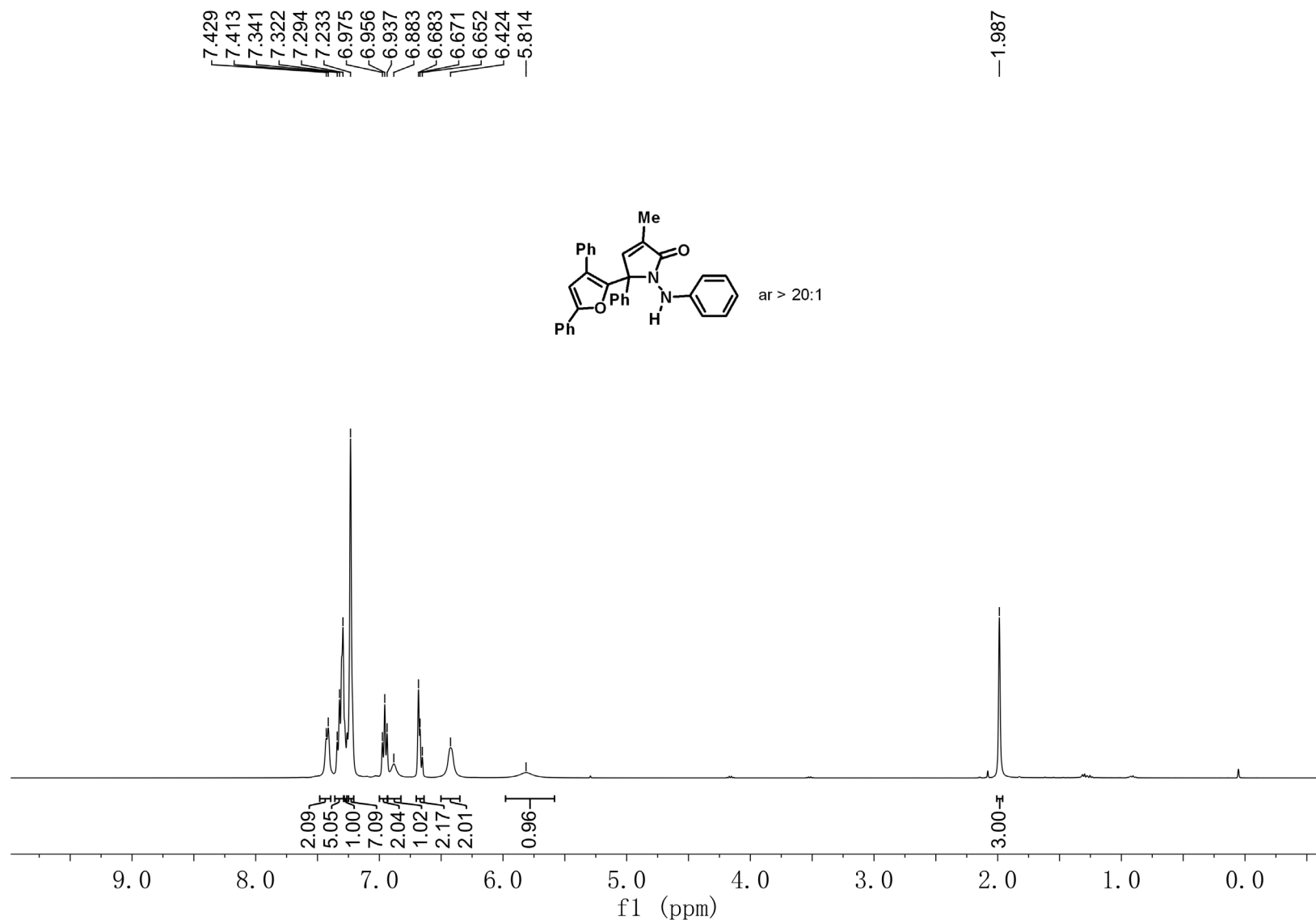


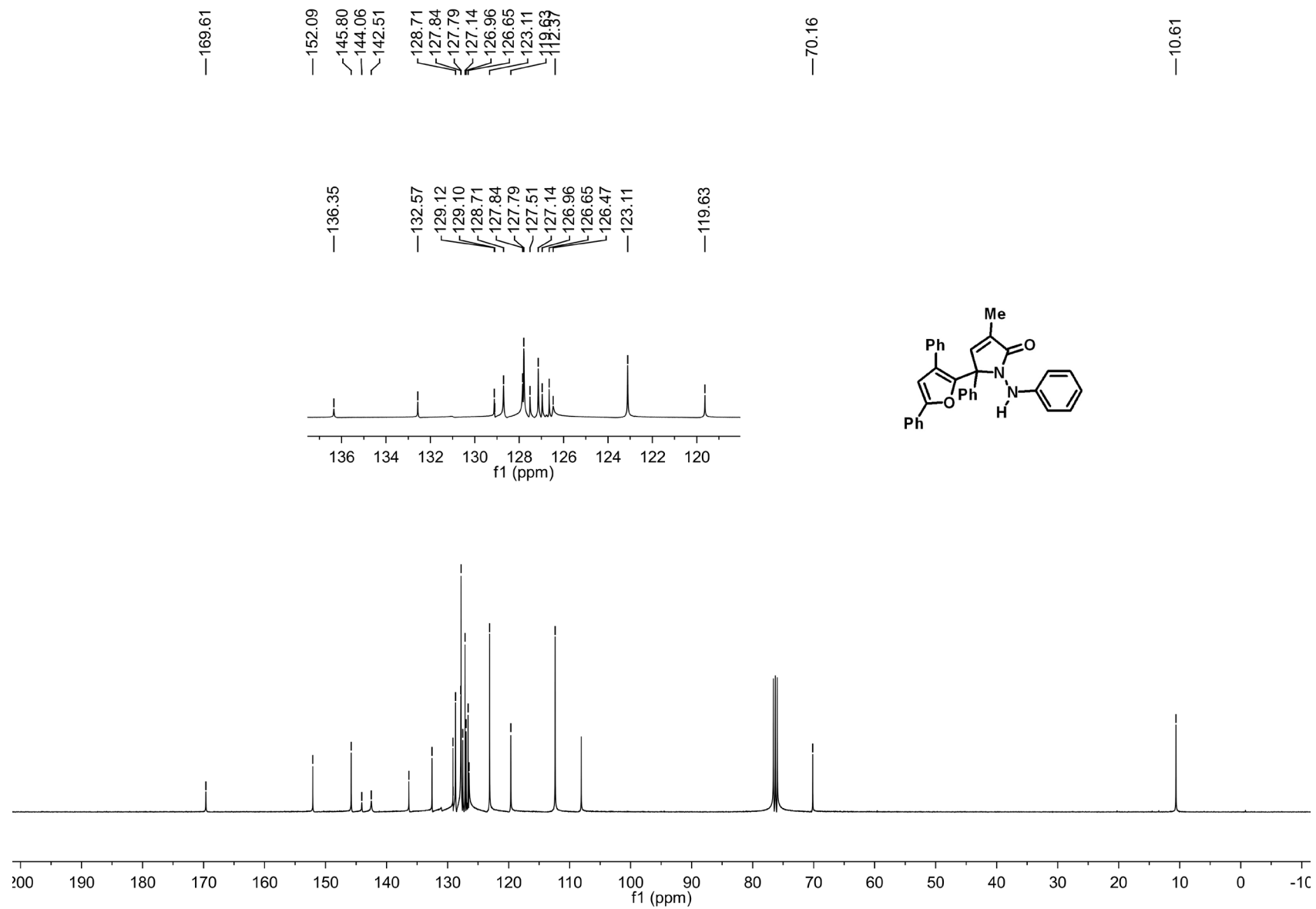
<sup>13</sup>C NMR Spectrum of Compound 5g



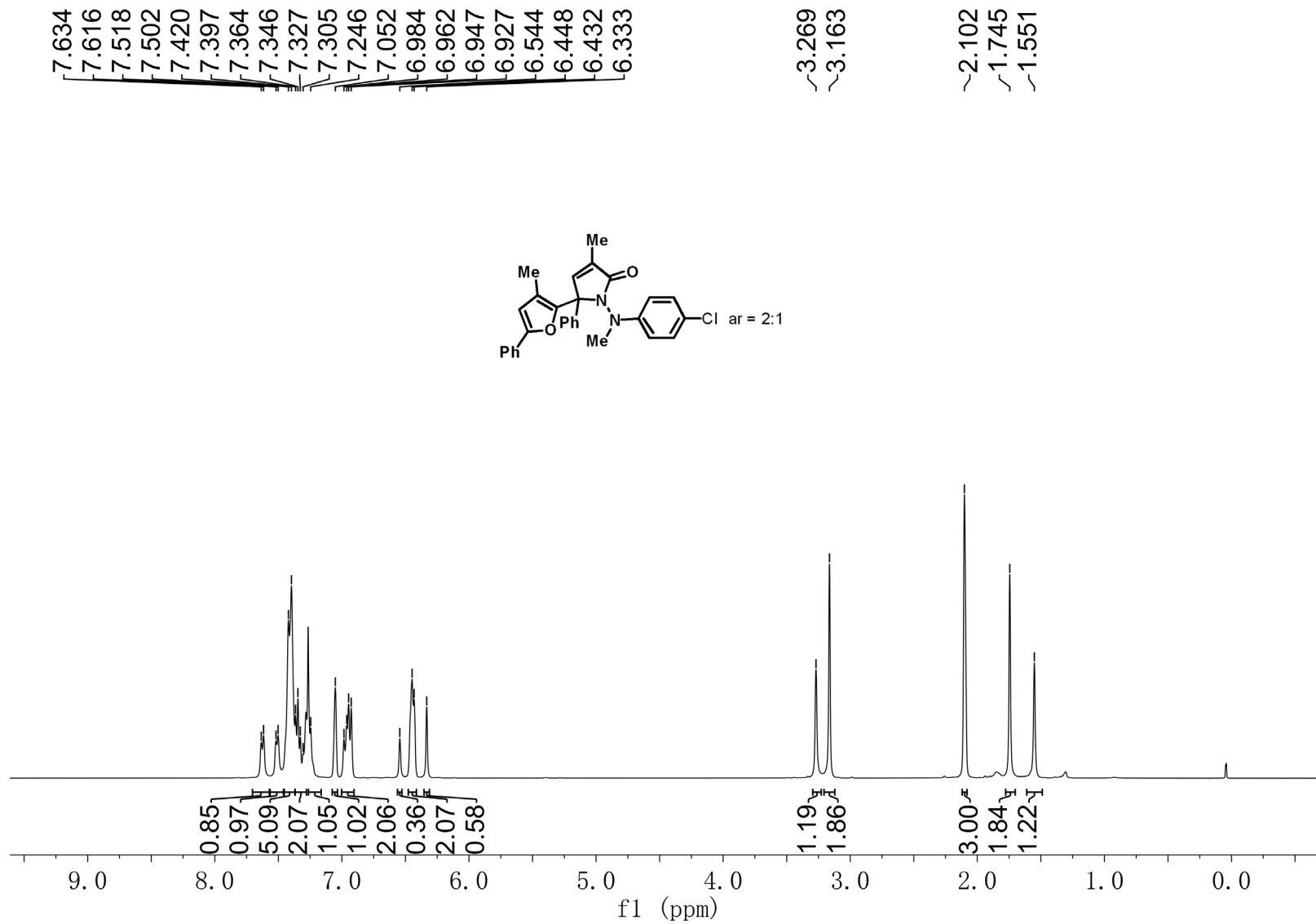


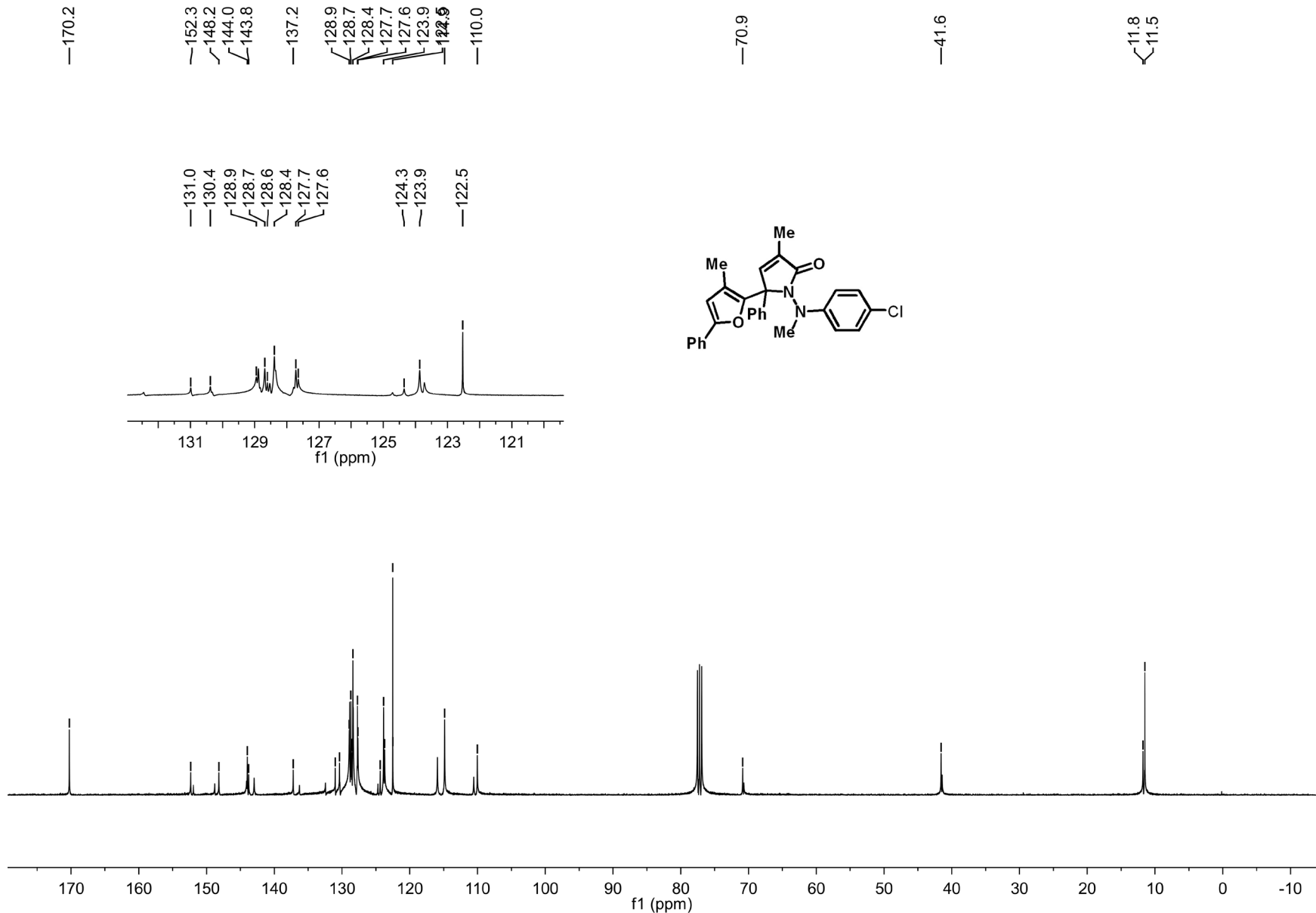
<sup>13</sup>C NMR Spectrum of Compound 5h





<sup>13</sup>C NMR Spectrum of Compound **5i**





$^{13}\text{C}$  NMR Spectrum of Compound 6